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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 10	PROUSDDR now available on STN
NEWS 4	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS 5	May 12	EXTEND option available in structure searching
NEWS 6	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 7	May 17	FRFULL now available on STN
NEWS 8	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 9	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 10	May 27	Explore APOLLIT with free connect time in June 2004
NEWS 11	Jun 22	STN Patent Forums to be held July 19-22, 2004

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:03:45 ON 23 JUN 2004

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:04:03 ON 23 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JUN 2004 HIGHEST RN 697737-72-7
DICTIONARY FILE UPDATES: 22 JUN 2004 HIGHEST RN 697737-72-7

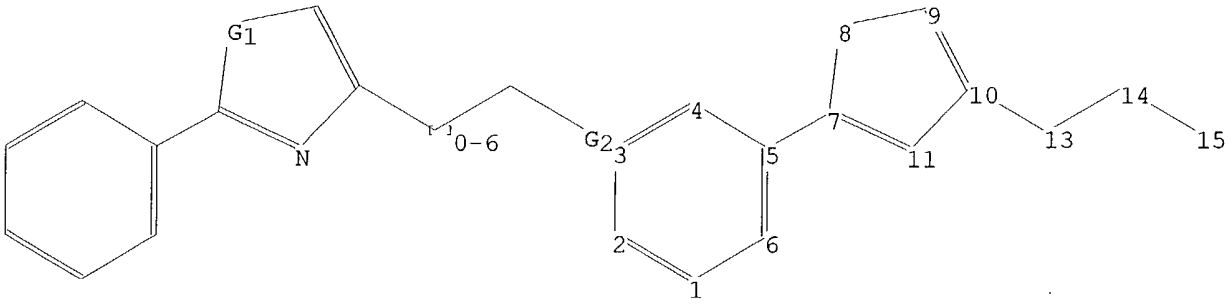
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10089993.str



chain nodes :
13 14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
5-7 10-13 13-14 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
5-7 7-8 7-11 8-9 9-10 10-11 10-13 13-14 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S

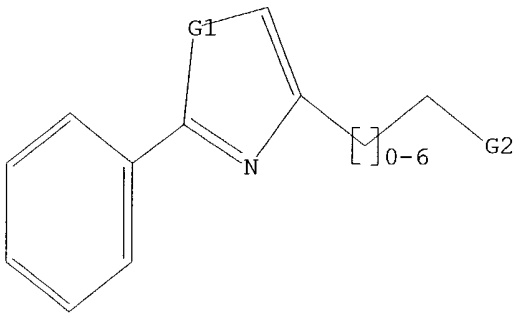
G2:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 13:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:04:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4396 TO ITERATE

22.7% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 83945 TO 91895
PROJECTED ANSWERS: 10078 TO 12956

L2 50 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 17:04:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 86297 TO ITERATE

100.0% PROCESSED 86297 ITERATIONS 13012 ANSWERS
SEARCH TIME: 00.00.01

L3 13012 SEA SSS FUL L1

=> s l3 and caplus
0 CAPLUS
L4 0 L3 AND CAPLUS

=> s l3 and caplus/lc
35942085 CAPLUS/LC
L5 12322 L3 AND CAPLUS/LC

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 164.28 164.49

FILE 'CAPLUS' ENTERED AT 17:04:46 ON 23 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

FILE COVERS 1907 - 23 Jun 2004 VOL 140 ISS 26
FILE LAST UPDATED: 22 Jun 2004 (20040622/ED)

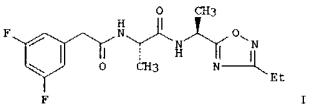
This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l5
L6          1247 L5

=> s l6 and alzheimers
            2297 ALZHEIMERS
L7             5 L6 AND ALZHEIMERS

=> d ibib abs hitstr 1-5
```

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:35360 CAPLUS
DOCUMENT NUMBER: 138:90080
TITLE: Preparation of heterocyclic compounds and their use for inhibiting β-amyloid peptide release
INVENTOR(S): Thorsett, Eugene D.; Porter, Warren J.; Nissen, Jeffrey S.; Latimer, Lee H.; Audia, James E.; Droste, James
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly and Company
SOURCE: U.S., 99 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6506782	B1	20030114	US 1998-32019	19980227
US 2003130188	A1	20030710	US 2002-246558	20020919

PRIORITY APPLN. INFO.: US 1998-32019 A3 19980227
OTHER SOURCE(S): MARPAT 138:90080
GI

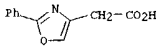


I

AB Disclosed are modified heterocyclic di- and tripeptide analogs which inhibit β-amyloid peptide release and/or its synthesis and, accordingly, have utility in treating Alzheimer's disease. Compds. of formula R1NHCHR2(CONHCHR6)pCONHCHR5C(:NR4)R4 [R1 = H or acyl; R2, R5, R6 = (un)substituted alk(en)(yn)yl, cycloalkyl, (hetero)aryl, heterocyclyl; p = 0 or 1; R3and R4 combine to form a heterocyclic ring, which may be substituted] are claimed. Also disclosed are pharmaceutical compns. comprising a compound which inhibits β-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Title compds., e.g. I, were prepared in a multistep synthesis and inhibited β-amyloid peptide production by at least 30% as compared to control.

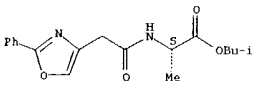
IT **22086-89-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclic compds. and their use for inhibiting β-amyloid peptide release)
RN 22086-89-1 CAPLUS
CN 4-Oxazoleacetic acid, 2-phenyl- (8CI, 9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **208259-24-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. and their use for inhibiting β-amyloid peptide release)
RN 208259-24-9 CAPLUS
CN L-Alanine, N-[(2-phenyl-4-oxazolyl)acetyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



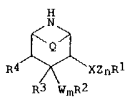
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:754196 CAPLUS
DOCUMENT NUMBER: 137:257677
TITLE: Methods of treating or preventing Alzheimer's disease using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes
INVENTOR(S): Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 449 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076440	A2	20021003	WO 2002-US9100	20020321
WO 2002076440	A3	20021128		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-278371P P 20010323
US 2001-308729P P 20010730
OTHER SOURCE(S): MARPAT 137:257677
GI



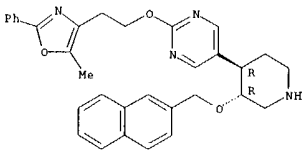
I

AB Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting β-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of preparation are claimed, .apprx.150 example prepns., translations from the German examples of patent WO 9709311, are included. I inhibit β-secretase with IC50 < 50 μM; compds. that are effective inhibitors of β-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is:

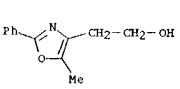
L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxycarbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a satd. C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -O-CO; and where m is 0 or 1; with provisos.

IT **188878-84-4P**, Pyrimidine, 2-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-5-[3-(2-naphthalenylmethoxy)-4-piperidinyl]-, trans-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)
RN 188878-84-4 CAPLUS
CN Pyrimidine, 2-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-5-[(3R,4R)-3-(2-naphthalenylmethoxy)-4-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **103788-65-4**, 4-Oxazoleethanol, 5-methyl-2-phenyl-
RL: RCT (Reactant); RACT (Reactant or reagent)
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)
RN 103788-65-4 CAPLUS
CN 4-Oxazoleethanol, 5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:158129 CAPLUS
DOCUMENT NUMBER: 136:200338
TITLE: Preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in the treatment of neurological disorders
INVENTOR(S): Myers, Jason K.; Rogers, Bruce N.; Groppi, Vincent E., Jr.; Piotrowski, David W.; Bodnar, Alice L.; Jacobsen, Eric Jon; Corbett, Jeffrey W.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002017358	A2	20020228	WO 2001-US21139	20010817
WO 2002017358	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001082875	A5	20020304	AU 2001-82875	20010817
US 2002042428	A1	20020411	US 2001-932309	20010817
US 6492385	B2	20021210		
US 2002042429	A1	20020411	US 2001-932612	20010817
US 6500840	B2	20021231		

PRIORITY APPLN. INFO.:
US 2000-226652P P 20000821
US 2001-284849P P 20010419
US 2001-284850P P 20010419
US 2001-284967P P 20010419
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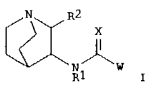
US 2001-284832P P 20010419
WO 2001-US21139 W 20010817

OTHER SOURCE(S): MARPAT 136:200338
GI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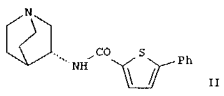
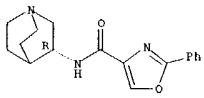

II

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
AB N-quinuclidinyl-heteroaryl amides, such as I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use in the treatment of neurol. disorders, such as attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of quinuclidine carboxamide II was prepared in 57% yield by an amidation reaction of (3R)-3-aminoquinuclidine hydrochloride and 5-phenylthiophene-2-carboxylic acid using di-Ph chlorophosphate and Et3N in CH2Cl2 and DMF/H2O (5:1). The prepared quinuclidinyl amides were tested for nicotinic acetylcholine receptor binding activities.
IT **400715-36-8P 400715-37-9P 400833-20-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in the treatment of neurol. disorders)
RN 400715-36-8 CAPLUS
CN 4-Oxazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



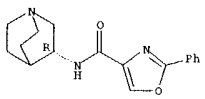
RN 400715-37-9 CAPLUS
CN 4-Oxazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-phenyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 400715-36-8
CMF C17 H19 N3 O2

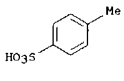
Absolute stereochemistry.

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



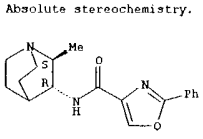
CM 2

CRN 104-15-4
CMF C7 H8 O3 S

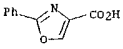


RN 400833-20-7 CAPLUS
CN 4-Oxazolecarboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **23012-16-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in the treatment of neurol. disorders)
RN 23012-16-0 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-phenyl- (6CI, 8CI, 9CI) (CA INDEX NAME)

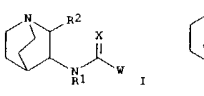


L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:157475 CAPLUS
DOCUMENT NUMBER: 136:200333
TITLE: Preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in the treatment of neurological disorders
INVENTOR(S): Meyers, Jason K.; Rogers, Bruce N.; Groppi, Vincent E., Jr.; Piotrowski, David W.; Bodnar, Alice L.; Jacobsen, Eric Jon; Corbett, Jeffrey W.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002015662	A2	20020228	WO 2001-US21140	20010817
WO 2002015662	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084646	A5	20020304	AU 2001-84646	20010817
US 2002042428	A1	20020411	US 2001-932309	20010817
US 6492385	B2	20021210		
US 2002091135	A1	20020711	US 2001-932299	20010817
US 6599916	B2	20030729		

PRIORITY APPLN. INFO.:
US 2000-226652P P 20000821
US 2001-284841P P 20010419
US 2000-226164P P 20000818
US 2001-284832P P 20010419
WO 2001-US21140 W 20010817

OTHER SOURCE(S): MARPAT 136:200333
GI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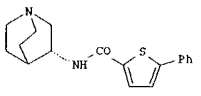
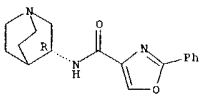

II

AB N-quinuclidinyl-heteroaryl amides, such as I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use in the treatment of neurol. disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), or senile dementia. Thus, the hydrochloride salt of quinuclidine carboxamide II was prepared in 57% yield by an amidation reaction of (3R)-3-aminoquinuclidine

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hydrochloride and 5-phenylthiophene-2-carboxylic acid using di-Ph chlorophosphate and Et3N in CH2Cl2 and DMF/H2O (5:1). The prepd. quinuclidinyl amides were tested for nicotinic acetylcholine receptor binding activities.
IT **400715-36-8P 400715-37-9P 400833-20-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in
the treatment of neurol. disorders)
RN 400715-36-8 CAPLUS
CN 4-Oxazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

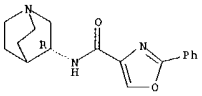


RN 400715-37-9 CAPLUS
CN 4-Oxazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-phenyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 400715-36-8
CMF C17 H19 N3 O2

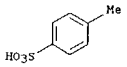
Absolute stereochemistry.



CM 2

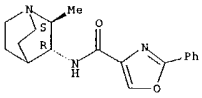
CRN 104-15-4
CMF C7 H8 O3 S

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

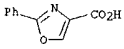


RN 400833-20-7 CAPLUS
CN 4-Oxazolecarboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



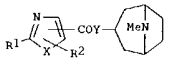
IT **23012-16-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in
the treatment of neurol. disorders)
RN 23012-16-0 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-phenyl- (6CI, 8CI, 9CI) (CA INDEX NAME)



L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:325881 CAPLUS
DOCUMENT NUMBER: 122:151397
TITLE: Azoles for improvement and treatment of cholinergic nerve insufficiency
INVENTOR(S): Mizobe, Fumio; Maruhashi, Masashi; Yokomori, Sadakazu; Araki, Hiroaki
PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06305967	A2	19941101	JP 1993-99958	19930427

PRIORITY APPLN. INFO.: JP 1993-99958 19930427
GI

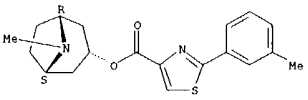


I

AB Azoles I [R1 = lower alkyl, thienyl, (lower alkyl- or lower alkoxy-substituted) Ph; R2 = H, lower alkyl, Ph; X = O, S; Y = O, NH] or their salts are useful for improvement and treatment of cholinergic nerve insufficiency, and thus are suitable for treatment of Alzheimer's disease, dementia of the Alzheimer type, etc. 2-Methyl-4-phenyl-5-thiazolecarboxylic acid tropanyl ester (II) had selectivity for M1 muscarinic receptors from rats, with an M2/M1 ratio of 47 for the Ki values. II (25 mg/kg i.p.) enhanced oxotremorine-induced tremor in mice.
IT **132088-99-4**, 2-(3-Methylphenyl)-4-thiazolecarboxylic acid tropanyl ester **132089-07-7**, 2-Phenyl-5-methyl-4-thiazolecarboxylic acid tropanyl ester **132089-21-5**, N-Tropanyl-2-phenyl-4-thiazolecarboxamide **132089-23-7**, N-Tropanyl-2-(3-methylphenyl)-4-thiazolecarboxamide hydrochloride **132089-25-9**, N-Tropanyl-2-(4-methoxyphenyl)-4-thiazolecarboxamide hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(azoles for improvement and treatment of cholinergic nerve insufficiency)
RN 132088-99-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(3-methylphenyl)-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

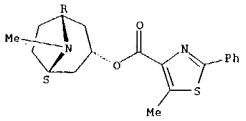
Relative stereochemistry.

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



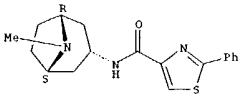
RN 132089-07-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 5-methyl-2-phenyl-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



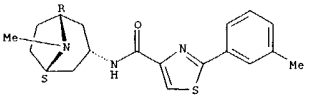
RN 132089-21-5 CAPLUS
CN 4-Thiazolecarboxamide, N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-2-phenyl-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 132089-23-7 CAPLUS
CN 4-Thiazolecarboxamide, N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-2-(3-methylphenyl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

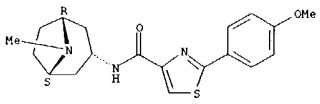
Relative stereochemistry.



●x HCl

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 132089-25-9 CAPLUS
CN 4-Thiazolecarboxamide, 2-(4-methoxyphenyl)-N-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



●x HCl

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=> d his

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     FILE 'REGISTRY' ENTERED AT 17:04:03 ON 23 JUN 2004
L1              STRUCTURE UPLOADED
L2           50 S L1
L3        13012 S L1 FULL
L4            0 S L3 AND CAPLUS
L5        12322 S L3 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 17:04:46 ON 23 JUN 2004
L6         1247 S L5
L7            5 S L6 AND ALZHEIMERS

=> s l5/prod
'PROD' IS NOT A VALID CROSSOVER QUALIFIER FOR L5
Answer sets created in a different file may be field qualified with a
limited set of qualifiers.  Enter HELP CROSSOVER at an arrow prompt
(=>) for specific information.

=> s l5/p
L8          874 L5/P

=> s l8 and alzheimers
          2297 ALZHEIMERS
L9           4 L8 AND ALZHEIMERS

=> s l9 not l7
L10          0 L9 NOT L7

=> ?/p
HELP FOR '?/P' IS NOT AVAILABLE
For information about help messages available in all files, enter
"HELP MESSAGES".  For information about help messages available for
the current file, enter "HELP DIRECTORY".  For a list of commands,
enter "HELP COMMANDS".

=> /?
  IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l8 and sodium channel
        933663 SODIUM
            34 SODIUMS
        933672 SODIUM
                 (SODIUM OR SODIUMS)
        224565 CHANNEL
        132613 CHANNELS
        285874 CHANNEL
                 (CHANNEL OR CHANNELS)
          9846 SODIUM CHANNEL
                 (SODIUM(W)CHANNEL)
L11          3 L8 AND SODIUM CHANNEL
```

```
=> s l11 and disease
        688400 DISEASE
        191467 DISEASES
        778501 DISEASE
                  (DISEASE OR DISEASES)
L12           2 L11 AND DISEASE

=> d ibib abs hitstr l13 1-3
L13 NOT FOUND
The L-number entered has not been defined in this session, or it
has been deleted.  To see the L-numbers currently defined in this
session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> d ibib abs hitstr l11 1-3
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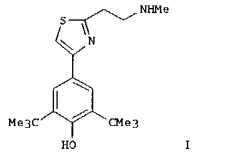
L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:814116 CAPLUS
DOCUMENT NUMBER: 137:325417
TITLE: Preparation and application of 5-membered heterocycles as medicaments
INVENTOR(S): Harnett, Jeremiah; Bigg, Dennis; Liberatore, Anne-Marie; Rolland, Alain
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (SCRAS), Fr.
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083656	A2	20021024	WO 2002-FR1218	20020409
WO 2002083656	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2823208	A1	20021011	FR 2001-4943	20010410
FR 2823208	B1	20040319		
EP 1379514	A2	20040114	EP 2002-761921	20020409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003004524	A	20031029	NO 2003-4524	20031009

PRIORITY APPLN. INFO.: FR 2001-4943 A 20010410
FR 2002-1811 A 20020214
WO 2002-FR1218 W 20020409

GI

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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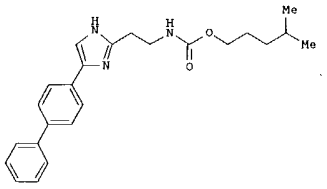
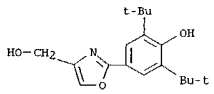


II

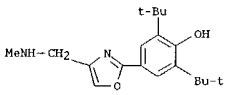
AB The invention relates to thiazole, oxazole or imidazole derivs. having at least one of the following pharmacol. activities:: inhibition of monoamine oxydases (MAO); inhibition of lipid peroxidn.; modulation of **sodium channels**. The inventive compds. comprise, for example, 2,6-di(tert-butyl)-4-(2-[2-(methylamino)ethyl]-1,3-thiazol-4-yl)phenol (I); and 4-methylpentyl 2-[4-(1,1'-biphenyl-4-yl)-1H-imidazol-2-yl]ethyl carbamate (II). Thus, I·HCl was prepared from N-methyl-β-alaninenitrile via. N-protection with (Boc)2O in CH2Cl2 containing EtN(CHMe2)2, sulfurization with H2S in EtOH containing Et3N, cyclocondensation with α-bromo-1-[3,5-di(tert-butyl)-4-hydroxyphenyl]ethanone and acid-catalyzed deprotection with HCl in EtOAc. By virtue of their pharmacol. properties, said compds. can be used to treat one of the following disorders or diseases: Parkinson's disease, senile dementia, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis, schizophrenia, depression, psychoses, migraine or pain, especially neuropathic pain. The pharmacol. activity of I was determined [CI50 ≤ 10 μM vs. monoamine oxydase B; CI50 ≤ 10 μM vs. lipid peroxidn.; CI50 ≤ 1.0 μM on **sodium channels** from the cerebral cortex of rats].

IT **206123-20-8P 473540-23-7P 473541-52-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-membered heterocycles with one of the following pharmacol.
activities: monoamine oxydase inhibition, lipid peroxydation or **sodium channel** modulation)

RN 206123-20-8 CAPLUS
CN 4-Oxazolemethanol, 2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

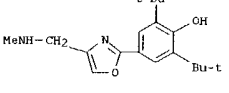


RN 473540-23-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[4-[(methylamino)methyl]-2-oxazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 473541-52-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[4-[(methylamino)methyl]-2-oxazolyl]-(9CI) (CA INDEX NAME)



L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:283789 CAPLUS
DOCUMENT NUMBER: 134:311210
TITLE: 5-Membered heterocycle derivatives useful as monoamine oxidase inhibitors, lipid peroxidation inhibitors, and **sodium channel** modulators, and the production thereof, and use thereof as medicaments
INVENTOR(S): Chabrier de Lassauniere, Pierre-Etienne; Harnett, Jeremiah; Bigg, Dennis; Pommier, Jacques; Lannoy, Jacques; Liberatore, Anne-Marie; Thurieau, Christophe
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S, Fr.
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
BR 2000014649	A	20020618	BR 2000-14649	20001010
EP 1223933	A2	20020724	EP 2000-967988	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
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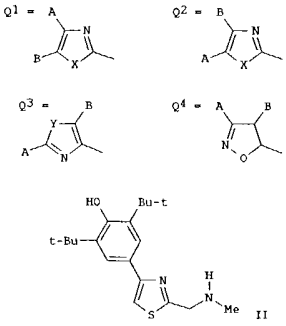
JP 2003511416	T2	20030325	JP 2001-529718	20001010
NO 2002001689	A	20020530	NO 2002-1689	20020410

PRIORITY APPLN. INFO.: FR 1999-12643 A 19991011
FR 2000-10151 A 20000801
FR 2000-11169 A 20000901
EP 2000-967988 A3 20001010
EP 2002-76763 A3 20001010
WO 2000-FR2805 W 20001010

OTHER SOURCE(S): MARPAT 134:311210
GI

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



II

AB The invention relates to pharmaceutical use of heterocyclic compds. of general formula Het(A)(B)-(CH2)n-CR1R2-Q [I; wherein the substituted heterocyclic ring Het(A)(B) = Q1-Q4; A = various aryl or heteroaryl systems, especially a substituted Ph or biphenyl radical, or also alkyl, cycloalkyl, or cycloalkylalkyl; B = especially H or alkyl, or also aryl or substituted alkyl; X = especially NH or S, or also substituted NH; Y = O or S; n = 0-6; R1, R2 = especially H, alkyl, or cycloalkyl; Q = NR3R4 or OR5; R3 and R4 = especially H, alkyl, cycloalkyl, alkynyl, cyanoalkyl alkoxycarbonyl, aralkoxycarbonyl or (cycloalkyl)oxycarbonyl; R5 = H, alkyl, alkynyl, or cyanoalkyl]. I and their racemates, enantiomers, and/or salts can be used for producing medicaments for inhibiting monoamine oxidases (MAO), inhibiting lipid peroxidn., and/or for acting as modulators of **sodium channels**. The resulting medicaments are particularly for use in treating Parkinson's disease, senile dementia, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis, schizophrenia, depression, psychosis, pain and epilepsy. Approx. 350 synthetic examples of I and their salts are given, and numerous free bases of I are claimed. For instance, protection of sarcosinamide-HCl with BOC anhydride gave 72% BOC-N(Me)CH2CONH2, which was converted to the thioamide with (P2S5)2 in 65% yield. Cyclocondensation of the thioamide with 2-bromo-1-(3,5-di-tert-butyl-4-hydroxyphenyl)ethanone (28%), followed by deprotection (73%) and salification (92%), gave thiazole derivative II as the HCl salt. II inhibited binding of the MAO-B specific ligand [3H]-Ro-19-6327 to rat mitochondrial prepns. with IC50 < 10 μM. Selected I also inhibited formation of malondialdehyde by lipid peroxidn. in rat cerebral cortex prepns., and inhibited specific binding of

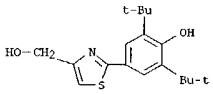
L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

[3H]-batrachotoxin to voltage-dependent **sodium channels** in rat cerebral cortex homogenates.

IT **335245-83-5P**, 2,6-Di-tert-butyl-4-[4-(hydroxymethyl)-1,3-thiazol-2-yl]phenol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and **sodium channel** modulators)

RN 335245-83-5 CAPLUS

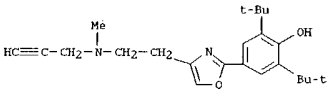
CN 4-Thiazolemethanol, 2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



IT **335242-83-6P**, 2,6-Di-tert-butyl-4-[4-[2-[methyl(2-propynyl)amino]ethyl]-1,3-oxazol-2-yl]phenol **335242-84-7P**, [[2-[2-(3,5-Di-tert-butyl-4-hydroxyphenyl)-1,3-oxazol-4-yl]ethyl](methyl)amino]acetonitrile **335242-85-8P**, 3-[[2-[2-(3,5-Di-tert-butyl-4-hydroxyphenyl)-1,3-oxazol-4-yl]ethyl](methyl)amino]propanenitrile **335246-15-6P**, 2,6-Di-tert-butyl-4-[4-[(methylamino)methyl]-1,3-thiazol-2-yl]phenol hydrochloride **335246-35-0P**, 2,6-Di-tert-butyl-4-[4-[(methylamino)methyl]-1,3-thiazol-2-yl]phenol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and **sodium channel** modulators)

RN 335242-83-6 CAPLUS

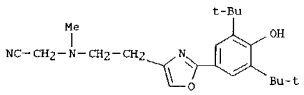
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[4-[2-(methyl~2-propynylamino)ethyl]-2-oxazolyl]- (9CI) (CA INDEX NAME)



RN 335242-84-7 CAPLUS

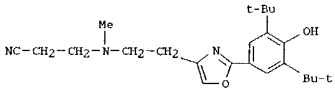
CN Acetonitrile, [[2-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-4-oxazolyl]ethyl]methylamino]- (9CI) (CA INDEX NAME)

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



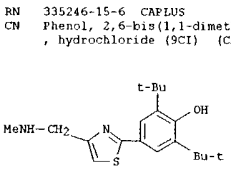
RN 335242-85-8 CAPLUS

CN Propanenitrile, 3-[[2-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-4-oxazolyl]ethyl]methylamino]- (9CI) (CA INDEX NAME)



RN 335246-15-6 CAPLUS

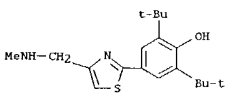
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[4-[(methylamino)methyl]-2-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 335246-35-0 CAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[4-[(methylamino)methyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:706981 CAPLUS
DOCUMENT NUMBER: 133:281779
TITLE: Preparation of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles as **sodium channels** blockers
INVENTOR(S): Hogenkamp, Derk J.; Upasani, Ravindra; Nguyen, Phong
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000057877	A1	20001005	WO 2000-US7944	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1173169	A1	20020123	EP 2000-919636	20000324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009322	A	20020430	BR 2000-9322	20000324
TR 200102790	T2	20020621	TR 2001-200102790	20000324
US 6414011	B1	20020702	US 2000-533864	20000324
DE 20080291	U1	20020801	DE 2000-20080291	20000324
TW 502019	B	20020911	TW 2000-89105616	20000324
JP 2002540155	T2	20021126	JP 2000-607628	20000324
NO 2001004659	A	20011101	NO 2001-4659	20010925
ZA 2001008807	A	20021025	ZA 2001-8807	20011025
US 2003069292	A1	20030410	US 2002-134697	20020430
US 6737418	B2	20040518		

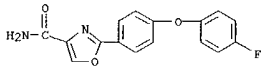
PRIORITY APPLN. INFO.: US 1999-126553P P 19990326
US 2000-533864 A3 20000324
WO 2000-US7944 W 20000324
OTHER SOURCE(S): MARPAT 133:281779
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

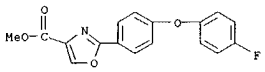
AB The title compds. [I; Het = II-IV, etc.; R1 = H, alkyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.; R5-R13 = H, halo, haloalkyl, etc.; X = O, S, CH2, NH, etc.] and their pharmaceutically acceptable salts which act as **sodium channel** blockers, and are useful as anticonvulsants, were prepared E.g. a 3-step synthesis of V which showed ED50 of 4.2 mg/kg (p.o.) against MES, was given.

IT **299206-71-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(prepn. of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles as **sodium channels** blockers)
RN 299206-71-6 CAPLUS
CN 4-Oxazolecarboxamide, 2-[4-(4-fluorophenoxy)phenyl]- (9CI) (CA INDEX NAME)



IT **299206-96-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles
and pyrroles as **sodium channels** blockers)
RN 299206-96-5 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-[4-(4-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3         13012 S L1 FULL
L4             0 S L3 AND CAPLUS
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L7             5 S L6 AND ALZHEIMERS
L8           874 S L5/P
L9             4 S L8 AND ALZHEIMERS
L10            0 S L9 NOT L7
L11            3 S L8 AND SODIUM CHANNEL
L12            2 S L11 AND DISEASE

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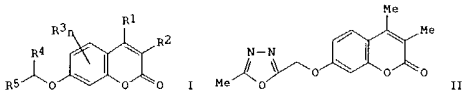
L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:552429 CAPLUS
DOCUMENT NUMBER: 113:152429
TITLE: Preparation of 7-(heterocyclylmethoxy)coumarins as **monoamine** oxidase inhibitors
INVENTOR(S): Frickel, Fritz Frieder; Kuekenhoehner, Thomas; Rendenbach, Beatrice; Weifenbach, Harald; Teschendorf, Hans Juergen
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3834860	A1	19900419	DE 1988-3834860	19881013
CA 1330998	A1	19940726	CA 1989-614143	19890928
EP 363796	A1	19900418	EP 1989-118382	19891004
EP 363796	B1	19930811		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
AT 92924	E	19930815	AT 1989-118382	19891004
US 5073563	A	19911217	US 1989-417451	19891005
JP 02149579	A2	19900608	JP 1989-265343	19891013
JP 2875557	B2	19990331		
US 5227392	A	19930713	US 1992-888445	19920528

PRIORITY APPLN. INFO.: DE 1988-3834860 19881013
EP 1989-118382 19891004
US 1989-417451 19891005
US 1991-725690 19910703

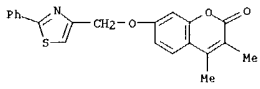
OTHER SOURCE(S): MARPAT 113:152429
GI



AB The title compds. [I; R1,R2 = H, alkyl, CF3, Ph, halo; R1R2 = alkylene; R3 = alkyl, halo; R4 = H, alkyl; R5 = (substituted) pyridyl, isoxazolyl, imidazolyl, benzimidazolyl, thiazolyl, oxadiazolyl, tetrahydrofuryl, benzodioxanyl, piperidinyl, triazolyl, etc.; n = 0-3], were prepared as **monoamine** oxidase inhibitors (no data). Thus, 7-hydroxy-3,4-dimethylcoumarin in DMF was added to NaH in DMF. After 45 min 2-chloromethyl-5-methyl-1,3,4-oxadiazole in DMF was added and the mixture was stirred overnight at room temperature to give 57% oxadiazolylmethoxycoumarin II.

IT **129563-26-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)

L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(prepn. of, as **monoamine** oxidase inhibitor)
RN 129563-26-4 CAPLUS
CN 2H-1-Benzopyran-2-one, 3,4-dimethyl-7-[(2-phenyl-4-thiazolyl)methoxy]- (9CI) (CA INDEX NAME)



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L15          0 L8 AND LIPIDIC

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                 (PEROXIDATION OR PEROXIDATIONS)
         37744 PEROXIDN
           118 PEROXIDNS
         37763 PEROXIDN
                 (PEROXIDN OR PEROXIDNS)
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                 (PEROXIDATION OR PEROXIDN)
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L17          6 L16 NOT L11

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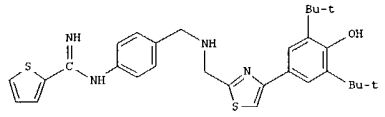
L17 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:319488 CAPLUS
DOCUMENT NUMBER: 138:337988
TITLE: Novel 2-[(iminomethyl)amino]phenyl derivatives useful as inhibitors of NO synthase and lipid **peroxidation**, their preparation, their application as medicines, and pharmaceutical compositions containing them
INVENTOR(S): Chabrier De Lassauniere, Pierre Etienne; Auvin, Serge; Bigg, Dennis; Auguet, Michel; Harnett, Jeremiah
PATENT ASSIGNEE(S): Fr.
SOURCE: U.S. Pat. Appl. Publ., 78 pp., Cont.-in-part of U.S. Ser. No. 802,264.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078420	A1	20030424	US 2002-191950	20020709
FR 2761066	A1	19980925	FR 1997-3528	19970324
FR 2761066	B1	20001124		
FR 2764889	A1	19981224	FR 1997-7701	19970620
FR 2764889	B1	20000901		
WO 9842696	A1	19981001	WO 1998-FR288	19980216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
WO 9858934	A1	19981230	WO 1998-FR1250	19980615
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6335445	B1	20020101	US 1999-456205	19991207
US 2002007062	A1	20020117	US 2001-882264	20010615
US 6630461	B2	20031007		

PRIORITY APPLN. INFO.:
FR 1997-3528 A 19970324
FR 1997-7701 A 19970620
WO 1998-FR288 W 19980216
WO 1998-FR1250 W 19980615
US 1999-456205 A3 19991207
US 2001-882264 A2 20010615
US 1999-381749 A2 19990922

OTHER SOURCE(S): MARPAT 138:337988
GI

L17 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

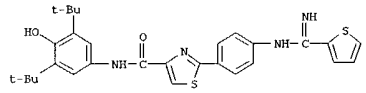


I

AB Title compds., e.g., N-[4-[[[[4-(3,5-di-tert-butyl-4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl]amino]methyl]phenyl]thiophene-2-carboximidamide (I) are prepared The compds. are inhibitors of NO synthases, and are also antioxidants which inhibit lipid **peroxidn.** Approx. 70 examples are prepared I had IC50 for inhibiting rat neuronal NO synthase in vitro < 3.5 μM, and the IC50 for inhibiting rat cerebral lipid **peroxidn** . in vitro is < 30 μM.

IT **218944-10-6P**, N-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[4-[[imino(2-thienyl)methyl]amino]phenyl]thiazole-4-carboxamide hydroiodide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and testing of 2-[(iminomethyl)amino]phenyl derivs. as inhibitors of NO synthase and lipid **peroxidn**.)

RN 218944-10-6 CAPLUS
CN 4-Thiazolecarboxamide, N-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[4-[[imino(2-thienylmethyl)amino]phenyl]-, monohydriodide (9CI) (CA INDEX NAME)

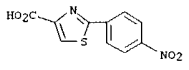


● HI

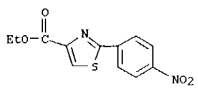
IT **17228-97-6P**, 2-(4-Nitrophenyl)thiazole-4-carboxylic Acid
78979-64-3P, Ethyl 2-(4-nitrophenyl)-4-thiazolecarboxylate
218944-08-2P, N-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(4-nitrophenyl]thiazole-4-carboxamide **218944-09-3P**, N-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(4-aminophenyl)thiazole-4-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and testing of 2-[(iminomethyl)amino]phenyl derivs. as inhibitors of NO synthase and lipid **peroxidn**.)

RN 17228-97-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

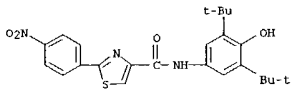
L17 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



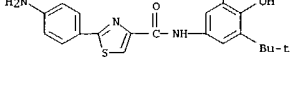
RN 78979-64-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 218944-08-2 CAPLUS
CN 4-Thiazolecarboxamide, N-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 218944-09-3 CAPLUS
CN 4-Thiazolecarboxamide, 2-(4-aminophenyl)-N-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



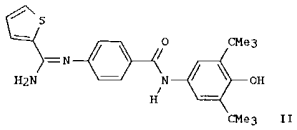
L17 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:6386 CAPLUS
DOCUMENT NUMBER: 136:69731
TITLE: Preparation of N-phenylthiophenecarboxamidines and analogs as NO synthase and lipid **peroxidation** inhibitors
INVENTOR(S): Chabrier de Lassauniere, Pierre Etienne; Auvin, Serge; Bigg, Dennis; Auguet, Michel; Harnett, Jeremiah
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: U.S., 63 pp., Cont.-in-part of U. S. Ser. No. 381,749.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6335445	B1	20020101	US 1999-456205	19991207
FR 2761066	A1	19980925	FR 1997-3528	19970324
FR 2761066	B1	20001124		
FR 2764889	A1	19981224	FR 1997-7701	19970620
FR 2764889	B1	20000901		
WO 9842696	A1	19981001	WO 1998-FR288	19980216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6340700	B1	20020122	US 1999-381749	19990922
US 2002007062	A1	20020117	US 2001-882264	20010615
US 6630461	B2	20031007		
US 2002045753	A1	20020418	US 2001-945782	20010904
US 6599903	B2	20030729		
US 2002042511	A1	20020411	US 2001-953682	20010917
US 6586454	B2	20030701		
US 2003078420	A1	20030424	US 2002-191950	20020709

PRIORITY APPLN. INFO.:
FR 1997-3528 A 19970324
FR 1997-7701 A 19970620
WO 1998-FR288 W 19980216
US 1999-381749 A2 19990922
WO 1998-FR1250 W 19980615
US 1999-456205 A3 19991207
US 2001-882264 A3 20010615

OTHER SOURCE(S): MARPAT 136:69731
GI

L17 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



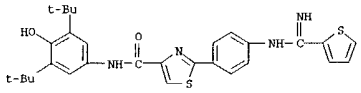
II

AB RZZ1Z2Z3N:C(NH2)R1 [I; R = H, (un)substituted C6H4OR3, indolyl, etc.; R1 = alkyl or (un)substituted (hetero)aryl; R3 = H, alkyl, etc.; Z = bond, CO, alkylene(carbonyl), CONH, etc.; Z1 = bond or heterocyclylene; Z2 = bond, alkylene(oxy), etc.; Z3 = (un)substituted phenylene] were prepared Thus, 4-(O2N)C6H4NH2 was amidated by 3,5-di-tert-butyl-4-hydroxybenzoic acid and the reduced product amidated by S-methyl-2-thiophenethiocarboximide hydroiodide to give title compound II. Data for biol. activity of I were given.

IT **218944-10-6P 384833-32-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-phenylthiophenecarboxamidines and analogs as NO synthase and lipid **peroxidn.** inhibitors)

RN 218944-10-6 CAPLUS

CN 4-Thiazolecarboxamide, N-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[4-[(imino-2-thienylmethyl)amino]phenyl]-, monohydriodide (9CI) (CA INDEX NAME)

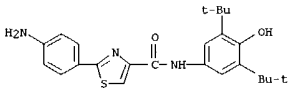


● HI

RN 384833-32-3 CAPLUS

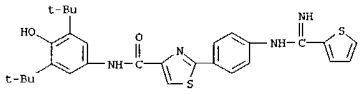
CN 4-Thiazolecarboxamide, N-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[4-[(imino-2-thienylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)

L17 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

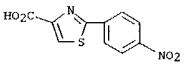
L17 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **17228-97-6P 78979-64-3P**, Ethyl 2-(4-nitrophenyl)thiazole-4-carboxylate **218944-08-2P 218944-09-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-phenylthiophenecarboxamidines and analogs as NO synthase and lipid **peroxidn.** inhibitors)

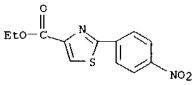
RN 17228-97-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



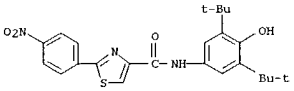
RN 78979-64-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(4-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 218944-08-2 CAPLUS

CN 4-Thiazolecarboxamide, N-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 218944-09-3 CAPLUS

CN 4-Thiazolecarboxamide, 2-(4-aminophenyl)-N-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

L17 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:338525 CAPLUS

DOCUMENT NUMBER: 134:353248

TITLE: Novel heterocyclic compounds and their use as medicines

INVENTOR(S): Auvin, Serge; Chabrier De Lassauniere, Pierre-Etienne

PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.

SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032654	A2	20010510	WO 2000-FR3067	20001103
WO 2001032654	A3	20010927		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2800737	A1	20010511	FR 1999-13858	19991105
FR 2809398	A1	20011130	FR 2000-6535	20000523
FR 2809398	B3	20020726		
BR 2000015315	A	20020625	BR 2000-15315	20001103
EP 1233962	A2	20020828	EP 2000-974646	20001103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003513092	T2	20030408	JP 2001-534805	20001103
US 6747024	B1	20040608	US 2002-111994	20020430
NO 2002002088	A	20020502	NO 2002-2088	20020502

PRIORITY APPLN. INFO.: FR 1999-13858 A 19991105
FR 2000-6535 A 20000523
WO 2000-FR3067 W 20001103

OTHER SOURCE(S): MARPAT 134:353248

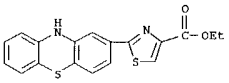
AB Novel heterocyclic derivs. which have calpain inhibiting and/or reactive oxygen species trapping activity (no data) are reported. Thus, (R)-Trolox was treated with (S)-2-aminobutyrolactone hydrochloride, followed by DIBAL reduction to give (2R)-6-hydroxy-N-[(3S)-2-hydroxytetrahydrofuran-3-yl]-2,5,7,8-tetramethyl-3,4-dihydro-2H-chromene-2-carboxamide.

IT **339007-77-1P 339007-78-2P 339007-79-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel heterocyclic compds. as calpain inhibitors and trapping agents for reactive oxygen species)

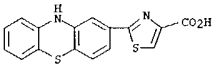
RN 339007-77-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(10H-phenothiazin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)

L17 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

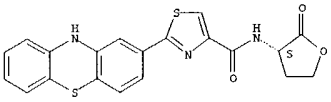


RN 339007-78-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(10H-phenothiazin-2-yl)- (9CI) (CA INDEX NAME)



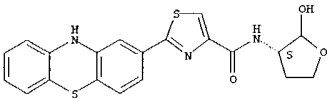
RN 339007-79-3 CAPLUS
CN 4-Thiazolecarboxamide, 2-(10H-phenothiazin-2-yl)-N-[(3S)-tetrahydro-2-oxo-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

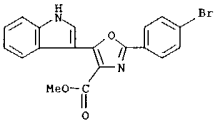


IT **339007-49-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel heterocyclic compds. as calpain inhibitors and trapping agents for reactive oxygen species)
RN 339007-49-7 CAPLUS
CN 4-Thiazolecarboxamide, 2-(10H-phenothiazin-2-yl)-N-[(3S)-tetrahydro-2-hydroxy-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



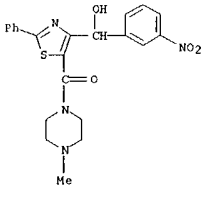
L17 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:443451 CAPLUS
DOCUMENT NUMBER: 133:222639
TITLE: Solid-phase synthesis of 5-(3-indolyl)oxazoles that inhibit lipid **peroxidation**
AUTHOR(S): Nishida, A.; Fuwa, M.; Naruto, S.; Sugano, Y.; Saito, H.; Nakagawa, M.
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Chiba University, Chiba, 263-8522, Japan
SOURCE: Tetrahedron Letters (2000), 41(24), 4791-4794
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:222639
AB A series of 5-(3-indolyl)oxazoles were prepared by solid-support synthesis. Oxidative cyclization of an immobilized dipeptide containing tryptophan gave these oxazoles efficiently.
IT **291752-96-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of indolyloxazoles)
RN 291752-96-0 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-(4-bromophenyl)-5-(1H-indol-3-yl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

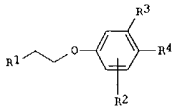
L17 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:731145 CAPLUS
DOCUMENT NUMBER: 123:339909
TITLE: Studies on cerebral protective agents. VII. Synthesis of novel 4-arylazole derivatives with anti-anoxic activity
AUTHOR(S): Ohkubo, Mitsuru; Kuno, Atsushi; Sakai, Hiroyoshi; Takasugi, Hisashi
CORPORATE SOURCE: New Drug Res. Labs., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1995), 43(6), 947-54
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Novel 4-arylazole (i.e. thiazole, oxazole, and imidazole) derivs., possessing an amino moiety at the C-5 position of the azole ring, were prepared and tested for antianoxic (AA) activity in mice. Among them, 5-(4-methylpiperazin-1-yl)methyl-4-(3-nitrophenyl)-2-phenylthiazole (FR-75094) possessed significant AA activity (10 mg/kg, i.p. and 100 mg/kg, p.o., resp.), and was also effective on anti-lipid **peroxidn**. (ALP) assay and inhibited arachidonate-induced cerebral edema in rats. Structure-activity relationships in regard to AA activity of this series of compds. are discussed.
IT **119511-92-1P**, Piperazine, 1-[[4-[hydroxy(3-nitrophenyl)methyl]-2-phenyl-5-thiazolyl]carbonyl]-4-methyl-
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(arylazoles as cerebral protective agents and for treatment of anoxia)
RN 119511-92-1 CAPLUS
CN Piperazine, 1-[[4-[hydroxy(3-nitrophenyl)methyl]-2-phenyl-5-thiazolyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



L17 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:705727 CAPLUS
DOCUMENT NUMBER: 123:112070
TITLE: Aryl-N-hydroxyureas as inhibitors of 5-lipoxygenase and anti-arteriosclerotic agents
INVENTOR(S): Malamas, Michael S.; Gunawan, Iwan
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5428048	A	19950627	US 1993-148602	19931108
US 5541205	A	19960730	US 1995-409781	19950324

PRIORITY APPLN. INFO.: US 1993-148602 19931108
OTHER SOURCE(S): MARPAT 123:112070
GI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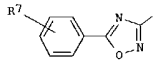
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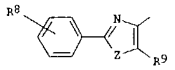
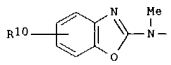
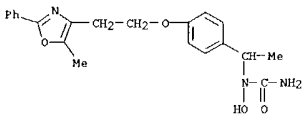
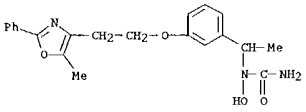

III


IV

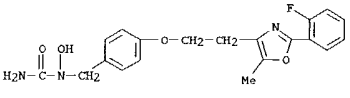
AB A method of inhibiting the biosynthesis of leukotrienes and the oxidative modification of lipids is claimed, which comprises administration to a mammal in need thereof a therapeutically effective amount of aryl-N-hydroxyureas I wherein: R2 is hydrogen, halogen or C1-C6 alkyl; one of R3 and R4 is H and the other is CHR5N(OH)C(:Y)R, Y is O or S; R5 is hydrogen or Me, R6 is NH2, CH3 or OCH3; and R1 is II, III, or IV wherein R7, R8 and R10 are independently halogen, trifluoromethyl, alkyl, alkoxy, methanesulfonyl or trifluoromethanesulfonyl; R9 is hydrogen or methyl; and Z is O or S, or a pharmaceutically acceptable salt thereof. Thus, e.g., to a solution of 4-(2'-hydroxyethyl)-5-methyl-2-phenyloxazole (III-CH2CH2OH, R8 = H, R9 = Me, Z = O) and 4-HOC6H4CHO in THF was added di-Et azodicarboxylate; workup afforded 4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]benzaldehyde (78%); oximation (90%), followed by reduction to the hydroxylamine (85%) and carbamoylation with trimethylsilyl isocyanate afforded 1-hydroxy-1-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]benzyl]urea I [R1 = III, R8 = H, R9 = Me, Z = O, R2 = R3 = H, R4



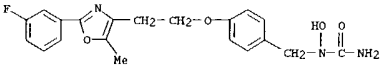
RN 166262-09-5 CAPLUS
CN Urea, N-hydroxy-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 166262-10-8 CAPLUS
CN Urea, N-[[4-[2-[2-(2-fluorophenyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 166262-11-9 CAPLUS
CN Urea, N-[[4-[2-[2-(3-fluorophenyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

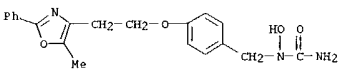


RN 166262-12-0 CAPLUS
CN Urea, N-[[4-[2-[2-(4-fluorophenyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

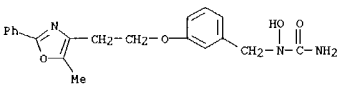
= CH2N(OH)CONH2; 69%] which demonstrated inhibition of 5-lipoxygenase in human whole blood with 55% inhibition of LTB4 at 1μM dose and inhibited Cu+2-mediated oxidn. of low d. lipoprotein with IC50 = 0.69 μM.

IT **150321-05-4P 166262-06-2P 166262-07-3P**
166262-08-4P 166262-09-5P 166262-10-8P
166262-11-9P 166262-12-0P 166262-13-1P
166262-14-2P 166262-15-3P 166262-16-4P
166262-17-5P 166262-18-6P 166262-19-7P
166262-20-0P 166262-21-1P 166262-22-2P
166262-23-3P 166262-24-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl-N-hydroxyureas as inhibitors of 5-lipoxygenase and anti-arteriosclerotic agents)

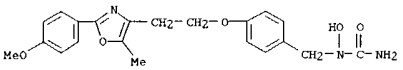
RN 150321-05-4 CAPLUS
CN Urea, N-hydroxy-N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



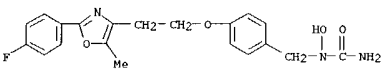
RN 166262-06-2 CAPLUS
CN Urea, N-hydroxy-N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



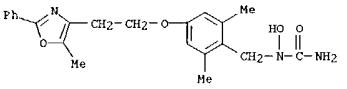
RN 166262-07-3 CAPLUS
CN Urea, N-hydroxy-N-[[4-[2-[2-(4-methoxyphenyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



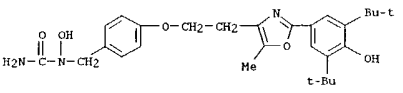
RN 166262-08-4 CAPLUS
CN Urea, N-hydroxy-N-[1-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



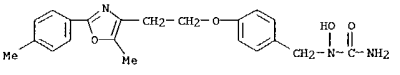
RN 166262-13-1 CAPLUS
CN Urea, N-[[2,6-dimethyl-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)



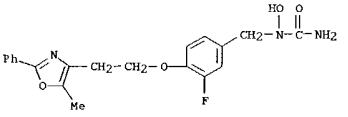
RN 166262-14-2 CAPLUS
CN Urea, N-[[4-[2-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-5-methyl-4-oxazolyl]ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 166262-15-3 CAPLUS
CN Urea, N-hydroxy-N-[[4-[2-[5-methyl-2-(4-methylphenyl)-4-oxazolyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

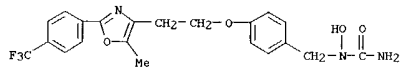


RN 166262-16-4 CAPLUS
CN Urea, N-[[3-fluoro-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

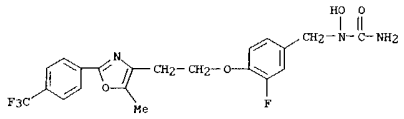


L17 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

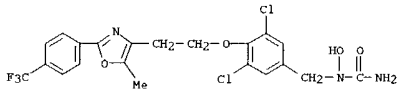
RN 166262-17-5 CAPLUS
CN Urea, N-hydroxy-N-[[4-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



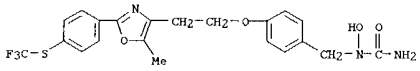
RN 166262-18-6 CAPLUS
CN Urea, N-[[3-fluoro-4-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 166262-19-7 CAPLUS
CN Urea, N-[[3,5-dichloro-4-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

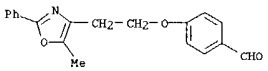


RN 166262-20-0 CAPLUS
CN Urea, N-hydroxy-N-[[4-[2-[5-methyl-2-[4-[(trifluoromethyl)thio]phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

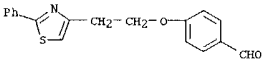


RN 166262-21-1 CAPLUS
CN Urea, N-hydroxy-N-[[4-[2-(2-phenyl-4-thiazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

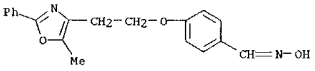
L17 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



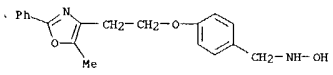
RN 103789-56-6 CAPLUS
CN Benzaldehyde, 4-[2-(2-phenyl-4-thiazolyl)ethoxy]- (9CI) (CA INDEX NAME)



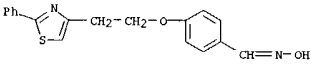
RN 141820-05-5 CAPLUS
CN Benzaldehyde, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, oxime (9CI) (CA INDEX NAME)



RN 150301-88-5 CAPLUS
CN Benzenemethanamine, N-hydroxy-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (9CI) (CA INDEX NAME)

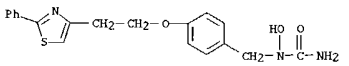


RN 166262-29-9 CAPLUS
CN Benzaldehyde, 4-[2-(2-phenyl-4-thiazolyl)ethoxy]-, oxime (9CI) (CA INDEX NAME)

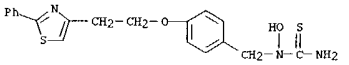


RN 166262-30-2 CAPLUS
CN Benzenemethanamine, N-hydroxy-4-[2-(2-phenyl-4-thiazolyl)ethoxy]- (9CI) (CA INDEX NAME)

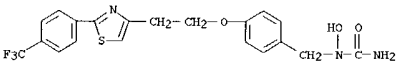
L17 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



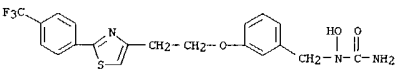
RN 166262-22-2 CAPLUS
CN Thiourea, N-hydroxy-N-[[4-[2-(2-phenyl-4-thiazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 166262-23-3 CAPLUS
CN Urea, N-hydroxy-N-[[4-[2-[2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



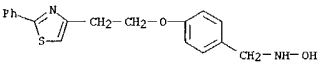
RN 166262-24-4 CAPLUS
CN Urea, N-hydroxy-N-[[3-[2-[2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



IT **103788-59-6P 103789-56-6P 141820-05-5P 150301-88-5P 166262-29-9P 166262-30-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(aryl-N-hydroxyureas as inhibitors of 5-lipoxygenase and anti-arteriosclerotic agents)

RN 103788-59-6 CAPLUS
CN Benzaldehyde, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (9CI) (CA INDEX NAME)

L17 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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L2           50 S L1
L3        13012 S L1 FULL
L4            0 S L3 AND CAPLUS
L5        12322 S L3 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 17:04:46 ON 23 JUN 2004
L6         1247 S L5
L7            5 S L6 AND ALZHEIMERS
L8          874 S L5/P
L9            4 S L8 AND ALZHEIMERS
L10           0 S L9 NOT L7
L11           3 S L8 AND SODIUM CHANNEL
L12           2 S L11 AND DISEASE
L13           3 S L8 AND MONOAMINE
L14           1 S L13 NOT L11
L15           0 S L8 AND LIPIDIC
L16           8 S L8 AND PEROXIDATION
L17           6 S L16 NOT L11

=> s l8 and pain
         33514 PAIN
           851 PAINS
         34124 PAIN
                 (PAIN OR PAINS)
L18         21 L8 AND PAIN

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L19         21 L18 NOT L17

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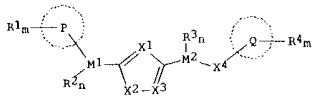
L20 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143126 CAPLUS
DOCUMENT NUMBER: 140:199331
TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists
INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer
PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; Nps Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 318 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014881	A2	20040219	WO 2003-US24846	20030808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-402040P P 20020809
OTHER SOURCE(S): MARPAT 140:199331
GI



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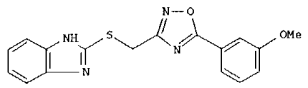


II

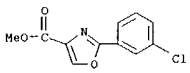
AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and

L20 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

new intermediates prepd. therein, pharmaceutical formulations contg. said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute **pain** disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of prepn. are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepd. in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3- to 8-membered ring contg. ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring contg. ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring contg. ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring contg. ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring contg. ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring contg. ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

IT **154405-97-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of five-membered heterocyclic compds. as mGluR5 receptor antagonists)

RN 154405-97-7 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-(3-chlorophenyl)-, methyl ester (9CI) (CA INDEX NAME)

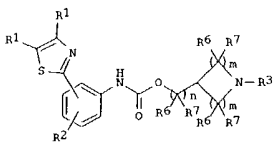


L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:120688 CAPLUS
DOCUMENT NUMBER: 140:181438
TITLE: Preparation of piperidinylmethyl (thiazolyl)phenylcarbamates as M3 muscarinic acetylcholine receptor antagonists
INVENTOR(S): Laine, Dramane I.; Bell, Richard; Busch-Petersen, Jakob; Palovich, Michael
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

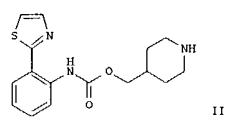
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004012684	A2	20040212	WO 2003-US24569	20030806

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-401756P P 20020806
OTHER SOURCE(S): MARPAT 140:181438
GI



I



II

AB Title compds. I [wherein R1 = halogen, alkyl, CH2F, CHF2; R2 = H, OH, alkyl, aryl, halogen, alkoxy; R3 = H, (cyclo)alkyl, alkenyl, alkenylaryl, (un)substituted alkylaryl, cycloalkylalkyl; R6, R7 = independently H, alkyl; or R6 and R7 together form an (un)substituted (hetero)cyclic ring; n = 1-2; m = 1-2] were prepared For example, reaction of tert-Bu

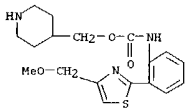
L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
4-[[[(2-bromophenyl)amino]carbonyloxy]methyl]piperidine-1-carboxylate with bis(pinacolato)diboron, followed by coupling reaction with 2-bromothiazole and deprotection with CF3CO2H, afford II•CF3CO2H. Thus, I and their pharmaceutical compns. are useful as M3 muscarinic acetylcholine receptor antagonists for the treatment of chronic obstructive lung disease, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, pulmonary emphysema, and allergic rhinitis, irritable bowel syndrome, spasmodic colitis, gastroduodenal ulcers, gastrointestinal convulsions or hyperanakinesia, diverticulitis, **pain** accompanying spasms of gastrointestinal smooth musculature; urinary-tract disorders accompanying micturition disorders, neurogenic pollakiuria, neurogenic bladder, nocturnal enuresis, psychosomatic bladder, incontinence assocd. with bladder spasms or chronic cystitis, urinary urgency or pollakiuria, and motion sickness (no data).

IT **658076-82-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinylmethyl (thiazolyl)phenylcarbamates as M3 muscarinic acetylcholine receptor antagonists)

RN 658076-82-5 CAPLUS
CN Carbamic acid, [2-[4-(methoxymethyl)-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 658076-81-4
CMF C18 H23 N3 O3 S

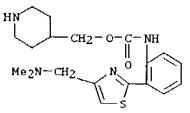


CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **658076-81-4P 658077-40-8P 658077-41-9P**
658077-43-1P 658077-44-2P 658077-45-3P

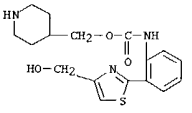
L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

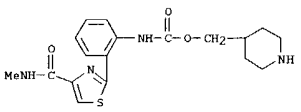
CRN 76-05-1
CMF C2 H F3 O2

RN 658077-43-1 CAPLUS
CN Carbamic acid, [2-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

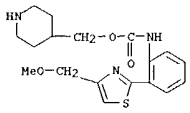
RN 658077-44-2 CAPLUS
CN Carbamic acid, [2-[4-[(methylamino)carbonyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)



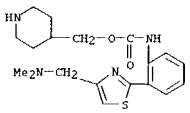
RN 658077-45-3 CAPLUS

L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
658077-46-4P 658077-47-5P 658077-48-6P
658077-49-7P 658077-52-2P 658077-53-3P
658077-54-4P 658078-07-0P 658078-08-1P
658078-09-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinylmethyl (thiazolyl)phenylcarbamates as M3 muscarinic acetylcholine receptor antagonists)

RN 658076-81-4 CAPLUS
CN Carbamic acid, [2-[4-(methoxymethyl)-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)



RN 658077-40-8 CAPLUS
CN Carbamic acid, [2-[4-[(dimethylamino)methyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)



RN 658077-41-9 CAPLUS
CN Carbamic acid, [2-[4-[(dimethylamino)methyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

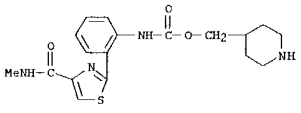
CM 1

CRN 658077-40-8
CMF C19 H26 N4 O2 S

L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Carbamic acid, [2-[4-[(methylamino)carbonyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

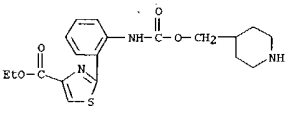
CRN 658077-44-2
CMF C18 H22 N4 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 658077-46-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[[(4-piperidinylmethoxy)carbonyl]amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

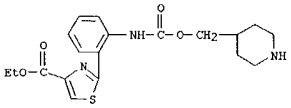


RN 658077-47-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-[[(4-piperidinylmethoxy)carbonyl]amino]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 658077-46-4
CMF C19 H23 N3 O4 S

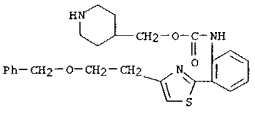
L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 658077-48-6 CAPLUS
CN Carbamic acid, [2-[4-[2-(phenylmethoxy)ethyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)

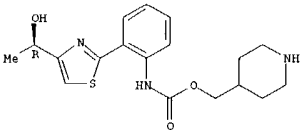


RN 658077-49-7 CAPLUS
CN Carbamic acid, [2-[4-[2-(phenylmethoxy)ethyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

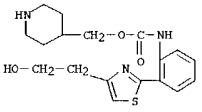
CRN 658077-48-6
CMF C25 H29 N3 O3 S

L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



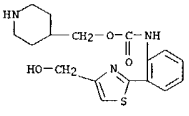
● HCl

RN 658077-54-4 CAPLUS
CN Carbamic acid, [2-[4-(2-hydroxyethyl)-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

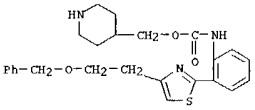
RN 658078-07-0 CAPLUS
CN Carbamic acid, [2-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)



RN 658078-08-1 CAPLUS
CN Carbamic acid, [2-[4-[(1R)-1-hydroxyethyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

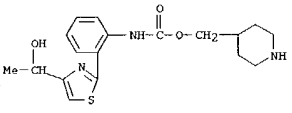
L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

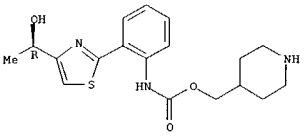
RN 658077-52-2 CAPLUS
CN Carbamic acid, [2-[4-(1-hydroxyethyl)-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)



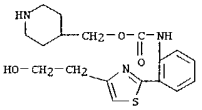
RN 658077-53-3 CAPLUS
CN Carbamic acid, [2-[4-[(1R)-1-hydroxyethyl]-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

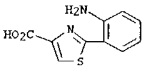


RN 658078-09-2 CAPLUS
CN Carbamic acid, [2-[4-(2-hydroxyethyl)-2-thiazolyl]phenyl]-, 4-piperidinylmethyl ester (9CI) (CA INDEX NAME)

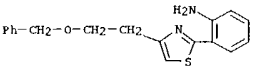


IT **115311-40-5P 658076-35-8P 658076-36-9P**
658076-37-0P 658076-39-2P 658076-43-8P
658076-44-9P 658076-51-8P 658076-77-8P
658076-78-9P 658076-80-3P 658076-89-2P
658076-94-9P 658076-95-0P 658076-98-3P
658076-99-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinylmethyl (thiazolyl)phenylcarbamates as M3 muscarinic acetylcholine receptor antagonists)

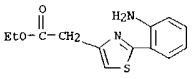
RN 115311-40-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(2-aminophenyl)- (9CI) (CA INDEX NAME)



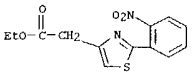
RN 658076-35-8 CAPLUS
CN Benzenamine, 2-[4-[2-(phenylmethoxy)ethyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 658076-36-9 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2-aminophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

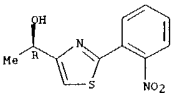


RN 658076-37-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-(2-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

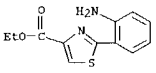


RN 658076-39-2 CAPLUS
CN 4-Thiazolemethanol, α-methyl-2-(2-nitrophenyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

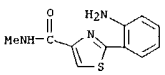


RN 658076-43-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(2-aminophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



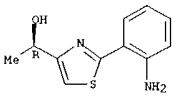
RN 658076-44-9 CAPLUS
CN 4-Thiazolecarboxamide, 2-(2-aminophenyl)-N-methyl- (9CI) (CA INDEX NAME)

L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

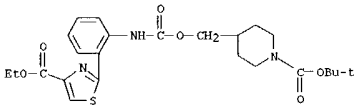


RN 658076-51-8 CAPLUS
CN 4-Thiazolemethanol, 2-(2-aminophenyl)-α-methyl-, (αR)- (9CI) (CA INDEX NAME)

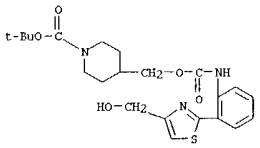
Absolute stereochemistry.



RN 658076-77-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-(ethoxycarbonyl)-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

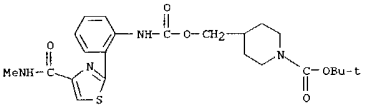


RN 658076-78-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-(hydroxymethyl)-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

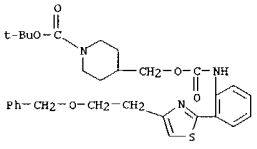


L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

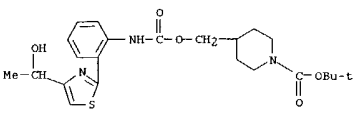
RN 658076-80-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-[(methylamino)carbonyl]-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 658076-89-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-[2-(phenylmethoxy)ethyl]-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



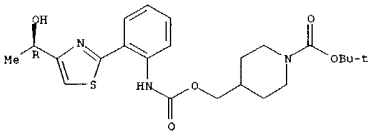
RN 658076-94-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-(1-hydroxyethyl)-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



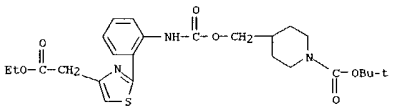
RN 658076-95-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-[(1R)-1-hydroxyethyl]-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

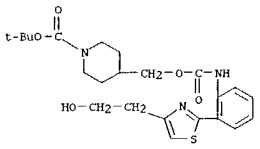
L20 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 658076-98-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-(2-ethoxy-2-oxoethyl)-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 658076-99-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[[2-[4-(2-hydroxyethyl)-2-thiazolyl]phenyl]amino]carbonyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

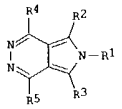


L20 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60243 CAPLUS
DOCUMENT NUMBER: 140:111422
TITLE: Treatment of neuropathic **pain** with 6H-pyrrolo[3,4-d]pyridazine compounds
INVENTOR(S): Anker, Naomi Burke; Arruda, Jeannie M.; Campbell, Brian Thomas; Munoz, Benito; Prasit, Petpiboon; Stearns, Brian A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006836	A2	20040122	WO 2003-US21493	20030708
WO 2004006836	A3	20040415		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-394734P P 20020711
OTHER SOURCE(S): MARPAT 140:111422
GI

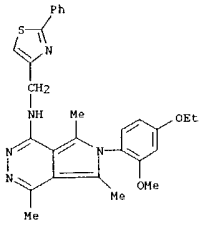


I

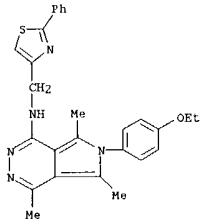
AB The title compds. [I; R1 = (un)substituted alkyl(hetero)aryl, alkyl(hetero)cycloalkyl, (hetero)aryl, (hetero)cycloalkyl; R2-R5 = a bond, (un)substituted alkyl, alkyl(hetero)aryl, alkyl(hetero)cycloalkyl, (hetero)aryl, (hetero)cycloalkyl] were prepared as as ligands of voltage gated calcium channels (VGCC), useful in the treatment of neuropathic **pain**, and psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, panic, and bipolar disorder, as well as in the treatment of **pain**, Parkinson s disease, cognitive dysfunction, epilepsy, circadian rhythm disorders, drug addiction, drug abuse, drug withdrawal and other. E.g., a multi-step synthesis of I [R1 =

L20 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L20 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
4-EtOC6H4; R2-R4 = Me; R5 = 4-MeOC6H4] which produced a 65% effect after i.p. dosing at 30 mg/kg in spinal nerve ligation model of neuropathic **pain** in rats, was given. The pharmaceutical compn. comprising the compd. I is claimed.
IT **647847-56-1P 647848-47-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6H-pyrrolo[3,4-d]pyridazines for treating neuropathic **pain**)
RN 647847-56-1 CAPLUS
CN 6H-Pyrrolo[3,4-d]pyridazin-1-amine, 6-(4-ethoxy-2-methoxyphenyl)-4,5,7-trimethyl-N-[(2-phenyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 647848-47-3 CAPLUS
CN 6H-Pyrrolo[3,4-d]pyridazin-1-amine, 6-(4-ethoxyphenyl)-4,5,7-trimethyl-N-[(2-phenyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)

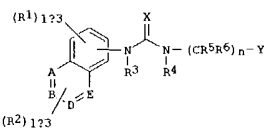


L20 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:777762 CAPLUS
DOCUMENT NUMBER: 139:292162
TITLE: Heteroaromatic ureas as vanilloid receptor (VR1) modulators, in particular antagonists, for treating **pain** and/or inflammation
INVENTOR(S): Brown, Rebecca Elizabeth; Doughty, Victoria Alexandra; Hollingworth, Gregory John; Jones, A. Brian; Lindon, Matthew John; Moyes, Christopher Richard; Rogers, Lauren
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080578	A1	20031002	WO 2003-GB1302	20030321

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-6876 A 20020322
OTHER SOURCE(S): MARPAT 139:292162
GI



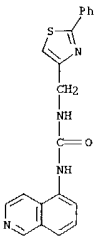
I

AB Title compds. I [wherein A, B, D, E are each C or N with the proviso that one or more are N; R1, R2 = independently H, halo, alk(enyl/ynyl), haloalkyl, hydroxyalkyl, cycloalkyl, cycloalkylalkyl, NH2 and derivs., CO2H and derivs., (un)substituted alkyl, alkoxy; R3, R4 = independently H, alk(en/yn)yl; R5, R6 = at each occurrence, independently H, alk(enyl/ynyl), alkoxy, acyloxy, carboxy and derivs., CONH2 and derivs., sulfonyl(alkyl/amino), aryl, hetero(aryl/cyclyl), (un)substituted alkyl; or CR5R6 = 3-6 carbocyclic membered ring; R7, R8 = at each occurrence, independently H, alk(en/yn)yl, cycloalkyl, fluoroalkyl; or NR7R8 = (un)substituted 4-7 heteroaliph. membered ring; X = O, S or =NCN; Y =

L20 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
aryl, heteroaryl, carbocyclyl, fused carbocyclyl group; n = 0, 1, 2, 3; and their pharmaceutically acceptable salts, N-oxides, and prodrugs) were prepd. as vanilloid receptor (VR1) modulators, in particular antagonists, for treating conditions or diseases in which **pain** and/or inflammation predominates. For example, 1-isoquinolin-5-yl-3-(3-phenylpropyl)urea was prepd. by reacting isoquinoline-5-carboxylic acid with diphenylphosphoryl azide in toluene at reflux for 1 h through a Curtius rearrangement, followed by addn. of 3-phenylpropylamine and reflux for 18 h. I bound to the VR1 receptor with an IC50 < 1 μM, and in the majority of cases, < 200 nM. I are predominantly VR1 antagonists with a few of them VR1 partial antagonists and VR1 partial agonists. Thus, I and their pharmaceutical compns. are useful for treating **pain** and/or inflammation.

IT **608516-31-0P**, 1-Isoquinolin-5-yl-3-[(2-phenyl-1,3-thiazol-4-yl)methyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VR1 receptor ligand; preparation of heteroarom. ureas as vanilloid receptor
modulators for treating **pain** and inflammation)

RN 608516-31-0 CAPLUS
CN Urea, N-5-isoquinolinyl-N'-[(2-phenyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

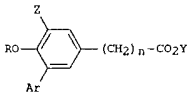
ACCESSION NUMBER: 2003:678772 CAPLUS
DOCUMENT NUMBER: 139:214465
TITLE: Preparation of substituted phenylalkanoic acid derivatives as inhibitors of prostaglandin and leukotriene production
INVENTOR(S): Shoda, Motoshi; Kuriyama, Hiroshi
PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 607 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070686	A1	20030828	WO 2003-JP1849	20030220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004044258	A1	20040304	US 2003-368435	20030220
PRIORITY APPLN. INFO.:			JP 2002-45293 A	20020221
			JP 2002-301543 A	20021016
			US 2002-358337P P	20020222
			US 2002-419098P P	20021018

OTHER SOURCE(S): MARPAT 139:214465
GI



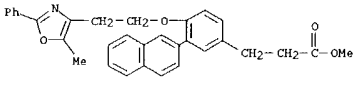
I

AB Compds. represented by the general formula (I) [wherein n is an integer of 1 to 3; R represents C3-8 alkyl, a group represented by R1(CH2)k- (k is an integer of 0 to 3; and R1 represents C3-7 saturated cycloalkyl or C6-8 fused-ring saturated alkyl, provided that R1 may be substituted by C1-4 alkyl), etc.; and Ar represents a bicyclic fused-ring group, e.g., naphthalen-1-yl, indolyl, benzothiazolyl, quinolyl, isoquinolyl, indazolyl] or salts thereof are prepared The compds. I or salt thereof have

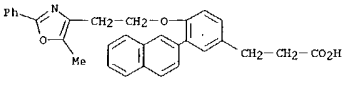
L20 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
prostaglandin and leukotriene prodn. inhibitory activity and are useful for the prevention of and treatments for various acute or chronic inflammatory diseases attributable to the lipid mediator, allergic diseases, and autoimmune diseases, and for antipyresis and/or analgesia. Thus, 3-(3-bromo-5-fluoro-4-cyclopentyloxyphenyl)propionic acid Me ester (prepn. given) was coupled with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-2-methylaniline in the presence of (Ph3P)4Pd in 2 M aq. Na2CO3 soln. and toluene at 100° for 15 h to give 3-(4'-amino-6-cyclopentyloxy-5-fluoro-3'-methyl-1,1'-biphenyl-3-yl)propionic acid Me ester which was dissolved in AcOH under ice cooling, treated with aq. NaNO2 soln., stirred for 30 min, treated with urea, warmed to room temp., and stirred for 30 min to give 3-[4-cyclopentyloxy-3-fluoro-5-(1H-indazol-5-yl)phenyl]propionic acid Me ester (II). Sapon. of II by 2 N aq. NaOH in MeOH at 60° for 16 h followed by concn. under reduced pressure and acidification with 5% aq. HCl under ice-cooling gave 3-[4-cyclopentyloxy-3-fluoro-5-(1H-indazol-5-yl)phenyl]propionic acid (III). III, 3-[4-(cyclohexylmethoxy)-3-(6-hydroxynaphthalen-2-yl)phenyl]propionic acid, and 3-[4-(cyclopentylmethoxy)-3-(1H-indol-5-yl)phenyl]propionic acid inhibited the interleukin-1β-stimulated prostaglandin E2 in human osteosarcoma cell (MG-63) by ≥50% at 0.4 μM.

IT **590411-72-6P 590411-73-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted phenylalkanoic acid derivs. as inhibitors of prostaglandin and leukotriene production for prevention or treatment of inflammations, allergies, and autoimmune diseases, and for antipyresis and/or analgesia)

RN 590411-72-6 CAPLUS
CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-3-(2-naphthalenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 590411-73-7 CAPLUS
CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

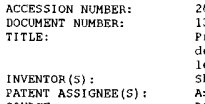
ACCESSION NUMBER: 2003:376828 CAPLUS
DOCUMENT NUMBER: 138:368893
TITLE: Preparation and use of substituted imidazoles as selective histamine H3 receptor agonists
INVENTOR(S): Rudolf, Klaus; Hurnaus, Rudolf; Stenkamp, Dirk; Mueller, Stephan; Krist, Bernd
PATENT ASSIGNEE(S): Boehringer Ingelheim International Gmbh, Germany; Novo Nordisk A/S
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040106	A1	20030515	WO 2002-EP12305	20021105

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10155202	A1	20030731	DE 2001-10155202	20011109
US 2003181479	A1	20030925	US 2002-290615	20021108
PRIORITY APPLN. INFO.:			DE 2001-10155202 A	20011109
			US 2001-334209P P	20011129

OTHER SOURCE(S): MARPAT 138:368893
GI



I

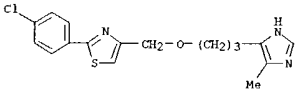
AB The present invention relates to novel substituted imidazoles (shown as I; variables defined below; e.g. N-trityl-3-(5-methyl-1H-imidazol-4-yl)propan-1-ol), to the use of these compds. as medicaments, to pharmaceutical compns. comprising the compds., and to a method of treatment employing these compds. and compns. The present compds. show a high and selective binding affinity to the histamine H3 receptor indicating a histamine H3 receptor antagonistic or agonistic activity. As a result, the compds. are useful for the treatment of disorders related to the histamine H3 receptor. More particularly, the present compds. possess a histamine H3 receptor agonistic activity and are accordingly useful in the treatment of disorders in which a histamine H3 receptor activation is beneficial. IC50 values for binding to the histamine H3 receptor were determined for 2 examples
of I, e.g. <50 nM for 4-[3-(3-iodobenzyloxy)propyl]-5-methyl-1H-imidazole. Compds. I do not show a high affinity for histamine H1 and H2 receptors.

L20 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Prepn. methods are claimed and 17 example preps. plus characterization data for many more I are included. For example, 5-methyl-4-(3-phenoxypropyl)-1H-imidazole was prepd. from N-trityl-3-(5-methyl-1H-imidazol-4-yl)propan-1-ol (5 mmol), Ph3P (6 mmol), phenol (5 mmol) and di-Et azodicarboxylate (6 mmol) in THF; the trityl reactant was prepd. in 3 steps starting from 5-methyl-1H-imidazole-4-carboxaldehyde and involving intermediates 3-(5-methyl-1H-imidazol-4-yl)propionic acid Me ester and its N-trityl deriv. For I: R1 is H or a functional group which can be converted into a H atom in vivo; R2 is a C1-6-alkyl, C3-7-cycloalkyl, aryl or aryl-C1-2-alkyl; n is 2, 3, 4 or 5; X is O, S, -CO-, -OCH2- or -SOCH2-. Ar is phenylene or naphthylene, a 5-membered heteroarylene linked via a C or N atom or a 6-membered heteroarylene group contg. one or two N atoms, while the above-mentioned phenylene or 5- or 6-membered heteroarylene groups are optionally condensed via pairs of two adjacent C atoms with one or two satd., unsatd. or arom. carbocyclic or heterocyclic groups, which are optionally substituted by one or two carbonyl or C1-3-alkyl groups, and the resulting condensed bi- or tricycles may be linked to X via the carbocyclic or heterocyclic moiety. Y is H, F, Cl, Br, I, hydroxy, cyano, C1-6-alkyl, C3-7-cycloalkyl, acetylene, C1-4-alkylacetylene, C1-4-alkylcarbonyl, C3-7-cycloalkylcarbonyl, -C(:NOH)CH3, Ph, 5- or 6-membered heteroaryl, C1-6-alkyloxy or phenyloxy; addnl. details are given in the claims. Claimed applications include treatment of ischemic arrhythmias, myocardial ischemia and myocardial infarction, asthma, chronic vasomotor rhinitis, **pain** or as a gastroprotective drug. Four pharmaceutical formulations and methods for prepg. them are described.

IT **524012-48-4P**, 2-(4-Chlorophenyl)-4-[[3-(5-methyl-1H-imidazol-4-yl)propoxy]methyl]thiazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation and use of substituted imidazoles as selective histamine H3 receptor agonists)

RN 524012-48-4 CAPLUS

CN Thiazole, 2-(4-chlorophenyl)-4-[[3-(5-methyl-1H-imidazol-4-yl)propoxy]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

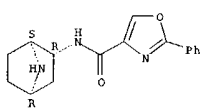
L20 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
AB 7-Aza[2.2.1]bicycloheptane derivs., such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with **pain**. Thus, amide hydrochloride II was prepared via a multistep synthetic sequence which included cycloaddn. of N-tert-butoxycarbonylpyrrole with BrC.tplbond.CCO2Me to form the azabicyclic ring, and subsequent amidation reaction of tert-Bu (1S,2R,4R)-(+)-2-amino-7-azabicyclo[2.2.1]heptane-7-carboxylate with 5-bromothiophene-2-carboxylic acid followed by an aromatic coupling reaction with phenylboronic acid. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

IT **500614-27-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 500614-27-7 CAPLUS

CN 4-Oxazolecarboxamide, N-(1S,2R,4R)-7-azabicyclo[2.2.1]hept-2-yl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:154382 CAPLUS
DOCUMENT NUMBER: 138:187795
TITLE: Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
INVENTOR(S): Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru; Narita, Masami; Ogawa, Mikio
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1009 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016254	A1	20030227	WO 2002-JP8120	20020808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1431267 A1 20040623 EP 2002-755874 20020808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: JP 2001-241867 A 20010809
WO 2002-JP8120 W 20020808

OTHER SOURCE(S): MARPAT 138:187795
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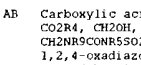


AB Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, CO2R4, CH2OH, CONR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene, C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered

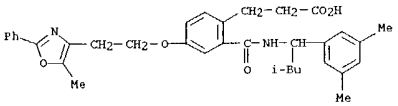
L20 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepd. These carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)propenamide, (thiophenylmethylphenyl)propenamide, (pyrazolylmethylphenylamino)acetamide, (thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide, (pyrazolylmethylphenoxy)acetamide, (phenoxymethyl)benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having antagonism, the compds. I are useful in preventing and/or treating diseases such as **pain**, allodynia, hyperalgesia, pruritus (itching), urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy, reprodn. disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers assocd. therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, redn. of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et3N in THF at 0° for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0° to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1-yl)propanoyl]amino]-4-

L20 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038 μM, resp. A tablet formulation contg. (2E)-2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid was described.

IT **499156-26-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

RN 499156-26-2 CAPLUS

CN Benzenepropanoic acid, 2-[[[1-(3,5-dimethylphenyl)-3-methylbutyl]amino]carbonyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:965132 CAPLUS
DOCUMENT NUMBER: 138:39444
TITLE: Preparation of azabicyclic ethers as NK1 receptor antagonists for use as therapeutic agents in the treatment or prevention of depression, anxiety, **pain**, inflammation, migraine, emesis or postherpetic neuralgia
INVENTOR(S): Curtis, Neil Roy; Kulagowski, Janusz Jozef; Huscroft, Ian Thomas; Raubo, Piotr Antoni
PATENT ASSIGNEE(S): Merck Sharp & Dohme Ltd., UK
SOURCE: U.S. Pat. Appl. Publ., 76 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002193402	A1	20021219	US 2002-113117	20020401
US 6727249	B2	20040427		
WO 2004031185	A1	20040415	WO 2002-GB4491	20021004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-8982 A 20010410
OTHER SOURCE(S): MARPAT 138:39444
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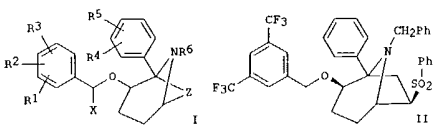


I II

AB Azabicyclic ethers, such as I [X = H, alkyl, substituted alkyl; Z = -CH2CR9R10-, -CR9R10CH2-; R1 = H, NO2, CN, carboxy, carboxamide, haloalkyl, alkyl, alkoxy, alkenyl, alkynyl, etc.; R2 = H, halogen, alkyl, alkoxy; R3 = H, halogen, fluoroalkyl; R4 = H, CF3, NO2, CN, alkenyl, alkynyl, halogen, alkyl, alkoxy, etc.; R5 = H, CF3, halogen alkyl, alkoxy, etc.; R6 = H, OH, acyl, carboxy, alkyl, etc.; R9 = H, OH, :O, alkyl, alkenyl, alkynyl, alkoxy, sulfonyl, carboxy, carboxamido, heterocyclyl, etc.; R10 = H, OH, halogen], were prepared for use in the treatment of depression, anxiety, **pain**, inflammation, migraine, emesis or

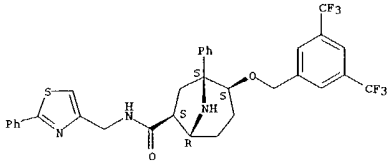
L20 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
postherpetic neuralgia. Thus, tropane ether II was prepd in 83% yield by etherification of the corresponding 8-azabicyclo[3.2.1]octan-2-ol with 3,5-(F3C)2C6H3CH2Br using 18-crown-6 in THF. The prepd. azabicyclic ethers were found to be active at the human NK1 receptor with IC50 values <100 nM.

IT **478486-40-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclic ethers as NK1 receptor antagonists for use as therapeutic agents in treatment or prevention of depression, anxiety, **pain**, inflammation, migraine, emesis or postherpetic neuralgia)

RN 478486-40-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-6-carboxamide, 2-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-1-phenyl-N-[(2-phenyl-4-thiazolyl)methyl]-, (1R,2R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L20 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:811993 CAPLUS
DOCUMENT NUMBER: 137:310908
TITLE: Preparation of cyclohexylamino-benzoxazole derivatives as subtype selective NMDA antagonists
INVENTOR(S): Deorazio, Russel Joseph; Nikam, Sham Shridhar; Scott, Ian Leslie; Sherer, Brian Alan
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1251128	A1	20021023	EP 2002-6325	20020321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002326988	A2	20021115	JP 2002-88546	20020327
US 2003004212	A1	20030102	US 2002-108086	20020327
BR 2002000988	A	20030114	BR 2002-988	20020327

PRIORITY APPLN. INFO.: US 2001-279169P P 20010327
OTHER SOURCE(S): MARPAT 137:310908
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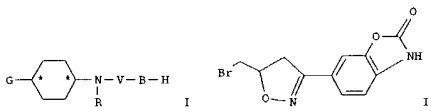
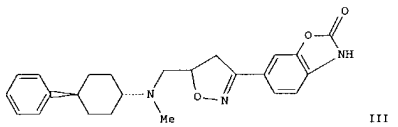


I

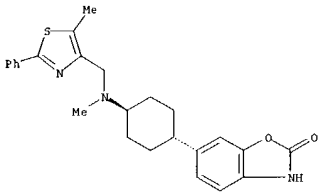
II



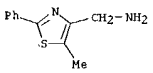
III

AB Title compds. I [* = cis/trans or mixts. thereof; G, H = substituted phenyl; R = H, alk(en)yl, COR2, CONHR2, etc.; R2 = alk(en)yl, aralkyl; V =

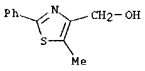
L20 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



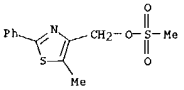
IT **165736-04-9P**, 4-(Aminomethyl)-5-methyl-2-phenylthiazole **334018-22-3P 472976-08-2P 472976-12-8P 472976-16-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclohexylamino-benzoxazole derivs. as subtype selective NMDA antagonists)
RN 165736-04-9 CAPLUS
CN 4-Thiazolemethanamine, 5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 334018-22-3 CAPLUS
CN 4-Thiazolemethanol, 5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



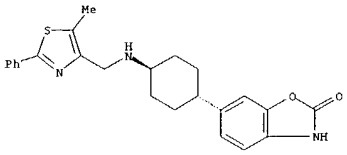
RN 472976-08-2 CAPLUS
CN 4-Thiazolemethanol, 5-methyl-2-phenyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



RN 472976-12-8 CAPLUS

L20 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
alkyl; B = 4-6-membered, carbon-linked heterocyclene] were prepd. For instance, II (prepd. in 6 steps from 5-formyl-2-nitrophenol) was coupled to trans-[4-(methylamino)cyclohexyl]benzene (CH3CN, K2CO3), the intermediate debenzylated/reduced (THF/MeOH, Pd-C, H2) and the resulting intermediate cyclized (THF, CDI) to afford III. I possessed IC50 values of 0.391 - 6.67 μM in the [3H]ifenprodil binding assay. I are antagonists of NMDA receptors and are useful for treating stroke, cerebral ischemia, depression, etc.
IT **472976-21-9P**, trans-6-[4-[N-((5-Methyl-2-phenylthiazol-4-yl)methyl)amino]cyclohexyl]-3H-benzoxazol-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cyclohexylamino-benzoxazole derivs. as subtype selective NMDA antagonists)
RN 472976-21-9 CAPLUS
CN 2(3H)-Benzoxazolone, 6-[trans-4-[[(5-methyl-2-phenyl-4-thiazolyl)methyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

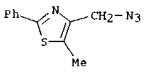
Relative stereochemistry.



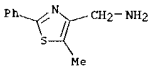
IT **472976-27-5P**, trans-6-[4-[N-Methyl-N-((5-methyl-2-phenylthiazol-4-yl)methyl)amino]cyclohexyl]-3H-benzoxazol-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclohexylamino-benzoxazole derivs. as subtype selective NMDA antagonists)
RN 472976-27-5 CAPLUS
CN 2(3H)-Benzoxazolone, 6-[trans-4-[methyl[(5-methyl-2-phenyl-4-thiazolyl)methyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L20 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Thiazole, 4-(azidomethyl)-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 472976-16-2 CAPLUS
CN 4-Thiazolemethanamine, 5-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

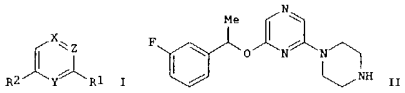
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:391691 CAPLUS
DOCUMENT NUMBER: 136:386138
TITLE: Preparation of piperazinylpyrazines and analogs as serotonin 5HT-2 receptor modulators for treatment of CNS disorders
INVENTOR(S): Nilsson, Bjoern
PATENT ASSIGNEE(S): Biovitrum AB, Swed.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040456	A1	20020523	WO 2001-SE2569	20011120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002024266	A5	20020527	AU 2002-24266	20011120
US 2002147200	A1	20021010	US 2001-989358	20011120
US 6593330	B2	20030715		
EP 1335907	A1	20030820	EP 2001-996532	20011120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015400	A	20030930	BR 2001-15400	20011120
NO 2003002252	A	20030718	NO 2003-2252	20030519
US 2004014767	A1	20040122	US 2003-618868	20030714

PRIORITY APPLN. INFO.: SE 2000-4245 A 20001120
US 2000-253509P P 20001128
US 2001-989358 A3 20011120
WO 2001-SE2569 W 20011120

OTHER SOURCE(S): MARPAT 136:386138
GI

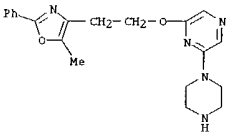


AB Title compds. I [wherein X and Y = N and Z = CH, forming a pyrazine derivative; or X and Z = CH and Y = N, forming a pyridine derivative; or X =

L20 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
C(CF3), Z = CH, and Y = N, forming a 4-trifluoromethylpyridine deriv.; or Y and Z = N and X = CH, forming a pyrimidine deriv.; R1 and R2 = independently (hetero)arylalkyl, (hetero)arylalkoxy, indanyloxy, (hetero)aryloxy, (hetero)arylthio, (cyclo)alkylthio, (cyclo)alkoxy, fluoroalkoxy, alkynyloxy, alkenyloxy, cycloalkylalkoxy, halo, (hetero)arylalkylthio, (hetero)arylamino, (hetero)aryl, or (un)substituted piperazinyl or piperidinyloxy with provisos; and pharmaceutically acceptable salts, hydrates, isomers, tautomers, N-oxides, and prodrugs thereof] were prepd. as 5HT2C agonists and antagonists. For example, 2,6-dichloropyrazine was treated with 1-(3-fluorophenyl)ethanol and NaH in dioxane to give 2-chloro-6-[1-(3-fluorophenyl)ethoxy]pyrazine. Addn. of piperazine and K2CO3 in AcCN and heating under reflux overnight afforded II. The latter bound to membranes, prepd. from transfected HEK293 cell line stably expressing the human 5-HT2C receptor protein, with Ki of 8 nM in competition expts. In addn., I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected cells with max. responses in the range of 20-100% relative to the max. response of serotonin at concns. of 1 μM. I are useful for the treatment of serotonin-related CNS disorders, such as eating disorders, obesity, memory disorder, anxiety, sexual dysfunction, epilepsy, urinary disorders, **pain**, substance abuse, and schizophrenia (no data).

IT **426831-66-5P**, 2-[2-(5-Methyl-2-phenyloxazol-4-yl)ethoxy]-6-(1-piperazinyl)pyrazine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(serotonin 5HT2C receptor modulator; preparation of piperazinylpyrazines and
analogs as serotonin 5HT2C receptor modulators for treatment of CNS disorders)

RN 426831-66-5 CAPLUS
CN Pyrazine, 2-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-6-(1-piperazinyl)-(9CI) (CA INDEX NAME)



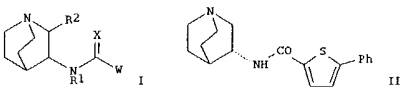
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:150129 CAPLUS
DOCUMENT NUMBER: 136:200338
TITLE: Preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in the treatment of neurological disorders
INVENTOR(S): Myers, Jason K.; Rogers, Bruce N.; Groppi, Vincent E., Jr.; Piotrowski, David W.; Bodnar, Alice L.; Jacobsen, Eric Jon; Corbett, Jeffrey W.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002017358	A2	20020228	WO 2001-US21139	20010817
WO 2002017358	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001082875	A5	20020304	AU 2001-82875	20010817
US 2002042428	A1	20020411	US 2001-932309	20010817
US 6492385	B2	20021210		
US 2002042429	A1	20020411	US 2001-932612	20010817
US 6500840	B2	20021231		

PRIORITY APPLN. INFO.: US 2000-226652P P 20000821
US 2001-284849P P 20010419
US 2001-284850P P 20010419
US 2001-284967P P 20010419
US 2000-226164P P 20000818
US 2001-284832P P 20010419
WO 2001-US21139 W 20010817

OTHER SOURCE(S): MARPAT 136:200338
GI



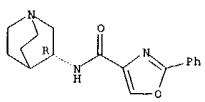
AB N-quinuclidinyl-heteroaryl amides, such as I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use in the treatment of neurol. disorders, such as attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury,

L20 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
behavioral and cognitive problems assocd. with brain tumors, AIDS dementia complex, dementia assocd. with Down's syndrome, dementia assocd. with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms assocd. with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration assocd. with glaucoma, or symptoms assocd. with **pain**. Thus, the hydrochloride salt of quinuclidine carboxamide II was prepd. in 57% yield by an amidation reaction of (3R)-3-aminoquinuclidine hydrochloride and 5-phenylthiophene-2-carboxylic acid using di-Ph chlorophosphate and Et3N in CH2Cl2 and DMF/H2O (5:1). The prepd. quinuclidinyl amides were tested for nicotinic acetylcholine receptor binding activities.

IT **400715-36-8P 400715-37-9P 400833-20-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-quinuclidinyl-heteroaryl amides for pharmaceutical use in
the treatment of neurol. disorders)

RN 400715-36-8 CAPLUS
CN 4-Oxazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

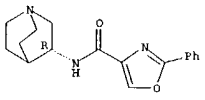


RN 400715-37-9 CAPLUS
CN 4-Oxazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-phenyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 400715-36-8
CMF C17 H19 N3 O2

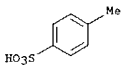
Absolute stereochemistry.



CM 2

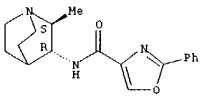
CRN 104-15-4

L20 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CMF C7 H8 O3 S



RN 400833-20-7 CAPLUS
CN 4-Oxazolecarboxamide, N-[(2S,3R)-2-methyl-1-azabicyclo[2.2.2]oct-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L20 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:568374 CAPLUS
DOCUMENT NUMBER: 135:152793
TITLE: Preparation of optically active oxazolidinedione derivatives for treatment of diabetes, hyperlipidemia, inflammation, and arteriosclerosis
INVENTOR(S): Momose, Yu; Kodaka, Hiroyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001213880	A2	20010807	JP 2000-24773	20000128

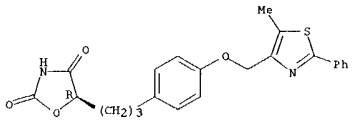
PRIORITY APPLN. INFO.: JP 2000-24773 20000128

AB Claimed are (R)-(+)-5-[3-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]propyl]-2,4-oxazolidinedione (I), salts and crystals thereof. Also claimed is the preparation of I by cyclization of (R)-2-ethoxycarbonyloxy-5-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]pentanamide. I at 0.2 mg/kg/day for one week gave 18% decrease of plasma glucose in Wistar fatty rats. Formulations are given.

IT **330574-43-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of optically active oxazolidinedione derivs. for treatment of
diabetes and hyperlipidemia and inflammation and arteriosclerosis)

RN 330574-43-1 CAPLUS
CN 2,4-Oxazolidinedione, 5-[3-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]propyl]-, (5R)- (9CI) (CA INDEX NAME)

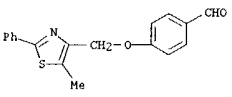
Absolute stereochemistry. Rotation (+).



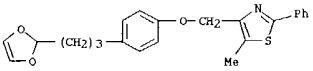
IT **342024-92-4P 352662-16-9P 352662-17-0P**
352662-18-1P 352662-19-2P 352662-20-5P
352662-21-6P 352662-22-7P 352662-23-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of optically active oxazolidinedione derivs. for treatment of

L20 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
diabetes and hyperlipidemia and inflammation and arteriosclerosis)

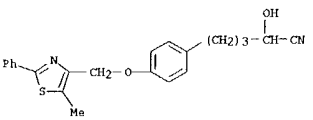
RN 342024-92-4 CAPLUS
CN Benzaldehyde, 4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]- (9CI) (CA INDEX NAME)



RN 352662-16-9 CAPLUS
CN Thiazole, 4-[[4-[3-(1,3-dioxol-2-yl)propyl]phenoxy]methyl]-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

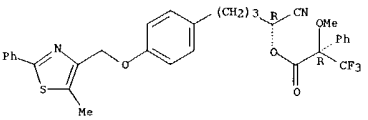


RN 352662-17-0 CAPLUS
CN Benzenepentanenitrile, α-hydroxy-4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]- (9CI) (CA INDEX NAME)



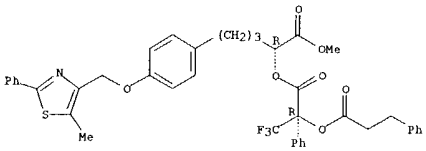
RN 352662-18-1 CAPLUS
CN Benzeneacetic acid, α-methoxy-α-(trifluoromethyl)-, (1R)-1-cyano-4-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]butyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



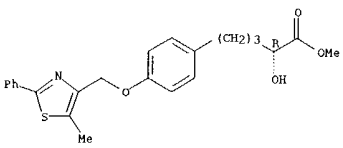
RN 352662-19-2 CAPLUS
CN Benzenepentanoic acid, 4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]-α-[(2R)-3,3,3-trifluoro-1-oxo-2-(1-oxo-3-phenylpropoxy)-2-phenylpropoxy]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



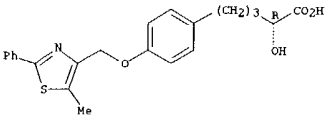
RN 352662-20-5 CAPLUS
CN Benzenepentanoic acid, α-hydroxy-4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 352662-21-6 CAPLUS
CN Benzenepentanoic acid, α-hydroxy-4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]-, (αR)- (9CI) (CA INDEX NAME)

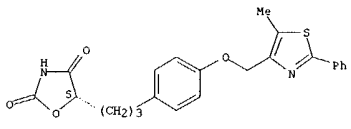
Absolute stereochemistry.



RN 352662-22-7 CAPLUS
CN 2,4-Oxazolidinedione, 5-[3-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]propyl]-, (5S)- (9CI) (CA INDEX NAME)

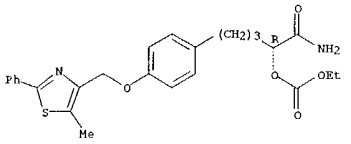
Absolute stereochemistry. Rotation (-).

L20 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 352662-23-8 CAPLUS
CN Carbonic acid, (1R)-1-(aminocarbonyl)-4-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]butyl ethyl ester (9CI) (CA INDEX NAME)

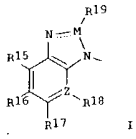
Absolute stereochemistry.



L20 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:909213 CAPLUS
DOCUMENT NUMBER: 134:56692
TITLE: Aryl and heteroaryl alkoxynaphthalene derivatives, potent serotonin (5-HT1) agonists and antagonists, useful as psychotherapeutics
INVENTOR(S): Howard, Harry R., Jr.; Chenard, Bertrand L.; Macor, John E.; Shenk, Kevin D.; Desai, Kishor A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6166020	A	20001226	US 1999-295138	19990420

PRIORITY APPLN. INFO.: US 1999-295138 19990420
OTHER SOURCE(S): MARPAT 134:56692
GI

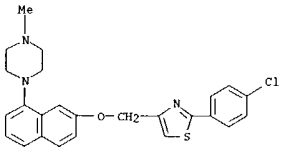


AB 1-R1-7-R4(CR23R24)pO(CR25R26)t-R2naphthalenes (I) and pharmaceutical compns. for treating various conditions are claimed. In I, R1 = substituted 4-R3piperazinyl; p = 1-6; t = 0-3; R2 is a substituent on any of the C atoms of the naphthalene ring capable of forming an addnl. bond and each occurrence of R2 is independently selected from the group consisting of H, F, Cl, Br, I, CN, NO2, C1-C6 alkyl or alkoxy or alkylthio optionally substituted with 1-7 F atoms, OH, NR20R21, CONR20R21, and CO2R20; R3 = H, C1-C10 alkyl optionally substituted with 1-7 F atoms, (CH2)m-aryl (m = 0-6), (CH2)m-(C5-C7)-cycloalkyl, (CH2)nR27 (n = 1-3), -CO2R20, or C1-C6 alkoxy optionally substituted with 1-7 F atoms; wherein said aryl moiety of said -(CH2)m -aryl group may optionally be substituted with 1-3 substituents indicated for R2; and wherein said (C5-C7) cycloalkyl moiety of said (CH2)m-(C5-C7)cycloalkyl group may optionally be substituted with 1-3 substituents indicated for R2. R4 is shown as II (R15, R16, R17, and R18 = H, Br, Cl, F, aryl, C1-C6 or -C5 alkyl or alkoxy or alkylthio optionally substituted with 1-7 F atoms, formyl, (C:O)R20, CN, OR20, NR20R21, NR20SO2R22, NR20CO2R22, N:CNMe2, S(O)eR20 (e = 0-2), SO2NR20R21, NO2, aryl, C1-C6 alkylaryl, C(O)OR20, C(O)NR20R21, C1-C6 alkyl or alkenyl or alkynyl); R19 = H or C1-C3 alkyl; R20, R21 = H, C1-C6 alkyl, aryl, or C1-C6 alkylaryl, or any occurrence of R20 and R21, when attached to the same N atom, may form, together with the N to which they are

L20 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
attached, a C4-C7 alkyl ring; R22 = C1 -C6 alkyl, aryl, or C1-C6 alkylaryl; Z = C or N, wherein R18 is absent when Z is N; M = C, N, or C:O, wherein R19 is absent when M is C:O;. R23, R24 = H, C1-C6 alkyl optionally substituted with 1-7 F atoms, and when p >1 then R23 and R24 is independently selected from any other R23 or R24; R25, R26 = H, C1-C6 alkyl optionally substituted with 1-7 F atoms, and when t >1 then each R25 and R26 is independently selected from any other R25 or R26; R27 = OR20, C(O)NR20R21, C(:O)OR20, CN, NR20C(:O)R21, OC(O)R20. A broken line indicates the optional presence of a double bond; and the above aryl groups and the aryl moieties of the above alkylaryl groups are independently selected from Ph, naphthyl, substituted naphthyl and substituted Ph, wherein said substituted naphthyl and substituted Ph may be substituted with 1-3 groups independently selected from C1-C4 alkyl optionally substituted with 1-3 F atoms, halogen, OH, CN, carboxamido, NO2, and C1-C4 alkoxy optionally substituted with 1-3 F atoms; and the pharmaceutically acceptable salts thereof. These compds. are useful psychotherapeutics and are potent serotonin (5-HT1) agonists and antagonists. A pharmaceutical compn. for treating a condition selected from hypertension, depression, generalized anxiety disorder, phobias, posttraumatic stress syndrome, avoidant personality disorder, sexual dysfunction, eating disorders, obesity, chem. dependencies, cluster headache, migraine, **pain**, Alzheimer's disease, obsessive compulsive disorder, panic disorder, memory disorders, Parkinson's diseases, endocrine disorders, vasospasm, gastrointestinal tract disorders and chronic paroxysmal hemicrania and headache assocd. with vascular disorders in a mammal, comprising an amt. of a claimed compd. effective in treating such condition and a pharmaceutically acceptable carrier. In an example prepn. (no method claimed), 1-methyl-4-[7-(5-phenyl-1,2,4-oxadiazol-3-ylmethoxy)naphthalen-1-yl]piperazine dihydrochloride dihydrate was obtained by first adding 1.65 mmol of 1-(7-hydroxynaphthyl)-4-methylpiperazine in 4.0 mL of DMF to a soln. of 3.33 mmol of oil free NaH in 2.0 mL of anhyd. DMF; after stirring at room temp. for 20 min, a soln. of 1.95 mmol of 5-chloromethyl-3-phenyl-1,2,4-oxadiazole in 2.0 mL of DMF was added and the mixt. was heated at 90° for 16 h; after workup, 47% of the desired compd. was obtained.

IT **175531-75-6P**, 1-(7-[2-(4-Chlorophenyl)thiazol-4-ylmethoxy]naphthalen-1-yl)-4-methylpiperazine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylnaphthalene derivs., potent serotonin (5-HT1) agonists and antagonists, useful as psychotherapeutics)

RN 175531-75-6 CAPLUS
CN Piperazine, 1-[7-[[2-(4-chlorophenyl)-4-thiazolyl]methoxy]-1-naphthalenyl]-4-methyl- (9CI) (CA INDEX NAME)



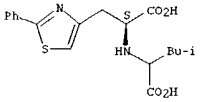
L20 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:790293 CAPLUS
DOCUMENT NUMBER: 133:344615
TITLE: ACE-2 inhibiting compounds, their preparation, pharmaceutical compositions containing them, and their therapeutic use
INVENTOR(S): Acton, Susan L.; Ocain, Timothy D.; Gould, Alexandra E.; Dales, Natalie A.; Guan, Bing; Brown, James A.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066104	A2	20001109	WO 2000-US11550	20000428
WO 2000066104	A3	20010628		
WO 2000066104	C2	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1183019	A2	20020306	EP 2000-926478	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103094	T2	20020321	TR 2001-200103094	20000428
BR 2000010166	A	20020604	BR 2000-10166	20000428
JP 2002543120	T2	20021217	JP 2000-614989	20000428
US 6632830	B1	20031014	US 2000-561759	20000428
NO 2001005274	A	20011228	NO 2001-5274	20011029
ZA 2001009378	A	20021114	ZA 2001-9378	20011114

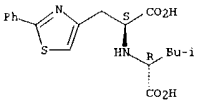
PRIORITY APPLN. INFO.: US 1999-132034P P 19990430
US 1999-171052P P 19991216
WO 2000-US11550 W 20000428
OTHER SOURCE(S): MARPAT 133:344615
AB ACE-2 inhibiting compds. are disclosed. Methods of using the compds. and pharmaceutical compns. containing the compds. are also claimed. The compds. of the invention are useful for treating e.g. blood pressure-related diseases. Compound preparation is described.
IT **305335-01-7P 305335-95-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ACE-2 inhibitor preparation, pharmaceutical compns., and therapeutic use)
RN 305335-01-7 CAPLUS
CN 4-Thiazolepropanoic acid, α-[(1-carboxy-3-methylbutyl)amino]-2-phenyl-, (αS)- (9CI) (CA INDEX NAME)

L20 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 305335-95-9 CAPLUS
CN 4-Thiazolepropanoic acid, α-[[(1R)-1-carboxy-3-methylbutyl]amino]-2-phenyl-, (αS)- (9CI) (CA INDEX NAME)

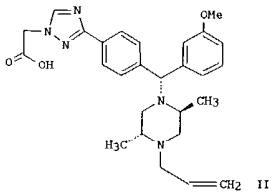
Absolute stereochemistry.



L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:43346 CAPLUS
DOCUMENT NUMBER: 132:93337
TITLE: Preparation of benzylpiperazine derivatives as delta opioid receptor agonists
INVENTOR(S): Maw, Graham Nigel; Middleton, Donald Stuart
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 289 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000016984	A2	20000118	JP 1999-58364	19990305
JP 3416069	B2	20030616		
US 6200978	B1	20010313	US 1999-261540	19990303
CA 2263957	C	20031007	CA 1999-2263957	19990303
CA 2263957	AA	19990905		
BR 9917527	A	20020723	BR 1999-17527	19990305

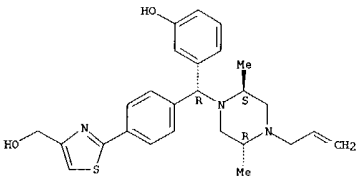
PRIORITY APPLN. INFO.: GB 1998-4734 A 19980305
OTHER SOURCE(S): MARPAT 132:93337
GI



AB Title compds [I; A = N, CX; X = H, c1-4 alkyl; G = CY; Y = H, c1-4alkyl; B = c1-4 hydrocarbonyl; A, B, L, N constitute 5-7 atoms ring; D = H, c1-10 hydrocarbonyl; D linked to B or L forming 5-7 membered-ring; E = OH substituted Ph, c1-4 alkoxy, NH2SO2c1-4alkylene; F = aryl, heterocyclyl

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(exclude tetrazolyl)], pharmaceutically acceptable salt, solvate, and stereoisomers are prepd. and tested as delta opioid receptor agonists and claimed useful in the manuf. of pharmaceutical compn.,including method comprising administering to a subject an effective amt. of a title compd., for preventing or in treatment of inflammation diseases such as arthritis, psoriasis, asthma, inflammatory bowel disease, disorders of respiratory function, gastro-intestinal disorders, such as functional bowel disease, functional GI disorders (irritable bowel syndrome), functional diarrhea, functional distension, functional **pain**, non-ulcerogenic dyspepsia, or others assocd. with disorders of motility or secretion, urogenital tract disorders such as incontinence, as analgesics for treating **pain** including non-somatic **pain**, or as immunosuppressants to prevent rejection in organ transplant and skin graft. The title compd. II was prepd.
IT **254113-50-3P 254113-51-4P 254113-52-5P**
254113-53-6P 254113-54-7P 254113-55-8P
254113-56-9P 254113-57-0P 254113-58-1P
254113-59-2P 254113-60-5P 254113-61-6P
254113-62-7P 254113-63-8P 254113-64-9P
254113-65-0P 254113-68-3P 254113-69-4P
254113-70-7P 254113-71-8P 254113-72-9P
254113-73-0P 254113-74-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzylpiperazine derivs. as delta opioid receptor agonists)
RN 254113-50-3 CAPLUS
CN 4-Thiazolemethanol, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

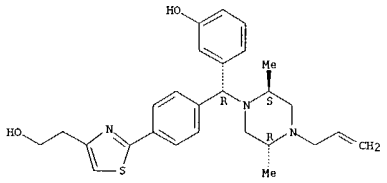
Absolute stereochemistry.



RN 254113-51-4 CAPLUS
CN 4-Thiazoleethanol, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

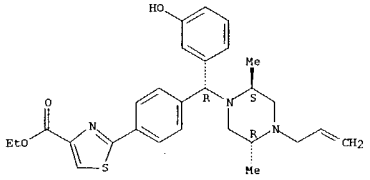
Absolute stereochemistry.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 254113-52-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

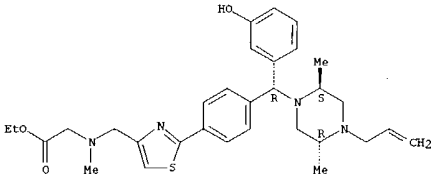
Absolute stereochemistry.



RN 254113-53-6 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

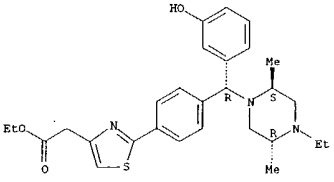
Absolute stereochemistry.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

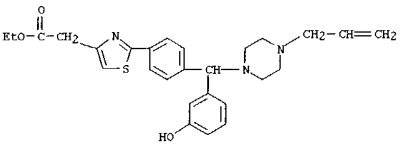


RN 254113-56-9 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(R)-[(2S,5R)-4-ethyl-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

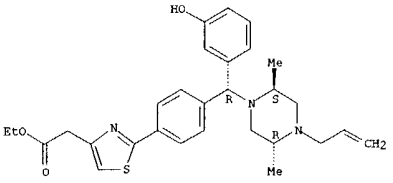


RN 254113-57-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(3-hydroxyphenyl)[4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



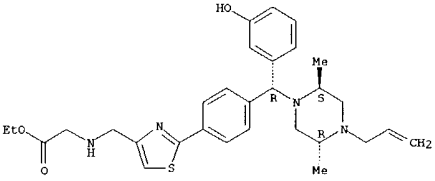
RN 254113-58-1 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 254113-54-7 CAPLUS
CN Glycine, N-[[2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-4-thiazolyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

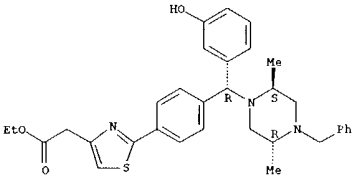
Absolute stereochemistry.



RN 254113-55-8 CAPLUS
CN Glycine, N-[[2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-4-thiazolyl]methyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)

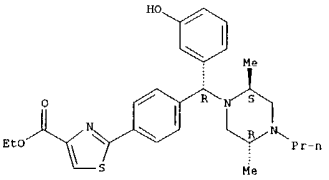
Absolute stereochemistry.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



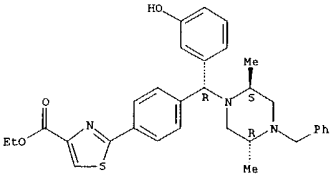
RN 254113-59-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-propyl-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254113-60-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

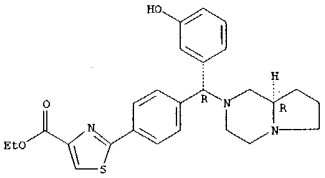
Absolute stereochemistry.



L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

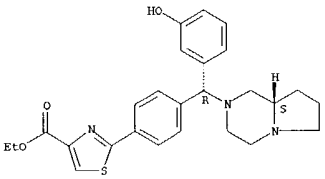
RN 254113-61-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254113-62-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

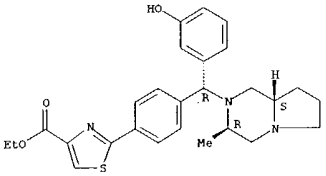
Absolute stereochemistry.



RN 254113-63-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(3R,8aS)-hexahydro-3-methylpyrrolo[1,2-a]pyrazin-2(1H)-yl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

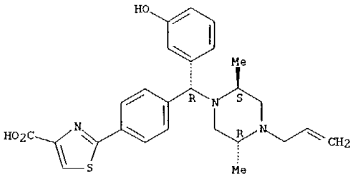
Absolute stereochemistry.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



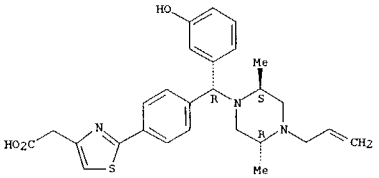
RN 254113-64-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 254113-65-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

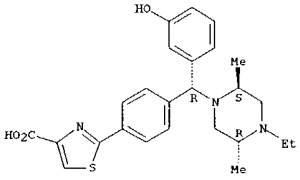
Absolute stereochemistry. Rotation (+).



L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

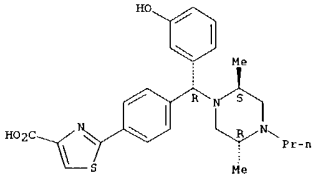
RN 254113-68-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-4-ethyl-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

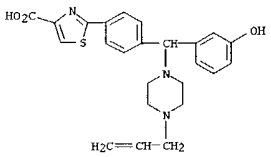


RN 254113-69-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-propyl-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



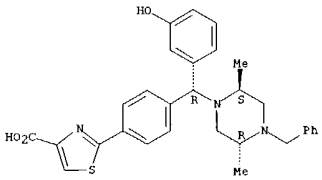
RN 254113-70-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(3-hydroxyphenyl)[4-(2-propenyl)-1-piperazinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

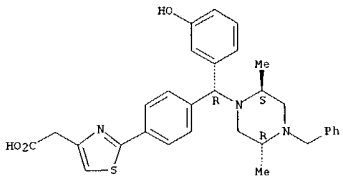
RN 254113-71-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 254113-72-9 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

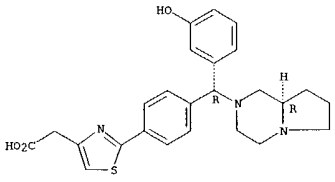
Absolute stereochemistry. Rotation (-).



RN 254113-73-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(R)-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

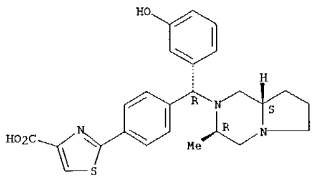
Absolute stereochemistry. Rotation (+).

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



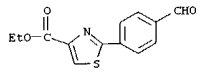
RN 254113-74-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(3R,8aS)-hexahydro-3-methylpyrrolo[1,2-a]pyrazin-2(1H)-yl](3-hydroxyphenyl)methyl]phenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

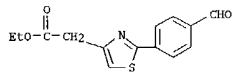


IT **253800-71-4P 253800-72-5P 253800-73-6P**
253800-74-7P 253800-75-8P 253800-76-9P
253800-78-1P 253800-79-2P 253800-80-5P
253800-81-6P 253800-82-7P 253800-83-8P
253800-84-9P 253800-85-0P 253800-86-1P
253800-87-2P 253800-89-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzylpiperazine derivs. as delta opioid receptor agonists)
RN 253800-71-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(4-formylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

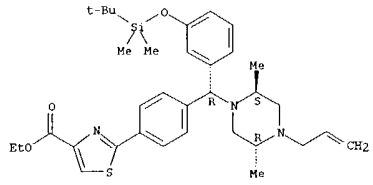


RN 253800-72-5 CAPLUS
CN 4-Thiazoleacetic acid, 2-(4-formylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 253800-73-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

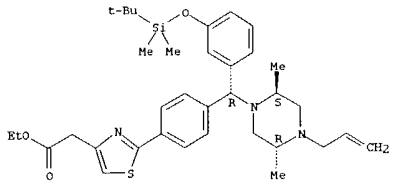
Absolute stereochemistry.



RN 253800-74-7 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

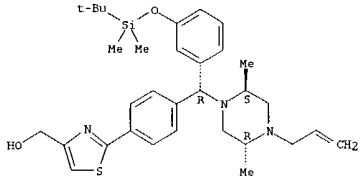
Absolute stereochemistry.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



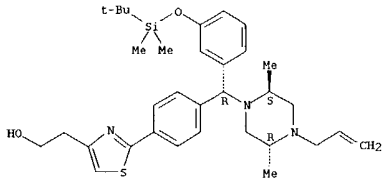
RN 253800-75-8 CAPLUS
CN 4-Thiazolemethanol, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253800-76-9 CAPLUS
CN 4-Thiazoleethanol, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-(9CI) (CA INDEX NAME)

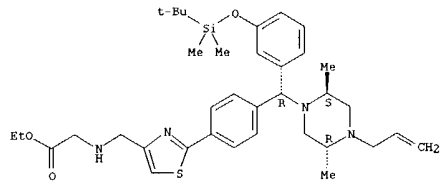
Absolute stereochemistry.



L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

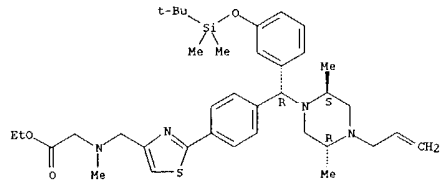
RN 253800-78-1 CAPLUS
CN Glycine, N-[[2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-4-thiazolyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253800-79-2 CAPLUS
CN Glycine, N-[[2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-4-thiazolyl]methyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)

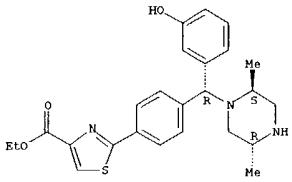
Absolute stereochemistry.



RN 253800-80-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

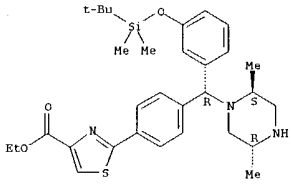
Absolute stereochemistry.

L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 253800-81-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-1-piperazinyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

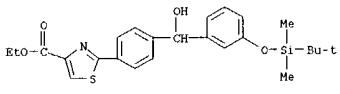
Absolute stereochemistry.



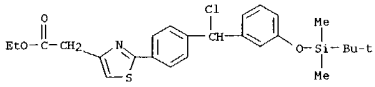
RN 253800-82-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-propyl-1-piperazinyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

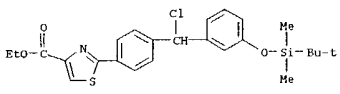
L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



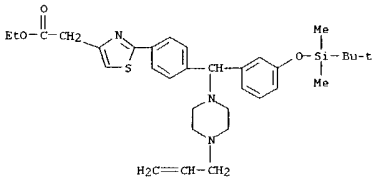
RN 253800-86-1 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[chloro[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



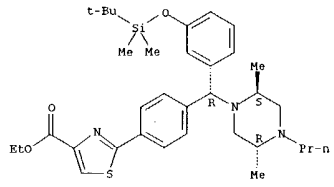
RN 253800-87-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[chloro[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 253800-89-4 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][4-(2-propenyl)-1-piperazinyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

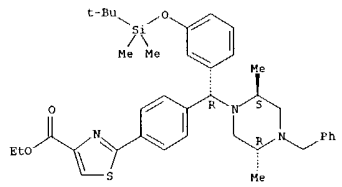


L20 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

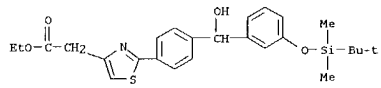


RN 253800-83-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253800-84-9 CAPLUS
CN 4-Thiazoleacetic acid, 2-[4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]hydroxymethyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



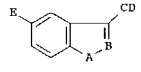
RN 253800-85-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]hydroxymethyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L20 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:998357 CAPLUS
DOCUMENT NUMBER: 124:175848
TITLE: Preparation of benzo-fused 5-membered heterocyclic compound 5-HT1 receptor antagonist analgesics for the treatment of migraine headaches
INVENTOR(S): North, Peter Charles; Wadman, Sjoerd Nicolaas; Ladlow, Mark
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528400	A1	19951026	WO 1995-EP1315	19950412
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9523439	A1	19951110	AU 1995-23439	19950412
PRIORITY APPLN. INFO.:			GB 1994-7447	19940414
			WO 1995-EP1315	19950412

OTHER SOURCE(S): MARPAT 124:175848
GI



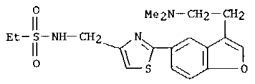
I

AB The title compds. [I; A = O, S, (un)substituted NH, CH:CH; B = N or (un)substituted CH; C = bond, C1-3 alkylidene; D = (un)substituted NH2; D = (un)substituted heterocyclyl; E = (un)substituted 5- or 6- membered heterocyclyl] [e.g., 5-[3-(2-aminoethyl)-5-benzofuranyl]-3-pyridinemethanol], useful as selective 5-HT1 receptor antagonists (no data) for the treatment of migraine headache **pain** (no data), are prepared

IT **174000-40-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo-fused 5-membered heterocyclic compound 5-HT1 receptor antagonist analgesics for the treatment of migraine headaches)

RN 174000-40-9 CAPLUS
CN Ethanesulfonamide, N-[[2-[3-[2-(dimethylamino)ethyl]-5-benzofuranyl]-4-thiazolyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L20 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



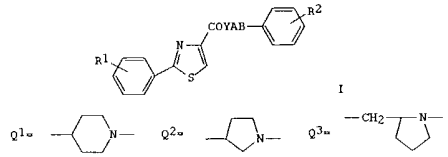
●2 HCl

L20 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:106283 CAPLUS
DOCUMENT NUMBER: 116:106283
TITLE: Preparation of thiazoles as 5-HT3 (serotonin 3) receptor antagonists
INVENTOR(S): Sano, Tatsuhiko; Saijo, Keiko; Yokomori, Sadakazu; Nakajima, Yoshimoto; Hatayama, Katsuo
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03227987	A2	19911008	JP 1990-19985	19900130

PRIORITY APPLN. INFO.: JP 1990-19985 19900130
OTHER SOURCE(S): MARPAT 116:106283
GI



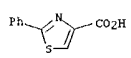
AB Thiazoles I (R1 = H, halo, lower alkyl, lower alkoxy, CF3; R2 = H, halo, lower alkyl, lower alkoxy; Y = O, NH; A = Q1-Q3; B = CH2, CO) or their salts, useful for treatment of gastrointestinal disorders, **pain**, arrhythmia, anxiety, etc., are prepared Treatment of 2.0 g Et 2-phenyl-4-thiazolecarboxylate (preparation given) with aqueous KOH in EtOH at room temperature for 2.5 h gave 1.7 g 2-phenyl-4-thiazolecarboxylic acid, which was refluxed with SOCl2 for 1 h to afford 1.65 g 2-phenyl-4-thiazolecarboxylic acid chloride. Treatment of 0.45 g the product with 0.44 g 1-(3-methoxybenzyl)-4-piperidinol and Et3N in CH2Cl2 for 1.5 h gave 0.35 g 1-(3-methoxybenzyl)-4-piperidyl 2-phenyl-4-thiazolecarboxylate. 1-(3-Methylbenzyl)-4-piperidyl 2-phenyl-4-thiazolecarboxylate inhibited specific binding of 3H-labeled QICS 205-930 to 5-HT3 receptor, resulting in 25.5% binding.

IT **7113-10-2P**, 2-Phenyl-4-thiazolecarboxylic acid **59937-01-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)

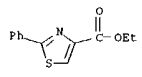
RN 7113-10-2 CAPLUS

L20 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN 4-Thiazolecarboxylic acid, 2-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

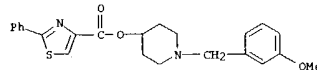


RN 59937-01-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

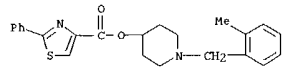


IT **139092-97-0P 139092-98-1P 139092-99-2P**
139093-00-8P 139093-01-9P 139093-02-0P
139093-03-1P 139093-04-2P 139093-05-3P
139093-06-4P 139093-07-5P 139093-08-6P
139093-09-7P 139093-10-0P 139093-11-1P
139093-12-2P 139093-13-3P 139093-14-4P
139093-15-5P 139093-16-6P 139093-17-7P
139114-06-0P 139114-07-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotoninergic receptor antagonist)

RN 139092-97-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-[(3-methoxyphenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

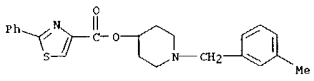


RN 139092-98-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-[(2-methylphenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

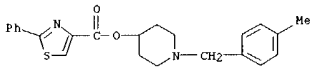


RN 139092-99-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-[(3-methylphenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

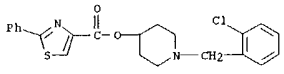
L20 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



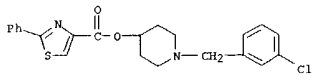
RN 139093-00-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-[(4-methylphenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)



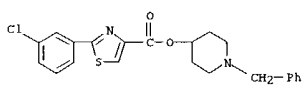
RN 139093-01-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-[(2-chlorophenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)



RN 139093-02-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-[(3-chlorophenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

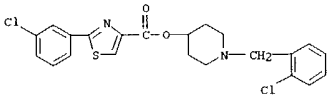


RN 139093-03-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(3-chlorophenyl)-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

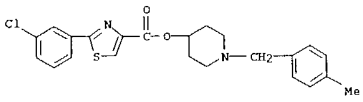


RN 139093-04-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(3-chlorophenyl)-, 1-[(2-chlorophenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)

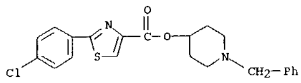
L20 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



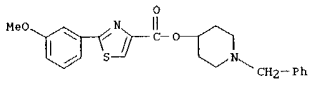
RN 139093-05-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(3-chlorophenyl)-, 1-[(4-methylphenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)



RN 139093-06-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(4-chlorophenyl)-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

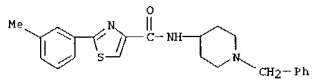


RN 139093-07-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(3-methoxyphenyl)-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

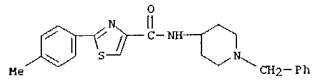


RN 139093-08-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[3-(trifluoromethyl)phenyl]-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

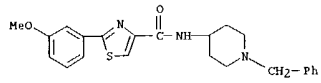
L20 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



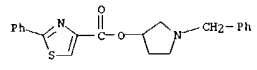
RN 139093-13-3 CAPLUS
CN 4-Thiazolecarboxamide, 2-(4-methylphenyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 139093-14-4 CAPLUS
CN 4-Thiazolecarboxamide, 2-(3-methoxyphenyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

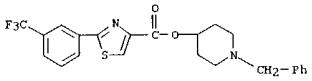


RN 139093-15-5 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-(phenylmethyl)-3-pyrrolidinyl ester (9CI) (CA INDEX NAME)

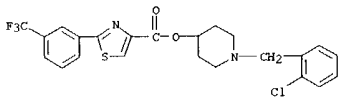


RN 139093-16-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, [1-(phenylmethyl)-2-pyrrolidinyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L20 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

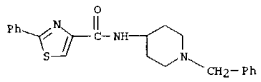


RN 139093-09-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[3-(trifluoromethyl)phenyl]-, 1-[(2-chlorophenyl)methyl]-4-piperidinyl ester, monohydrochloride (9CI) (CA INDEX NAME)

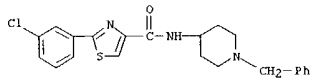


● HCl

RN 139093-10-0 CAPLUS
CN 4-Thiazolecarboxamide, 2-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

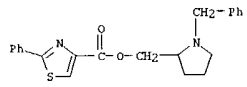


RN 139093-11-1 CAPLUS
CN 4-Thiazolecarboxamide, 2-(3-chlorophenyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



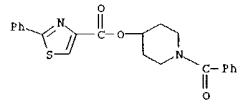
RN 139093-12-2 CAPLUS
CN 4-Thiazolecarboxamide, 2-(3-methylphenyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L20 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

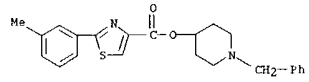


● HCl

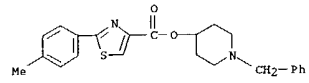
RN 139093-17-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 1-benzoyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



RN 139114-06-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(3-methylphenyl)-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)



RN 139114-07-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(4-methylphenyl)-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

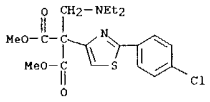


L20 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:43659 CAPLUS
DOCUMENT NUMBER: 72:43659
TITLE: Antipyretic thiazoles
INVENTOR(S): Hepworth, Walter; Staccy, Gilbert J.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: Ger. Offen., 35 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

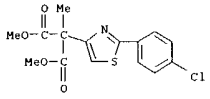
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1913472	A	19691106	DE 1969-1913472	19690317
BR 6807374	A0	19730208	BR 1968-207374	19680320
GB 1192701	A	19700520	GB 1968-13989	19680322
US 3661920	A	19720509	US 1969-799963	19690217
CA 948199	A1	19740528	CA 1969-43831	19690224
NL 6903963	A	19690924	NL 1969-3963	19690314
AT 284841	B	19700925	AT 1969-2512	19690314
CS 154266	P	19740329	CS 1969-1936	19690318
CS 154267	P	19740329	CS 1969-154267	19690318
CS 154268	P	19740329	CS 1969-154268	19690318
BE 730284	A	19690922	BE 1969-730284	19690321
FR 2004544	A5	19691128	FR 1969-8408	19690321
CH 513907	A	19711015	CH 1969-513907	19690321
CH 513910	A	19711015	CH 1969-513910	19690321
DK 125251	B	19730122	DK 1969-1575	19690321
CH 553209	A	19740830	CH 1971-8063	19690321
ES 365089	A1	19710101	ES 1969-365089	19690322
JP 48010467	B4	19730403	JP 1969-21555	19690322
DK 122393	B	19720228	DK 1970-4989	19700930
DK 125326	B	19730205	DK 1970-4988	19700930

PRIORITY APPLN. INFO.: GB 1968-13989 19680322
GI For diagram(s), see printed CA Issue.
AB The preparation of the title compds. (I), having antipyretic and **pain**-relieving properties, is described. A 50% NaH oil dispersion (7.2 g) was washed with petroleum ether and added to a mixture of 52 ml Me2CO3 and 7.6 g I (R1 = Me, R2 = p-BrC6H4, R3 = H). After refluxing 3 hr at 105-15°, the reaction mixture was treated with MeOH, neutralized with aqueous HCl, and extracted with CH2Cl2 to give I [R1 = CH(CO2Me)2, R2 = p-BrC6-H4, R3 = H], m. 173-4°. This (1.85 g) was treated with NaH (from 0.24 g oil suspension) in 15 ml Me2NCHO at 35° for 30 min. On addition of 1.25 ml MeI, the mixture was stirred 1 hr at 35° and diluted wit h 30 ml H2O at 10° to yield I [R1 = CMe(CO2Me)2, R2 = p-BrC6 H4, R3 = H], m. 64-5.5°. This (100 g) and 200 g corn starch was granulated, sieved, dried at below 50°, and finally tabletted after addition of 4 g Mg stearate. The following I were also prepared (R1, R2, R3, and m.p. given): CH(cO2Et)2, p-BrC6H4, H, 130-1°; CMe(CO2Et)2, p-BrC6H4, H, 45- 6°; 2,4-Cl2C6H3, CH(CO2Me)2, H, 92-4°; p-ClC6H4, CH(CO2Me)2, H, 46°; p-ClC6H4, CBr(CO2Me)2, H, 110-11°; p-ClC6H4, Me2NC(CO2Me)2, H, 95-6°; Me, H, p-ClC6H4, 83.5-4.5°; CH(CO2Me)2, H, p-ClC6H4, 212.5-14.0°; CH(CO2Et)2, H, p-ClC6H4, 161-1.5°; CMe(CO2Me)2, H, p-ClC6H4, 129-30°;

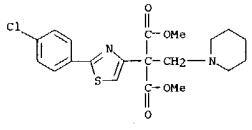
L20 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 25595-01-1 CAPLUS
CN Propanedioic acid, [2-(4-chlorophenyl)-4-thiazolyl]methyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 25595-03-3 CAPLUS
CN 4-Thiazolemalonic acid, 2-(p-chlorophenyl)-α-(piperidinomethyl)-, dimethyl ester (8CI) (CA INDEX NAME)



RN 25595-04-4 CAPLUS
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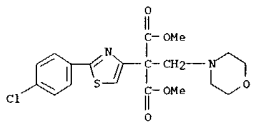

RN 25595-05-5 CAPLUS
CN 4-Thiazolemalonic acid, 2-(2,4-dichlorophenyl)-, dimethyl ester (8CI) (CA INDEX NAME)

L20 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
p-ClC6H4, Me, CH(CO2Me)2, 140-1°; p-ClC6H4, Me, XC(CO2Me)2 (X = piperidino), 90-1°; p-ClC6H4, Me, YC(CO2Me)2 (Y = morpholino), 124-6.
IT **17969-20-9P 25594-92-7P 25594-93-8P**
25594-94-9P 25595-01-1P 25595-03-3P
25595-04-4P 25595-05-5P 25595-07-7P
25595-08-8P 26209-69-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17969-20-9 CAPLUS
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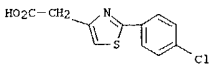
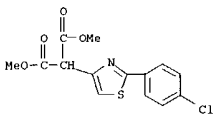


RN 25594-92-7 CAPLUS
CN 4-Thiazolemalonic acid, 2-(p-chlorophenyl)-, dimethyl ester (8CI) (CA INDEX NAME)



RN 25594-93-8 CAPLUS
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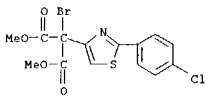
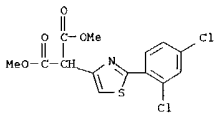


RN 25594-94-9 CAPLUS
CN 4-Thiazolemalonic acid, 2-(p-chlorophenyl)-α-[(diethylamino)methyl]-, dimethyl ester (8CI) (CA INDEX NAME)

L20 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 25595-07-7 CAPLUS
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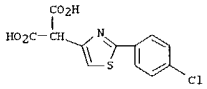
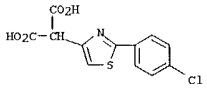
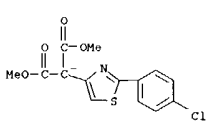


●2 Na

RN 25595-08-8 CAPLUS
CN 4-Thiazolemalonic acid, 2-(p-chlorophenyl)- (8CI) (CA INDEX NAME)



RN 26209-69-8 CAPLUS
CN 4-Thiazolemalonic acid, 2-(p-chlorophenyl)-, dimethyl ester, ion(1-), sodium (8CI) (CA INDEX NAME)



● Na^+

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L4              0 S L3 AND CAPLUS
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L6           1247 S L5
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L10             0 S L9 NOT L7
L11             3 S L8 AND SODIUM CHANNEL
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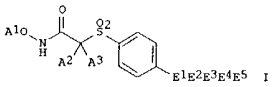
L25 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:100823 CAPLUS
DOCUMENT NUMBER: 140:163704
TITLE: Preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors
INVENTOR(S): Freskos, John N.; Fobian, Yvette M.; Awasthi, Alok K.; Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; Chandrakumar, Nizal S.; Decrescenzo, Gary A.; Desai, Bipin N.; Heron, Marcia I.; Hockerman, Susan L.; Jull, Sara M.; Kassab, Darren J.; Kolodziej, Steve A.; McDonald, Joseph; Mischke, Deborah A.; Mullins, Patrick B.; Norton, Monica B.; Rico, Joseph G.; Talley, John J.; Trivedi, Mahima; Villamil, Clara I.; Wang, Lijuan Jane
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 365 pp., Cont.-in-part of U.S. Ser. No. 142,737.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004024024	A1	20040205	US 2002-291983	20021112
US 2004010019	A1	20040115	US 2002-142737	20020510
US 6689794	B2	20040210		
US 2004110805	A1	20040610	US 2003-657034	20030905
WO 2004043943	A1	20040527	WO 2003-US34961	20031103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-290375P P 20010511
US 2002-142737 A2 20020510
US 2002-291983 A 20021112
OTHER SOURCE(S): MARPAT 140:163704
GI



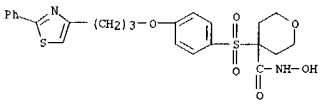
I

L25 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Title compds. [I; A1 = H, (substituted) alkylcarbonyl, alkoxycarbonyl, carbocyclylcarbonyl, heterocyclylcarbonyl, aminoalkylthiocarbonyl, etc.; A2A3C = (substituted) heterocyclyl; E1 = O, S, SO, SO2, NR1, CONR1, CR1R2; E2 = (substituted) alkyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, alkylcycloalkylalkyl; E3 = CO, O2C, CNR3, NR4, NR4SO2, S, SO, etc.; E4 = bond, (substituted) alkyl, alkenyl; E5 = H, OH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, carbocyclyl, heterocyclyl; R1, R2 = H, (substituted) alkyl; R4 = H, alkyl, cycloalkyl, etc.; with provisos], were prepared Thus, tetrahydro-4-[[4-[[5-(4-methoxyphenyl)-5-oxopentyl]oxy]phenyl]sulfonyl]-2H-pyran-4-carboxylic acid 1,1-dimethylethyl ester (preparation given) in CH2Cl2 was treated with Me3SiCN and ZnI2 to give 81% cyanohydrin. The product in DMF was treated with 1-hydroxybenzotriazole, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, N-methylmorpholine, and tetrahydropyranhydroxylamine to give 70% THP-protected hydroxamate. The latter was stirred with aqueous HCl in dioxane/MeOH to give 59% 4-[[4-[[(4Z)-5-cyano-5-(4-methoxyphenyl)-4-pentenyl]oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy-2H-pyran-4-carboxamide. This inhibited MMP-13 with IC50 = 0.2 nM.

IT **476185-10-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

RN 476185-10-1 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[3-(2-phenyl-4-thiazolyl)propoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

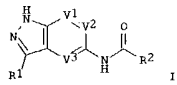


L25 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:610427 CAPLUS
DOCUMENT NUMBER: 139:164790
TITLE: Preparation of indazoles as protein kinase inhibitors
INVENTOR(S): Binch, Hayley; Brenchley, Guy; Golec, Julian M. C.; Knegtel, Ronald; Mortimore, Michael; Patel, Sanjay; Rutherford, Alistair
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064397	A1	20030807	WO 2003-US2096	20030123

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004009968 A1 20040115 US 2003-350806 20030123
PRIORITY APPLN. INFO.: US 2002-351597P P 20020125
OTHER SOURCE(S): MARPAT 139:164790
GI



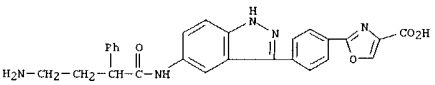
I

AB The title compds. [I; R1 = halo, CN, (un)substituted NH2, etc.; R2 = (CH2)2Ph, (un)substituted CH2Ph, CH2(1- or 2-naphthyl), etc.; V1-V3 = N, (un)substituted CH; with provisо] and pharmaceutically acceptable salts which are inhibitors of protein kinase, particularly inhibitors of AKT, PKA, PDK1, p70S6K, or ROCK kinase, mammalian protein kinases involved in proliferative and neurodegenerative disorders, were prepared Thus, reacting 5-aminoindazole with 3-chlorophenylacetic acid in the presence of HOBt, EDC.HCl and N-methylmorpholine in DMF afforded 42% 2-(3-chlorophenyl)-N-(1H-indazol-5-yl)acetamide which showed Ki of < 1 μM against ROCK kinase.

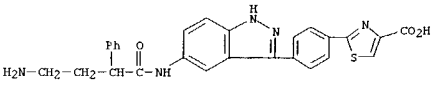
IT **574728-31-7P 574728-32-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazoles as protein kinase inhibitors)

RN 574728-31-7 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-[4-[5-[(4-amino-1-oxo-2-phenylbutyl)amino]-1H-indazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 574728-32-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-[5-[(4-amino-1-oxo-2-phenylbutyl)amino]-1H-indazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

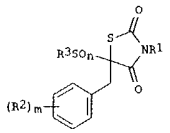


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:173427 CAPLUS
DOCUMENT NUMBER: 138:221580
TITLE: Preparation of arylsulfonylthiazolidinediones as inhibitors of farnesyl-protein transferase
INVENTOR(S): Salaski, Edward James; Salaski, Edward James; Ayral-Kaloustian, Semiramis; Epstein, Joseph William
PATENT ASSIGNEE(S): Wyeth Holdings Corporation, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003018011	A1	20030306	WO 2002-US26690	20020822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003096849	A1	20030522	US 2002-226880	20020823
US 6716862	B2	20040406		

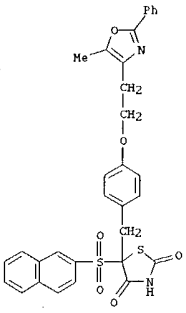
PRIORITY APPLN. INFO.: US 2001-314584P P 20010824
OTHER SOURCE(S): MARPAT 138:221580
GI



I

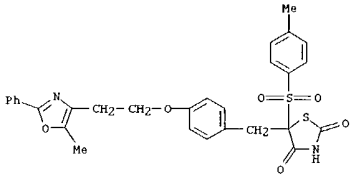
AB Title compds. (I; R1 = H, CH2CO2R9, CH2CONHOR10; n = 0-2; m = 1-3; R2 = H, alkyl, cycloalkyl, aryl, alkoxy, cycloalkoxy, aryloxy, OH, halo, amino, etc.; R3 = substituted aryl, cycloalkyl, alkoxy, aryloxy, OH, halo, amino, etc.; R9 = H, alkyl; R10 = H, benzyl optionally substituted by NO2), were prepared Thus, reaction of 5-(4-methoxybenzenesulfonyl)thiazolidine-2,4-dione with 4'-bromomethyl-2,4-dichlorobiphenyl in DMF gave 5-(2',4'-dichlorobiphen-4-ylmethyl)-5-(4-methoxybenzenesulfonyl)thiazolidine-2,4-dione. The latter inhibited farnesyl-protein transferase using H-Ras substrate with IC50 = 0.74 μM. I may be used as an alternative to, or in conjunction with, traditional cancer therapy for the treatment

L25 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
of ras oncogene-dependent tumors, such as cancers of the pancreas, colon, bladder, and thyroid.
IT **500718-69-4P 500718-73-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of arylsulfonylthiazolidinediones as inhibitors
of farnesyl-protein transferase)
RN 500718-69-4 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-5-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 500718-73-0 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-5-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

L25 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:888730 CAPLUS
DOCUMENT NUMBER: 137:384747
TITLE: Preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Fobian, Yvette M.; Freskos, John N.; Hockerman, Susan L.; Kassab, Darren J.; Kolodziej, Steve A.; McDonald, Joseph J.; Norton, Monica B.; Rico, Joseph G.; Talley, John J.; Villamil, Clara I.; Wang, Tijuan Jane
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 627 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092588	A2	20021121	WO 2002-US15257	20020510
WO 2002092588	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1385836	A2	20040204	EP 2002-729204	20020510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009525	A	20040309	BR 2002-9525	20020510
NO 2003004995	A	20031216	NO 2003-4995	20031110

PRIORITY APPLN. INFO.: US 2001-290375P P 20010511
WO 2002-US15257 W 20020510
OTHER SOURCE(S): MARPAT 137:384747
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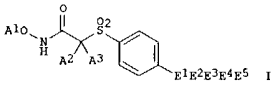


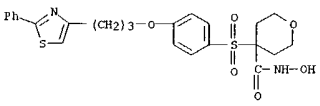
I

AB Title compds. [I; A1 = H, (substituted) alkylcarbonyl, alkoxycarbonyl, carbocyclylcarbonyl, heterocyclylcarbonyl, aminoalkylthiocarbonyl, etc.; A2A3C = (substituted) heterocyclyl; E1 = O, S, SO, SO2, NR1, CONR1, CR1R2; E2 = (substituted) alkyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, alkylcycloalkylalkyl; E3 = CO, O2C, CNR3, NR4, NR4SO2, S, SO, etc.; E4 = bond, (substituted) alkyl, alkenyl; E5 = H, OH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, carbocyclyl, heterocyclyl; R1, R2 = H, (substituted) alkyl; with provisos], were prepared Thus,

L25 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
tetrahydro-4-[[4-[[5-(4-methoxyphenyl)-5-oxopentyl]oxy]phenyl]sulfonyl]-2H-pyran-4-carboxylic acid 1,1-dimethylethyl ester (prepn. given) in CH2Cl2 was treated with Me3SiCN and ZnI2 to give 81% cyanohydrin. The product in DMF was treated with 1-hydroxybenzotriazole, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, N-methylmorpholine, and tetrahydropyranhydroxylamine to give 70% THP-protected hydroxamate. The latter was stirred with aq. HCl in dioxane/MeOH to give 59% 4-[[4-[[(4Z)-5-cyano-5-(4-methoxyphenyl)-4-pentenyl]oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy-2H-pyran-4-carboxamide. This inhibited MMP-13 with IC50 = 0.2 nM.

IT **476185-10-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors)

RN 476185-10-1 CAPLUS
CN 2H-Pyran-4-carboxamide, tetrahydro-N-hydroxy-4-[[4-[3-(2-phenyl-4-thiazolyl)propoxy]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L25 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:814125 CAPLUS
DOCUMENT NUMBER: 137:325438
TITLE: Preparation of dihydro-benzo[b][1,4]diazepin-2-one derivatives as metabotropic glutamate receptor 2 (mGluR2) antagonists
INVENTOR(S): Adam, Geo; Goetschi, Erwin; Mutel, Vincent; Wichmann, Juergen; Woltering, Thomas Johannes
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083665	A1	20021024	WO 2002-EP3643	20020402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1379522	A1	20040114	EP 2002-735209	20020402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002198197	A1	20021226	US 2002-116597	20020403
US 6548495	B2	20030415		
NO 2003004496	A	20031008	NO 2003-4496	20031008
PRIORITY APPLN. INFO.:			EP 2001-109126	A 20010412
			WO 2002-EP3643	W 20020402

OTHER SOURCE(S): MARPAT 137:325438
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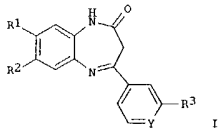
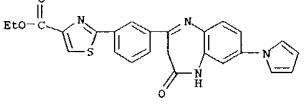


AB This invention is concerned with dihydro-benzo[b][1,4]diazepin-2-one derivs. of general formula [I; R1 = cyano, each (un)substituted fluoro-lower alkyl, lower alkoxy, fluoro-lower alkoxy, or is pyrrol-1-yl; R2 = H, if R1 is optionally substituted pyrrol-1-yl as defined above, or R2 = halogen, HO, lower alkyl, fluoro-lower alkyl, lower alkoxy,

L25 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hydroxymethyl, hydroxyethoxy, lower alkoxy(ethoxy)n (n = 1-4), lower alkoxymethyl, cyanomethoxy, morpholin-4-yl, thiomorpholin-4-yl, 1-oxothiomorpholin-4-yl, 1,1-dioxothiomorpholin-4-yl, 4-oxopiperidin-1-yl 4-alkoxypiperidin-1-yl, 4-hydroxypiperidin-1-yl, 4-hydroxyethoxypiperidin-1-yl, 4-lower alkylpiperazin-1-yl, alkoxycarbonyl, 2-dialkylaminoethylsulfanyl, N,N-bis(lower alkyl)amino-lower alkyl, carbamoylmethyl, etc.; Y = CH, N; R3 = halogen, lower alkyl, fluoro-lower alkyl, lower alkoxy, cyano, -(CH2)nCO-OR''-(CH2)n-CO-NR'R'', or (un)substituted five-membered arom. heterocycle; R' = H, lower alkyl, C3-6-cycloalkyl, fluoro-lower alkyl or 2-lower alkoxy-lower alkyl; R'' = H, lower alkyl, C3-6-cycloalkyl, fluoro-lower alkyl, 2-lower alkoxy lower alkyl, -(CH2)2-4-di-lower alkylamino, -(CH2)2-4-morpholinyl, -(CH2)2-4-pyrrolidinyl, -(CH2)2-4-piperidinyl, 3-hydroxy-lower alkyl; n = 0-4] and their pharmaceutically acceptable addn. salts. The invention further relates to medicaments contg. these compds. and a process for their prepn. as well as their use for prepn. of medicaments for the treatment or prevention of acute and/or chronic neurol. disorders including psychosis, schizophrenia, Alzheimer's disease, cognitive disorders and memory deficits. Thus, a mixt. of (2-amino-5-thiomorpholin-4-yl-4-trifluoromethylphenyl)carbamic acid tert-Bu ester and 3-(2-cyanopyridin-4-yl)-3-oxopropionic acid tert-Bu ester in toluene was heated to 80-120° to give [2-[3-(2-cyanopyridin-4-yl)-3-oxopropionylamino]-5-thiomorpholin-4-yl-4-trifluoromethylphenyl]carbamic acid tert-Bu ester which was treated with CF3CO2H in CH2Cl2 to give 4-(4-Oxo-8-thiomorpholin-4-yl-7-trifluoromethyl-4,5-dihydro-3H-benzo[b][1,4]diazepin-2-yl)pyridine-2-carbonitrile (II). II in vitro inhibited the [3H]-LY354740 binding on mGluR2 transfected CHO cell membranes with Ki of 0.0009 μM.

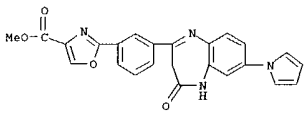
IT **473539-11-6P**, 2-[3-[4-Oxo-7-pyrrol-1-yl-4,5-dihydro-3H-benzo[b][1,4]diazepin-2-yl]phenyl]thiazole-4-carboxylic acid ethyl ester **473539-18-3P**, 2-[3-(4-Oxo-7-(pyrrol-1-yl)-4,5-dihydro-3H-benzo[b][1,4]diazepin-2-yl)phenyl]oxazole-4-carboxylic acid methyl ester **473539-19-4P**, 4-[3-(4-Hydroxymethyloxazol-2-yl)phenyl]-8-pyrrol-1-yl-1,3-dihydrobenzo[b][1,4]diazepin-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of dihydro-benzo[b][1,4]diazepin-2-one derivs. as metabotropic glutamate receptor 2 (mGluR2) antagonists for treatment and/or prevention of acute and/or chronic neurol. disorders)

RN 473539-11-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[3-[2,3-dihydro-2-oxo-8-(1H-pyrrol-1-yl)-1H-1,5-benzodiazepin-4-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

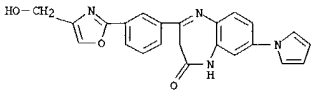


RN 473539-18-3 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-[3-[2,3-dihydro-2-oxo-8-(1H-pyrrol-1-yl)-1H-1,5-benzodiazepin-4-yl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

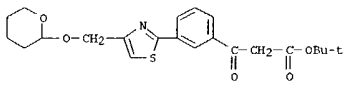


RN 473539-19-4 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]-8-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



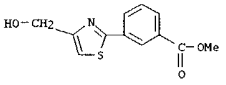
IT **473538-09-9P**, 3-Oxo-3-[3-[4-((tetrahydropyran-2-yloxy)methyl)thiazol-2-yl]phenyl]propionic acid tert-butyl ester **473538-10-2P**, 3-(4-Hydroxymethylthiazol-2-yl)benzoic acid methyl ester **473538-11-3P**, 3-[4-((Tetrahydropyran-2-yloxy)methyl)thiazol-2-yl]benzoic acid methyl ester **473538-26-0P**, 2-[3-(2,2-Dimethyl-6-oxo-6H-[1,3]dioxin-4-yl)phenyl]oxazole-4-carboxylic acid methyl ester **473538-27-1P**, 2-(3-Carboxyacetylphenyl)oxazole-4-carboxylic acid methyl ester **473538-28-2P**, 2-(3-Chlorocarbonylphenyl)oxazole-4-carboxylic acid methyl ester **473538-31-7P**, 2-(3-Allyloxycarbonylphenyl)oxazole-4-carboxylic acid methyl ester **473538-32-8P**, 2-(3-Carboxyphenyl)oxazole-4-carboxylic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of dihydro-benzo[b][1,4]diazepin-2-one derivs. as metabotropic glutamate receptor 2 (mGluR2) antagonists for treatment and/or prevention of acute and/or chronic neurol. disorders)

RN 473538-09-9 CAPLUS
CN Benzenepropanoic acid, β-oxo-3-[[4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

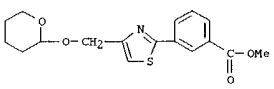


RN 473538-10-2 CAPLUS
CN Benzoic acid, 3-[4-(hydroxymethyl)-2-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)

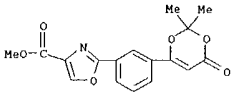
L25 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



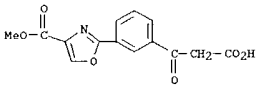
RN 473538-11-3 CAPLUS
CN Benzoic acid, 3-[4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 473538-26-0 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-[3-(2,2-dimethyl-4-oxo-4H-1,3-dioxin-6-yl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

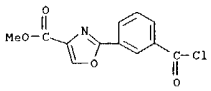


RN 473538-27-1 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-[3-(carboxyacetyl)phenyl]-, 4-methyl ester (9CI) (CA INDEX NAME)

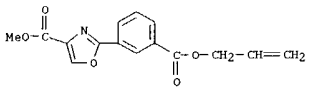


RN 473538-28-2 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-[3-(chlorocarbonyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

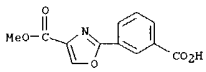
L25 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 473538-31-7 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-[3-[(2-propenyloxy)carbonyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

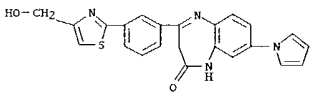


RN 473538-32-8 CAPLUS
CN 4-Oxazolecarboxylic acid, 2-(3-carboxyphenyl)-, 4-methyl ester (9CI) (CA INDEX NAME)

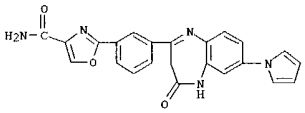


IT **473539-13-8P**, 4-[3-(4-Hydroxymethylthiazol-2-yl)phenyl]-8-pyrrol-1-yl-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473539-20-7P**, 2-[3-(4-Oxo-7-(pyrrol-1-yl)-4,5-dihydro-3H-benzo[b][1,4]diazepin-2-yl)phenyl]oxazole-4-carboxylic acid amide **473539-25-2P**, 2-[3-[4-Oxo-7-pyrrol-1-yl-4,5-dihydro-3H-benzo[b][1,4]diazepin-2-yl]phenyl]oxazole-4-carboxylic acid bis(2-hydroxyethyl)amide **473539-28-5P**, 2-[3-(4-Oxo-7-(pyrrol-1-yl)-4,5-dihydro-3H-benzo[b][1,4]diazepin-2-yl)phenyl]oxazole-4-carboxylic acid N-(2-hydroxyethyl)amide **473539-29-6P**, 4-[3-[4-((Dimethylamino)methyl)thiazol-2-yl]phenyl]-8-pyrrol-1-yl-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473539-73-0P** **473539-75-2P**, 4-[3-(4-((Methylamino)methyl)oxazol-2-yl)phenyl]-8-pyrrol-1-yl-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473539-76-3P**, 4-[3-(4-((Dimethylamino)methyl)oxazol-2-yl)phenyl]-8-pyrrol-1-yl-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473539-81-0P**, 4-[3-(4-Hydroxymethylthiazol-2-yl)phenyl]-7-methyl-8-trifluoromethyl-1,3-dihydrobenzo[b][1,4]diazepin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dihydro-benzo[b][1,4]diazepin-2-one derivs. as metabotropic glutamate receptor 2 (mGluR2) antagonists for treatment and/or prevention of acute and/or chronic neurol. disorders)

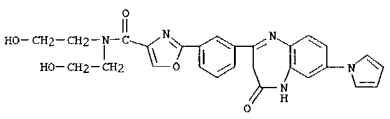
RN 473539-13-8 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-8-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



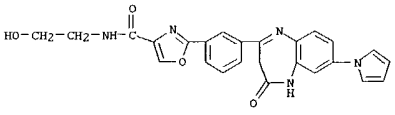
RN 473539-20-7 CAPLUS
CN 4-Oxazolecarboxamide, 2-[3-[2,3-dihydro-2-oxo-8-(1H-pyrrol-1-yl)-1H-1,5-benzodiazepin-4-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 473539-25-2 CAPLUS
CN 4-Oxazolecarboxamide, 2-[3-[2,3-dihydro-2-oxo-8-(1H-pyrrol-1-yl)-1H-1,5-benzodiazepin-4-yl]phenyl]-N,N-bis(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

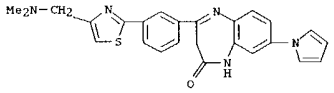


RN 473539-28-5 CAPLUS
CN 4-Oxazolecarboxamide, 2-[3-[2,3-dihydro-2-oxo-8-(1H-pyrrol-1-yl)-1H-1,5-benzodiazepin-4-yl]phenyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

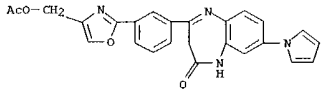


L25 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

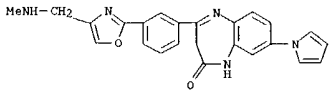
RN 473539-29-6 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 4-[3-[4-[(dimethylamino)methyl]-2-thiazolyl]phenyl]-1,3-dihydro-8-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



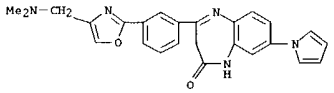
RN 473539-73-0 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 4-[3-[4-[(acetyloxy)methyl]-2-oxazolyl]phenyl]-1,3-dihydro-8-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RN 473539-75-2 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 1,3-dihydro-4-[3-[4-[(methylamino)methyl]-2-oxazolyl]phenyl]-8-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

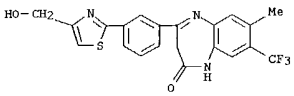


RN 473539-76-3 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 4-[3-[4-[(dimethylamino)methyl]-2-oxazolyl]phenyl]-1,3-dihydro-8-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RN 473539-81-0 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-7-methyl-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L25 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

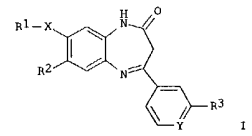
L25 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:814112 CAPLUS
DOCUMENT NUMBER: 137:325447
TITLE: Preparation of dihydrobenzo[b][1,4]diazepin-2-ones as mGluR2 antagonists for treatment of neurological disorders
INVENTOR(S): Adam, Geo; Goetschi, Erwin; Mutel, Vincent; Wichmann, Juergen; Woltering, Thomas Johannes
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

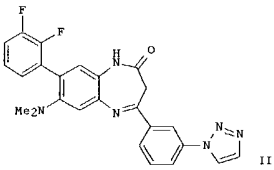
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083652	A1	20021024	WO 2002-EP3644	20020402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1379511	A1	20040114	EP 2002-737911	20020402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002193367	A1	20021219	US 2002-115826	20020403
US 6544985	B2	20030408		
NO 2003004576	A	20031112	NO 2003-4576	20031010
PRIORITY APPLN. INFO.:			EP 2001-109125 A	20010412
			WO 2002-EP3644 W	20020402

OTHER SOURCE(S): MARPAT 137:325447
GI

L25 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

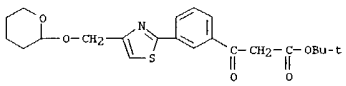


II

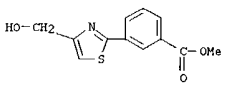
AB Title compds. I [wherein X = single bond or ethynediyl group; when X = single bond, R1 = CN , halo, (cyclo)alkyl, (fluoro)alkoxy, fluoroalkyl, or (un)substituted pyrrolyl or Ph; when X = ethynediyl, R1 = (un)substituted Ph; R2 = NR4R5, alkoxy, or R5-(un)substituted oxopiperazinyl, pyrrolidinyl, or piperidinyl; R3 = halo, (fluoro)alkyl, alkoxy, CN, (CH2)nCO2R5, (CH2)nCONR4R5, or (un)substituted 5-membered heteroaryl; R4 = H, (cyclo)alkyl, fluoroalkyl, or alkoxyalkyl; R5 = H, (cyclo)alkyl, fluoroalkyl, alkoxyalkyl, (CH2)m-dialkylamino, (CH2)m-morpholinyl, (CH2)m-pyrrolidinyl, (CH2)m-piperidinyl, or hydroxyalkyl; Y = CH, or N; m = 2-4; n = 0-4; or their pharmaceutically acceptable salts thereof] were prepared as metabotropic glutamate receptor 2 (mGluR2) antagonists. For example, coupling (5-amino-2-dimethylamino-2',3'-difluorobiphenyl-4-yl)carbamic acid tert-Bu ester with 3-oxo-3-(3-[1,2,3]triazol-1-ylphenyl)propionic acid Et ester (preparation of starting materials given) in toluene afforded the amide, which was cyclized using TFA to give the benzodiazepinone II (Ki = 0.070 μM). Twenty-nine compds. of the invention displayed mGluR2 antagonist activity with Ki values ranging from 0.003 μM to 0.48 μM. Thus, I are useful for the treatment or prevention of acute and/or chronic neurol. disorders, such as psychosis, schizophrenia, Alzheimer's disease, cognitive disorders, and memory deficits (no data).

IT **473538-09-9P**, 3-Oxo-3-[3-[4-(tetrahydropyran-2-yloxymethyl)thiazol-2-yl]phenyl]propionic acid tert-butyl ester **473538-10-2P**, 3-[4-(Hydroxymethyl)thiazol-2-yl]benzoic acid methyl ester **473538-11-3P**, 3-[4-(Tetrahydropyran-2-yloxymethyl)thiazol-2-yl]benzoic acid methyl ester **473547-97-6P**, 3-Oxo-3-[3-[4-(tetrahydropyran-2-yloxymethyl)oxazol-2-yl]phenyl]propionic acid tert-butyl ester **473547-98-7P**, 3-[4-(Tetrahydropyran-2-yloxymethyl)oxazol-2-yl]benzoic acid methyl ester **473548-00-4P**, 3-[4-(Hydroxymethyl)oxazol-2-yl]benzoic acid methyl ester **473548-67-3P**, 3-Oxo-3-[3-[5-propyl-4-(tetrahydropyran-2-yloxymethyl)thiazol-2-yl]phenyl]propionic acid tert-butyl ester **473548-68-4P**, 3-[5-Propyl-4-(tetrahydropyran-2-yloxymethyl)thiazol-2-yl]benzoic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzodiazepinone mGluR2 antagonists by coupling benzenediamines with dioxinones or oxopropanoates followed by cyclization)

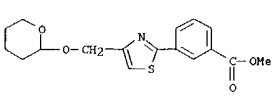
RN 473538-09-9 CAPLUS
CN Benzenepropanoic acid, β-oxo-3-[4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



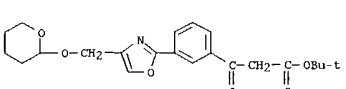
RN 473538-10-2 CAPLUS
CN Benzoic acid, 3-[4-(hydroxymethyl)-2-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 473538-11-3 CAPLUS
CN Benzoic acid, 3-[4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)

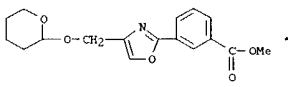


RN 473547-97-6 CAPLUS
CN Benzenepropanoic acid, β-oxo-3-[4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-oxazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

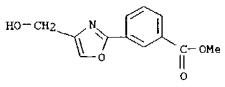


L25 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

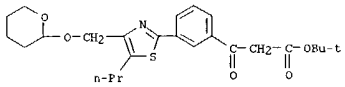
RN 473547-98-7 CAPLUS
CN Benzoic acid, 3-[4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-oxazolyl]-, methyl ester (9CI) (CA INDEX NAME)



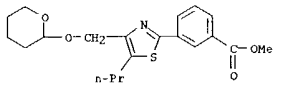
RN 473548-00-4 CAPLUS
CN Benzoic acid, 3-[4-(hydroxymethyl)-2-oxazolyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 473548-67-3 CAPLUS
CN Benzenepropanoic acid, β-oxo-3-[5-propyl-4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

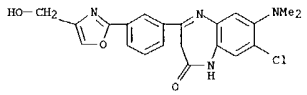


RN 473548-68-4 CAPLUS
CN Benzoic acid, 3-[5-propyl-4-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-2-thiazolyl]-, methyl ester (9CI) (CA INDEX NAME)

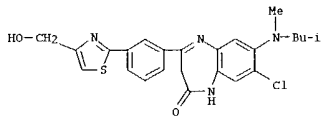


IT **473546-82-6P**, 8-Chloro-7-dimethylamino-4-[3-[4-(hydroxymethyl)thiazol-2-yl]phenyl]-1,3-dihydrobenzo[b][1,4]diazepin-2-one

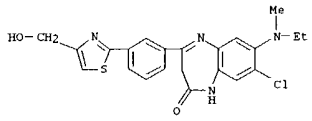
L25 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



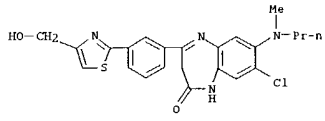
RN 473547-02-3 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-7-[methyl(2-methylpropyl)amino]- (9CI) (CA INDEX NAME)



RN 473551-82-5 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-7-(ethylmethylamino)-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]- (9CI) (CA INDEX NAME)



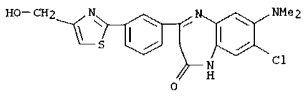
RN 473551-83-6 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-7-(methylpropylamino)- (9CI) (CA INDEX NAME)



RN 473551-84-7 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-7-[methyl(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

L25 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(mGluR2 antagonist; prepn. of benzodiazepinone mGluR2 antagonists by coupling benzenediamines with dioxinones or oxopropanoates followed by cyclization)

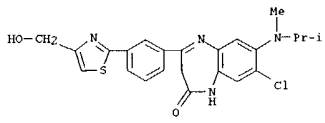
RN 473546-82-6 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-7-(dimethylamino)-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]- (9CI) (CA INDEX NAME)



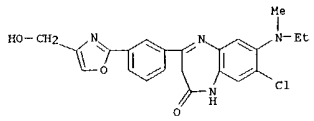
IT **473546-84-8P**, 8-Chloro-7-dimethylamino-4-[3-[4-(hydroxymethyl)oxazol-2-yl]phenyl]-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473547-02-3P**, 8-Chloro-4-[3-[4-(hydroxymethyl)thiazol-2-yl]phenyl]-7-(isobutylmethylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473551-82-5P 473551-83-6P**, 8-Chloro-4-[3-[4-(hydroxymethyl)thiazol-2-yl]phenyl]-7-(methylpropylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473551-84-7P**, 8-Chloro-4-[3-[4-(hydroxymethyl)thiazol-2-yl]phenyl]-7-(isopropylmethylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473551-85-8P 473551-86-9P**, 8-Chloro-4-[3-[4-(hydroxymethyl)oxazol-2-yl]phenyl]-7-(methylpropylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473551-87-0P**, 8-Chloro-4-[3-[4-(hydroxymethyl)oxazol-2-yl]phenyl]-7-(isopropylmethylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473551-88-1P**, 8-Chloro-4-[3-[4-(hydroxymethyl)oxazol-2-yl]phenyl]-7-(isobutylmethylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473551-89-2P 473551-98-3P**, 4-[3-[4-(Hydroxymethyl)thiazol-2-yl]phenyl]-8-methyl-7-(methylpropylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473551-99-4P**, 4-[3-[4-(Hydroxymethyl)oxazol-2-yl]phenyl]-8-methyl-7-(methylpropylamino)-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473552-00-0P**, 7-Dimethylamino-4-[3-[4-(hydroxymethyl)thiazol-2-yl]phenyl]-8-trifluoromethyl-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473552-01-1P**, 7-Dimethylamino-4-[3-[4-(hydroxymethyl)oxazol-2-yl]phenyl]-8-trifluoromethyl-1,3-dihydrobenzo[b][1,4]diazepin-2-one **473552-02-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(mGluR2 antagonist; preparation of benzodiazepinone mGluR2 antagonists by coupling benzenediamines with dioxinones or oxopropanoates followed by cyclization)

RN 473546-84-8 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-7-(dimethylamino)-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)

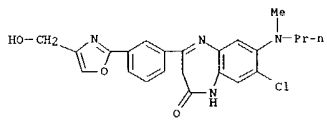
L25 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



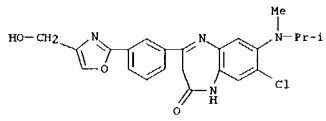
RN 473551-85-8 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-7-(ethylmethylamino)-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]- (9CI) (CA INDEX NAME)



RN 473551-86-9 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]-7-(methylpropylamino)- (9CI) (CA INDEX NAME)

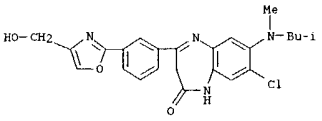


RN 473551-87-0 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]-7-[methyl(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

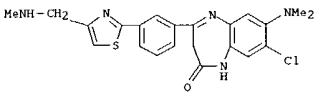


RN 473551-88-1 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]-7-[methyl(2-methylpropyl)amino]- (9CI) (CA INDEX NAME)

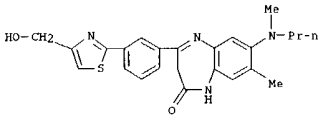
L25 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



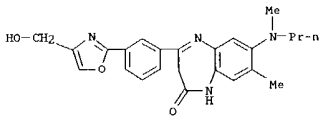
RN 473551-89-2 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 8-chloro-7-(dimethylamino)-1,3-dihydro-4-[3-[4-[(methylamino)methyl]-2-thiazolyl]phenyl]- (9CI) (CA INDEX NAME)



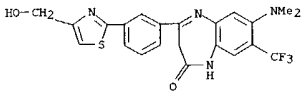
RN 473551-98-3 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-8-methyl-7-(methylpropylamino)- (9CI) (CA INDEX NAME)



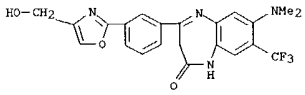
RN 473551-99-4 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]-8-methyl-7-(methylpropylamino)- (9CI) (CA INDEX NAME)



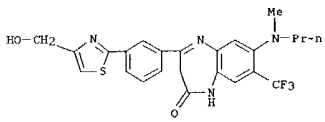
RN 473552-00-0 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 7-(dimethylamino)-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473552-01-1 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 7-(dimethylamino)-1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-oxazolyl]phenyl]-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473552-02-2 CAPLUS
CN 2H-1,5-Benzodiazepin-2-one, 1,3-dihydro-4-[3-[4-(hydroxymethyl)-2-thiazolyl]phenyl]-7-(methylpropylamino)-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:754206 CAPLUS
DOCUMENT NUMBER: 137:273215
TITLE: Dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
INVENTOR(S): Ashton, Wallace T.; Caldwell, Charles G.; Ok, Hyun; Parmee, Emma R.; Weber, Ann E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076450	A1	20021003	WO 2002-US8931	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1385508	A1	20040204	EP 2002-753819	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004106656	A1	20040603	US 2003-472771	20030924

PRIORITY APPLN. INFO.: US 2001-278931P P 20010327
WO 2002-US8931 W 20020322

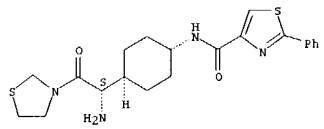
AB The present invention is directed to compds. which are inhibitors of the dipeptidyl peptidase-IV enzyme ("DP-IV inhibitors") and which are useful in the treatment or prevention of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as diabetes and particularly type 2 diabetes. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which the dipeptidyl peptidase-IV enzyme is involved.

IT **463348-93-8P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes)

RN 463348-93-8 CAPLUS
CN 4-Thiazolecarboxamide, N-[trans-4-[(1S)-1-amino-2-oxo-2-(3-thiazolidinyl)ethyl]cyclohexyl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

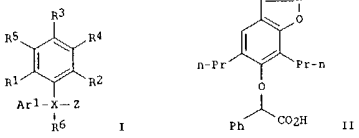


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637483 CAPLUS
DOCUMENT NUMBER: 137:185311
TITLE: Preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders
INVENTOR(S): Adams, Alan D.; Jones, A. Brian; Berger, Joel P.; Dropinski, James F.; Elbrecht, Alexander; Liu, Kun; Macnaul, Karen Lamb; Shi, Guo-qiang; Von, Langen Derek J.; Zhou, Gaochao
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064094	A2	20020822	WO 2002-US4680	20020205
WO 2002064094	A3	20030612		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1366012	A2	20031203	EP 2002-721022	20020205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004092596	A1	20040513	US 2003-470954	20030730

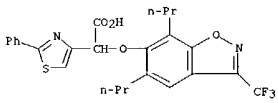
PRIORITY APPLN. INFO.: US 2001-267809P P 20010209
WO 2002-US4680 W 20020205
OTHER SOURCE(S): MARPAT 137:185311
GI



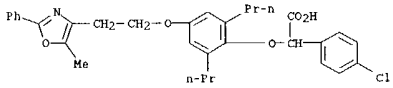
AB Title compds. I [R1 = halo, alkyl, alkoxy; R2 = alkyl, alicyclic; R3 = alkyl, aryl, alicyclic, heterocycle, etc.; R4 = H, OH, alkoxy, aryloxy, halo or R3-4 may be joined together to yield 5- or 6-membered heterocycle; R5 = H, halo; R6 = H, halo, CH3, CF3; Ar1 = Ph, thienyl, thiazolyl, oxazolyl, pyridyl; X = O, S; Z = COOH, tetrazole, carboxamide] were prepd. For instance, 2,4-dipropylresorcinol was converted to 2,4-dihydroxy-3,5-dipropyl-α,α,α-trifluoroacetophenone (CH2Cl2, TFAA, AlCl3) and subsequently treated with i. hydroxylamine•HCl, MeOH, reflux; ii. Ac2O; iii. pyridine, reflux which afforded 5,7-dipropyl-6-hydroxy-3-trifluoromethyl-1,2-benzisoxazole. The benzisoxazole was reacted with Me 2-bromo-2-phenylacetate (DMF, Cs2CO3) and the product sapond. to give II. I are potent agonists of the peroxisome proliferator activated receptor and are useful in the treatment of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR-α and/or PPAR-γ mediated diseases.

IT **449779-47-9P 449779-48-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders)

RN 449779-47-9 CAPLUS
CN 4-Thiazoleacetic acid, α-[[5,7-dipropyl-3-(trifluoromethyl)-1,2-benzisoxazol-6-yl]oxy]-2-phenyl- (9CI) (CA INDEX NAME)



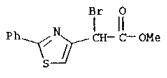
RN 449779-48-0 CAPLUS
CN Benzeneacetic acid, 4-chloro-α-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2,6-dipropylphenoxy]- (9CI) (CA INDEX NAME)



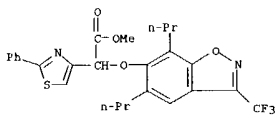
IT **449780-17-0P 449780-18-1P 449780-20-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders)

RN 449780-17-0 CAPLUS

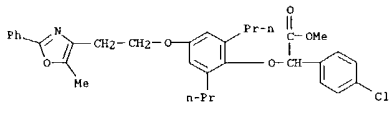
L25 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 4-Thiazoleacetic acid, α-bromo-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 449780-18-1 CAPLUS
CN 4-Thiazoleacetic acid, α-[[5,7-dipropyl-3-(trifluoromethyl)-1,2-benzisoxazol-6-yl]oxy]-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



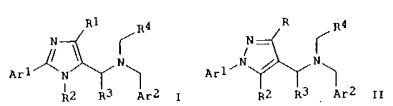
RN 449780-20-5 CAPLUS
CN Benzeneacetic acid, 4-chloro-α-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2,6-dipropylphenoxy]-, methyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:487497 CAPLUS
DOCUMENT NUMBER: 137:78952
TITLE: Preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators
INVENTOR(S): Thurkauf, Andrew; Zhang, Xiaoyan; He, Xia-Shu; Zhao, He; Peterson, John; Maynard, George; Ohliger, Robert
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 609 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049993	A2	20020627	WO 2000-US26816	20000929
WO 2002049993	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000076225	A5	20020701	AU 2000-76225	20000929
EP 1322309	A2	20030702	EP 2000-965522	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000017338	A	20040427	BR 2000-17338	20000929
NO 2003001370	A	20030530	NO 2003-1370	20030326

PRIORITY APPLN. INFO.: WO 2000-US26816 W 20000929
OTHER SOURCE(S): MARPAT 137:78952
GI



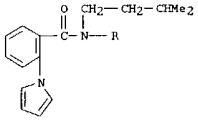
AB The invention includes low mol. weight, non-peptidic, non-peptidommetic, organic
mols. that can act as modulators of mammalian complement C5a receptors, preferably ones that act as high affinity C5a receptor ligands and also such ligands that can act as antagonists or inverse agonists of complement C5a receptors. Preferred compds. of the invention possess some or all of the following properties in that they are: (1) multi-aryl in structure; (2) heteroaryl in structure; (3) a pharmaceutically acceptable oral dose can provide a detectable in vivo effect; (4) comprise fewer than four or preferably no amide bonds, and (5) capable of habiting leukocyte

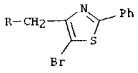
L25 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

chemotaxis at nanomolar or sub-nanomolar concns. Such compds. include imidazoles I [R1 = H, OH, halo, etc.; R2 = alkyl, cycloalkyl, etc.; R3 H, alkyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], pyrazoles II [R = H, OH, halo, etc.; R2, R3 = H, OH, halo, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], amides Ar1CONR1R2 [III; R1, R2 = alkyl, alkenyl, cycloalkyl, etc.; Ar1 = (un)substituted carbocyclic aryl, arylalkyl, etc.], etc. Detailed prepn. of some compds. I-III was given. E.g., a multi-step synthesis of I [Ar1 = Ph; R1, R3 = H; R2 = Bu; R4, Ar2 = 3,4-methylenedioxyphenyl] was presented. The invention also includes pharmaceutical compn. comprising such compds. I-III and the use of such compds. in treating a variety of inflammatory and immune system disorders.

IT **439568-82-0P 439568-83-9P 439568-84-0P**
439568-85-1P 439568-86-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators)

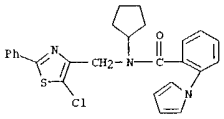
RN 439568-82-8 CAPLUS
CN Benzamide, N-[(5-bromo-2-phenyl-4-thiazolyl)methyl]-N-(3-methylbutyl)-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



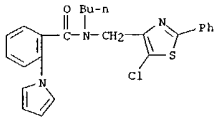


RN 439568-83-9 CAPLUS
CN Benzamide, N-butyl-N-[(5-chloro-2-phenyl-4-thiazolyl)methyl]-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

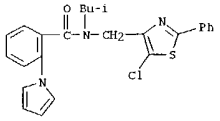
L25 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



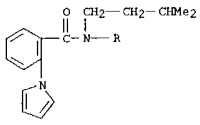
L25 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

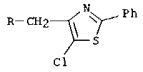


RN 439568-84-0 CAPLUS
CN Benzamide, N-[(5-chloro-2-phenyl-4-thiazolyl)methyl]-N-(2-methylpropyl)-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RN 439568-85-1 CAPLUS
CN Benzamide, N-[(5-chloro-2-phenyl-4-thiazolyl)methyl]-N-(3-methylbutyl)-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)





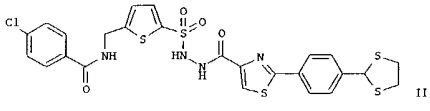
RN 439568-86-2 CAPLUS
CN Benzamide, N-[(5-chloro-2-phenyl-4-thiazolyl)methyl]-N-cyclopentyl-2-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

L25 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:125925 CAPLUS
DOCUMENT NUMBER: 136:151160
TITLE: Preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as c-Jun N-terminal kinase inhibitors
INVENTOR(S): Arkinstall, Stephen; Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean-Pierre; Biamonte, Marco
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023382	A1	20010405	WO 2000-IB1381	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1088822	A1	20010404	EP 1999-810870	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1216245	A1	20020626	EP 2000-962745	20000928
EP 1216245	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510323	T2	20030318	JP 2001-526534	20000928

PRIORITY APPLN. INFO.: EP 1999-810870 A 19990928
WO 2000-IB1381 W 20000928
OTHER SOURCE(S): MARPAT 136:151160
GI



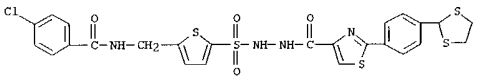
II

AB RC(:X1)NR1(CH2)nZSO2NR2NR3C(:X2)R4 [I; R = (un)substituted (hetero)aryl; R1, R2, and R3 = H or alkyl; or RR1 and/or R2R3 = atoms to complete a ring; R4 = (un)substituted alkyl or heterocyclyl; X1 and X2 = O or S; Z = (un)substituted (hetero)arylene; n = 0-5] were prepared as c-Jun N-terminal kinase (JNK) inhibitors, especially JNK2 or JNK3 inhibitors. Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl (98%) and the

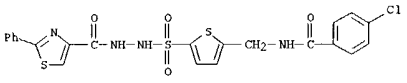
L25 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
chlorosulfonated product (63%) amidated by 2-[4-(1,3-dithiolan-2-yl)phenyl]thiazole-4-carbohydrazide to give title compd. II (80%). The latter exhibited selective inhibitory effect for JNK2 and JNK3 compared with p38 kinase and ERK2 protein kinase with IC50 values of 0.21 μM, 0.37 μM, >30 μM, and >30 μM, resp. Thus, I are useful for the treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease.

IT **332360-50-6P**, 4-Chloro-N-[[5-[[2-[[2-[4-(1,3-dithiolan-2-yl)phenyl]-1,3-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]benzamide **332360-51-7P**, 4-Chloro-N-[[5-[[2-[(2-phenyl-thiazol-4-yl)carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]benzamide **332360-54-0P**, 4-Chloro-N-[[5-[[2-[[2-[4-(1H-pyrrol-1-yl)phenyl]-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]benzamide **332360-58-4P**, 4-Chloro-N-[[5-[[2-[[2-{2-chloro-4-(trifluoromethyl)phenyl}-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]benzamide **332360-60-8P**, 4-Chloro-N-[[5-[[2-[[2-(2,3-dichlorophenyl)-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]benzamide **394687-56-0P**, N-[[5-[[2-[[2-[4-(1,3-Dithiolan-2-yl)phenyl]-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]-3-nitrobenzamide **394687-59-3P**, N-[[5-[[2-[[2-[4-(1,3-Dithiolan-2-yl)phenyl]-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide **394687-62-8P**, N-[[5-[[2-[[2-[4-(1,3-Dithiolan-2-yl)phenyl]-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]-4-nitrobenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(JNK inhibitor; preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as JNK2 and JNK3 inhibitors for treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease)

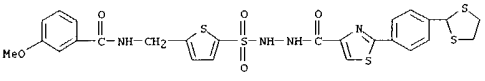
RN 332360-50-6 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



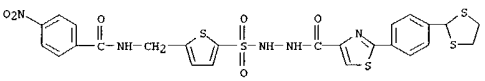
RN 332360-51-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



L25 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
2-[[5-[[(3-methoxybenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



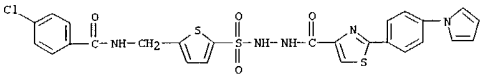
RN 394687-62-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-, 2-[[5-[[(4-nitrobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



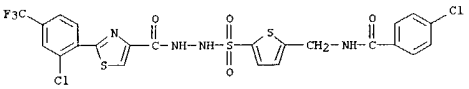
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

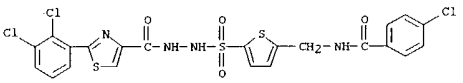
RN 332360-54-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-(1H-pyrrol-1-yl)phenyl]-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



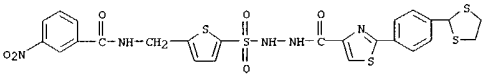
RN 332360-58-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[2-chloro-4-(trifluoromethyl)phenyl]-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 332360-60-8 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(2,3-dichlorophenyl)-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 394687-56-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-, 2-[[5-[[(3-nitrobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 394687-59-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-,

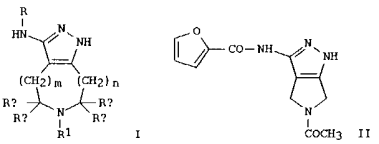
L25 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:123007 CAPLUS
DOCUMENT NUMBER: 136:183816
TITLE: Combinatorial preparation of bicyclo pyrazoles as kinase inhibitors for treatment of cancer and other proliferative disorders
INVENTOR(S): Fancelli, Daniele; Pittala, Valeria; Varasi, Mario
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012242	A2	20020214	WO 2001-EP8639	20010725
WO 2002012242	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001087654	A5	20020218	AU 2001-87654	20010725
BR 2001013176	A	20030617	BR 2001-13176	20010725
EP 1320531	A2	20030625	EP 2001-967223	20010725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004505977	T2	20040226	JP 2002-518217	20010725
NO 2003000381	A	20030224	NO 2003-381	20030124
US 2003171357	A1	20030911	US 2003-344480	20030210

PRIORITY APPLN. INFO.: US 2000-635914 A 20000810
WO 2001-EP8639 W 20010725

OTHER SOURCE(S): MARPAT 136:183816
GI



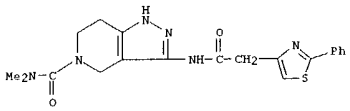
AB Title compds. I [wherein R and R1 = independently H or (un)substituted R', COR', CONHR', CONR'R", NHC(:NH)NHR', C(:NH)NHR', SO2R', SO2NHR', or SO2NR'R"; R' and R" = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); or R'R" = alkylene chain; Ra, Rb, Rc, and Rd = independently

L25 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
H or (un)substituted alkyl, aryl(alkyl), or CH2OR'; or Ra and Rb and/or Rc and Rd taken together with the C to which they are bonded = (un)substituted cycloalkyl; m and n = independently 0-2, provided that m + n ≤ 2; and pharmaceutically acceptable salts thereof] were prepd., primarily by solid phase combinatorial methods, as protein kinase inhibitors (no data). For example, cycloaddn. of H2NNH2•HCl to tert-Bu 3-cyano-4-oxo-1-pyrrolidinecarboxylate (prepn. given) afforded 3-amino-5-(tert-butoxycarbonyl)-4,6-dihydropyrrolo[3,4-c]pyrazole (31%). The pyrrolopyrazole was dissolved in anhyd. CH2Cl2 and linked to methylisocyanate polystyrene resin to give the polymer-bound urea. The resin-supported urea was partitioned into 96 batches and reacted with acyl chlorides. A second partition of one of the lots, followed by reaction with carboxylic acids, sulfonyl chlorides, and isocyanates and hydrolytic cleavage from the resin, afforded combinatorial libraries of functionalized derivs., including II. I are useful for treating diseases linked to disregulated protein kinases, such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, autoimmune disease, and neurodegenerative disorders (no data).

IT **398495-42-6P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(kinase inhibitor; combinatorial preparation of bicyclo pyrazoles as kinase inhibitors for treatment of cancer and other proliferative disorders)

RN 398495-42-6 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1,4,6,7-tetrahydro-N,N-dimethyl-3-[[(2-phenyl-4-thiazolyl)acetyl]amino]- (9CI) (CA INDEX NAME)

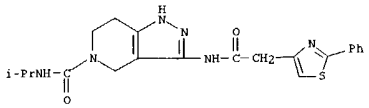


IT **398495-43-7P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(kinase inhibitor; combinatorial preparation of bicyclo pyrazoles as kinase inhibitors for treatment of cancer and other proliferative disorders)

RN 398495-43-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1,4,6,7-tetrahydro-N-(1-methylethyl)-3-[[(2-phenyl-4-thiazolyl)acetyl]amino]- (9CI) (CA INDEX NAME)

L25 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



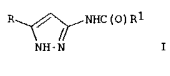
L25 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:137023 CAPLUS
DOCUMENT NUMBER: 134:178552
TITLE: 3(5)-Acylaminopyrazole derivatives, process for their preparation and their use as antitumor agents
INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha A.; Pierce, Betsy S.; Brasca, Maria Grabriella
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012189	A1	20010222	WO 2000-US6699	20000505
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000049714	A5	20010313	AU 2000-49714	20000505
EP 1202733	A1	20020508	EP 2000-931906	20000505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013143	A	20020611	BR 2000-13143	20000505
JP 2003507329	T2	20030225	JP 2001-516535	20000505
EE 200200065	A	20030415	EE 2002-65	20000505
NZ 517237	A	20040227	NZ 2000-517237	20000505
US 6218418	B1	20010417	US 2000-667603	20000922
NO 2002000684	A	20020403	NO 2002-684	20020211
HR 2002000128	A1	20030430	HR 2002-128	20020212
ZA 2002001511	A	20030311	ZA 2002-1511	20020222
BG 106480	A	20020930	BG 2002-106480	20020305

PRIORITY APPLN. INFO.: US 1999-372831 A 19990812
US 2000-560400 A1 20000428
WO 2000-US6699 W 20000505

OTHER SOURCE(S): MARPAT 134:178552
GI



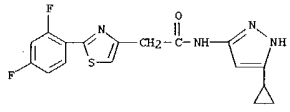
AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be

L25 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their prepn. and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral **nervous system**, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation assocd. with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for prepg. the 3-aminopyrazole deriv. or the pharmaceutically acceptable salt thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compd. with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc deriv.; (e) reducing this BOC deriv. to obtain the amino analog; (f) reacting this amino compd. with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of prepn. are also claimed.

IT **326825-30-3P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-[2-(2,4-difluorophenyl)-1,3-thiazol-4-yl]acetamide **326825-36-9P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(5-methyl-2-phenyl-1,3-thiazol-4-yl)acetamide **326825-45-0P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-2-(2-phenyl-1,3-thiazol-4-yl)acetamide **326825-46-1P**, 2-[2-(4-Chlorophenyl)-5-methyl-1,3-thiazol-4-yl]-N-(5-cyclopropyl-1H-pyrazol-3-yl)acetamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylaminopyrazole derivs., process for preparation and use as antitumor agents)

RN 326825-30-3 CAPLUS

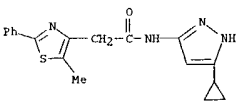
CN 4-Thiazoleacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)



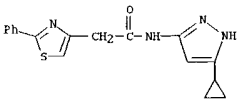
RN 326825-36-9 CAPLUS

CN 4-Thiazoleacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

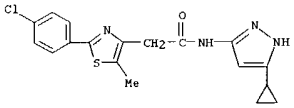
L25 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 326825-45-0 CAPLUS
CN 4-Thiazoleacetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 326825-46-1 CAPLUS
CN 4-Thiazoleacetamide, 2-(4-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)-5-methyl- (9CI) (CA INDEX NAME)

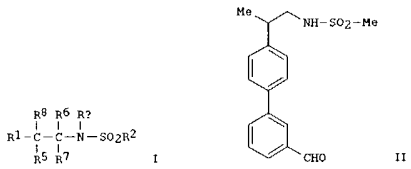


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:98505 CAPLUS
DOCUMENT NUMBER: 132:137119
TITLE: Preparation of N-substituted sulfonamide derivatives for potentiating glutamate receptor function
INVENTOR(S): Arnold, Macklin Brian; Jones, Winton Dennis; Ornstein, Paul Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

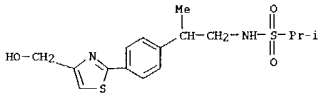
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006537	A1	20000210	WO 1999-US17017	19990728
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952355	A1	20000221	AU 1999-52355	19990728
US 6525099	B1	20030225	US 2001-744419	20010123

PRIORITY APPLN. INFO.: US 1998-94921P P 19980731
WO 1999-US17017 W 19990728
OTHER SOURCE(S): MARPAT 132:137119
GI



AB Title compds. (I) [wherein Ra = alkyl, acyl, CO2(aryl)alkyl, CO2(alkyl)aryl, C(O)CH2OH, or N-substituted aminoacyl; R1 = (un)substituted naphthyl, Ph, furyl, thienyl, or pyridyl; R2 = (cyclo)alkyl, haloalkyl, alkenyl, alkoxyalkyl, heteroarom., (un)substituted Ph, etc.; R5-R8 = independently H, (aryl)alkyl,

L25 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(aryl)alkenyl, aryl, or 2 of R5-R8 together with the C atom(s) to which they are attached form a carbocyclic ring and the remaining R5-R8 = H] were prepd. as ampakines (no data) for the treatment of a wide variety of psychiatric conditions and neurol. disorders. Examples include prepns. of over 100 intermediates and 281 invention compds. For instance, reaction of 2-(4-bromophenyl)propylamine.HCl (2-step prepn. given) with MeSO2Cl in toluene and 10% aq. NaOH gave N-2-(4-bromophenylpropyl) methanesulfonamide (81%). Arylation of the sulfonamide with 3-formylbenzeneboronic acid in the presence of K2CO3 and Pd(PPh3)4 in toluene gave II in 41% yield.
IT **211312-35-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; preparation of N-substituted sulfonamide derivs. as glutamate receptor potentiators for the treatment of psychiatric conditions and neurol. disorders)
RN 211312-35-5 CAPLUS
CN 2-Propanesulfonamide, N-[2-[4-[4-(hydroxymethyl)-2-thiazolyl]phenyl]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

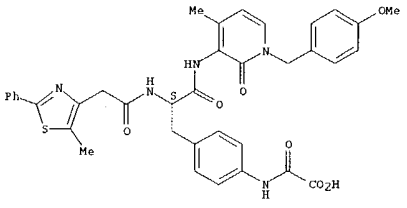
L25 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:404935 CAPLUS
DOCUMENT NUMBER: 131:59136
TITLE: Pyridones as Src family SH2 domain inhibitors
INVENTOR(S): Betageri, Rajashekhar; Beaulieu, Pierre L.; Llinas-Brunet, Montse; Ferland, Jean-Marie; Cardozo, Mario; Moss, Neil; Patel, Usha; Proudfoot, John R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931066	A1	19990624	WO 1998-US26123	19981209
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SK, TR, UA, UZ, VN				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2315113	AA	19990624	CA 1998-2315113	19981209
AU 9917194	A1	19990705	AU 1999-17194	19981209
US 6054470	A	20000425	US 1998-208113	19981209
EP 1045836	A1	20001025	EP 1998-962022	19981209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, RO				
JP 2003514762	T2	20030422	JP 2000-538993	19981209
ZA 9811570	A	19990916	ZA 1998-11570	19981217
US 6268365	B1	20010731	US 1999-438629	19991112
US 6284768	B1	20010904	US 1999-438647	19991112
US 6156784	A	20001205	US 1999-455633	19991207

PRIORITY APPLN. INFO.: US 1997-69971P P 19971218
US 1998-208113 A3 19981209
WO 1998-US26123 W 19981209
US 1999-129414P P 19990415
OTHER SOURCE(S): MARPAT 131:59136
AB Compds. A-Q-NB-CH(D-NH-E)-CH2-a-R-C (ring a is selected from cycloalkyl, aryl, heterocyclyl; A = alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkenyl, heterocyclyl, aryl; Q = CO, SO2, C:S; B = H, alkyl, a nitrogen-protecting group; R = bond, alkyl, aryl, heterocyclyl, cycloalkyl linker; C is an acidic functionality that carries one or two neg. charges at physiol. pH; D = CH2, CO, C:S; E are certain six-membered unsatd. heterocycles) were prepared These compds. possess the ability to disrupt the interaction between regulatory proteins possessing one or more SH2 domains and their native ligands. Thus, 3-[2'(S)-(1''''-naphthylacetyl)amino-3'-{4''-(1'''-carboxy-1'''-methylethyl)benzene]propanoylamino]-1-(4-methoxybenzyl)-4-methyl-2-pyridone was prepared and showed IC50 = 96 μM for blocking IL-2 production in human blood CD4 pos. T-lymphocytes after T cell receptor and CD28 crosslinking.
IT **228407-83-8P 228407-84-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyridones as Src family SH2 domain inhibitors)
RN 228407-83-8 CAPLUS
CN Acetic acid, {[4-[(2S)-3-[[1,2-dihydro-1-[(4-methoxyphenyl)methyl]-4-methyl-2-oxo-3-pyridinyl]amino]-2-[[(5-methyl-2-phenyl-4-

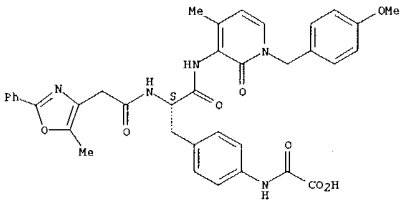
L25 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
thiazolyl)acetyl]amino]-3-oxopropyl]phenyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



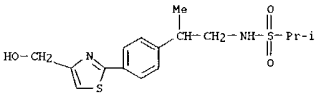
RN 228407-84-9 CAPLUS
CN Acetic acid, [[4-[(2S)-3-[[1,2-dihydro-1-[(4-methoxyphenyl)methyl]-4-methyl-2-oxo-3-pyridinyl]amino]-2-[[(5-methyl-2-phenyl-4-oxazolyl)acetyl]amino]-3-oxopropyl]phenyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
IT **211312-35-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as glutamate receptor potentiators)
RN 211312-35-5 CAPLUS
CN 2-Propanesulfonamide, N-[2-[4-[4-(hydroxymethyl)-2-thiazolyl]phenyl]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:542964 CAPLUS
DOCUMENT NUMBER: 129:161416
TITLE: Preparation of sulfonamides as glutamate receptor potentiators
INVENTOR(S): Arnold, Macklin B.; Baker, Stephen R.; Bleakman, David; Bleisch, Thomas J.; Cantrell, Buddy E.; Escribano, Ana M.; Matsumoto, Ken; Mckennon, Tracey E.; Ornstein, Paul L.; Simon, Richard L.; Smith, Edward C. R.; Tizzano, Joseph P.; Zarrinmayeh, Hamideh; Zimmerman, Dennis M.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; et al.
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9833496	A1	19980806	WO 1998-US1881	19980130
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9862595	A1	19980825	AU 1998-62595	19980130
AU 760056	B2	20030508		
TR 9902368	T2	20000121	TR 1999-9902368	19980130
BR 9807297	A	20000418	BR 1998-7297	19980130
NZ 336559	A	20010126	NZ 1998-336559	19980130
JP 2001511781	T2	20010814	JP 1998-533144	19980130
ZA 9800842	A	19991102	ZA 1998-842	19980202
EP 860428	A2	19980826	EP 1998-300759	19980203
EP 860428	A3	20000719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 9903667	A	19990920	NO 1999-3667	19990728
MX 9907016	A	20000131	MX 1999-7016	19990728
US 6303816	B1	20011016	US 1999-355605	19991018
US 2002002158	A1	20020103	US 2001-912809	20010725
US 6596716	B2	20030722		

PRIORITY APPLN. INFO.: GB 1997-2194 A 19970204
WO 1997-EP3148 W 19970617
WO 1998-US1881 W 19980130
US 1999-355605 A3 19991018

OTHER SOURCE(S): MARPAT 129:161416
AB R1ZNHSO2R2 [I; R1 = (un)substituted (hetero)aryl; R2 = (cyclo)alkyl, alkenyl, (un)substituted Ph, NR3R4, etc.; R3,R4 = alkyl; NR3R4 = heterocyclyl; Z = (un)substituted alkylene] were prepared Thus, 4-BrC6H4CH2CN was α-methylated and the reduced product amidated by MeSO2Cl to give, after 3-FC6H4B(OH)2-arylation, 3-FC6H4C6H4(CHMeCH2NHSO2Me)-4. Data for biol. activity of I were given.

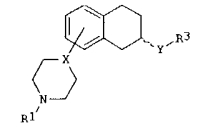
L25 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:640655 CAPLUS
DOCUMENT NUMBER: 127:307398
TITLE: New piperidinyl- and piperazinyl-substituted 1,2,3,4-tetrahydronaphthalene derivatives useful as 5-HT antagonists
INVENTOR(S): Berg, Stefan; Florvall, Lennart; Ross, Svante; Thorberg, Seth-Olov
PATENT ASSIGNEE(S): Astra AB, Swed.
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9734883	A1	19970925	WO 1997-SE469	19970320
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9702056	A	19970922	ZA 1997-2056	19970310
CA 2247940	AA	19970925	CA 1997-2247940	19970320
AU 9721865	A1	19971010	AU 1997-21865	19970320
AU 709856	B2	19990909		
EP 888319	A1	19990107	EP 1997-914727	19970320
EP 888319	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1219170	A	19990609	CN 1997-194726	19970320
CN 1073101	B	20011017		
BR 9708093	A	19990727	BR 1997-8093	19970320
NZ 331613	A	20000327	NZ 1997-331613	19970320
JP 2000506883	T2	20000606	JP 1997-533410	19970320
SK 282359	B6	20020107	SK 1998-1188	19970320
AT 231847	E	20030215	AT 1997-914727	19970320
US 6124283	A	20000926	US 1997-836004	19970425
NO 9804385	A	19981123	NO 1998-4385	19980921
US 6410530	B1	20020625	US 2000-653427	20000831

PRIORITY APPLN. INFO.: SE 1996-1110 A 19960322
WO 1997-SE469 W 19970320
US 1997-836004 A3 19970425

OTHER SOURCE(S): MARPAT 127:307398
GI

L25 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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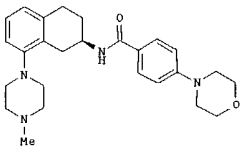


II

AB New piperidinyl- and piperazinyl-substituted 1,2,3,4-tetrahydronaphthalene derivs. I [X = N or CH; Y = NR2CH2, CH2NR2, NR2CO, CONR2, or NR2SO2; R1 = H, C1-6 alkyl, or C3-6 cycloalkyl; R2 = H or C1-6 alkyl; R3 = C1-6 alkyl, C3-6 cycloalkyl, or (CH2)n-atyl where aryl = Ph or heteroarom. ring containing 1 or 2 N/O/S atoms and which may be mono- or di-substituted; n = 0-4], as enantiomers, racemates, free bases, or pharmaceutically acceptable salts or hydrates, are disclosed. Also disclosed are pharmaceutical formulations containing I, use of I in the treatment of disorders mediated by 5-hydroxytryptamine (5-HT), and processes and intermediates for the preparation of I. The compds. are primarily selective antagonists of the 5-HT1D receptor (no data). A variety of preferred compds., mostly (R)-isomers, are specifically claimed. Synthetic examples (138) include preparation of both I and their intermediates. For instance, (R)-8-methoxy-2-amino-1,2,3,4-tetrahydronaphthalene-HCl was converted in 8 steps to (R)-2-amino-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydronaphthalene, which was condensed with 4-morpholinobenzoic acid using 1,1'-carbonyldiimidazole in DMF to give title compound II.

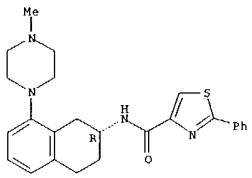
IT **197445-50-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyl- and piperazinyl-substituted tetrahydronaphthalenes as 5-HT1D antagonists)

RN 197445-50-4 CAPLUS

CN 4-Thiazolecarboxamide, 2-phenyl-N-[1,2,3,4-tetrahydro-8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-, (R)- (9CI) (CA INDEX NAME)

L25 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).

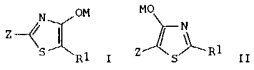


L25 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:583274 CAPLUS
DOCUMENT NUMBER: 115:183274
TITLE: Preparation of (arylalkyl)hydroxythiazoles as 5-lipoxygenase inhibitors
INVENTOR(S): Kerdesky, Francis A. J.; Brooks, Dee W.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9108744	A1	19910627	WO 1990-US6800	19901120
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5032588	A	19910716	US 1989-447756	19891208
PRIORITY APPLN. INFO.:			US 1989-447756	19891208

OTHER SOURCE(S): MARPAT 115:183274
GI



I II

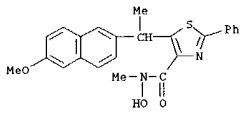
AB Title compds. [I and II; R1 = (cyclo)alkyl, (substituted) (cyclo)alkenyl, aryl, arylalkyl, arylalkenyl, heterocyclyl, heterocyclylalkyl; M = H, pharmaceutically acceptable cation, acyl, silyl, etc.; Z = residue of nonsteroidal antiinflammatory drug] were prepared Thus, naproxen in CH2Cl2 at 5° was treated with (COCl)2 and cat. DMF; the mixture was allowed to warm to 23°, stirred 8 h, cooled to 5°, and treated with aqueous NH3 to give 85% amide, which was treated with Lawesson's reagent to give 33% thioamide. The latter in PhMe/pyridine was treated dropwise with α-chlorophenylacetyl chloride followed by 8 h reflux to give 27% I [R1 = Ph, M = H, Z = 1-(6-methoxy-2-naphthyl)ethyl]. I inhibited 5-lipoxygenase with IC50 = 0.06-0.9 μM.

IT **136691-15-1P 136691-16-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as lipoxygenase inhibitor)

RN 136691-15-1 CAPLUS

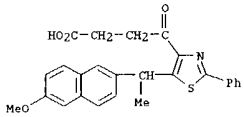
CN 4-Thiazolecarboxamide, N-hydroxy-5-[1-(6-methoxy-2-naphthalenyl)ethyl]-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)

L25 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 136691-16-2 CAPLUS

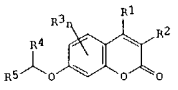
CN 4-Thiazolebutanoic acid, 5-[1-(6-methoxy-2-naphthalenyl)ethyl]-γ-oxo-2-phenyl- (9CI) (CA INDEX NAME)



L25 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:552429 CAPLUS
DOCUMENT NUMBER: 113:152429
TITLE: Preparation of 7-(heterocyclylmethoxy)coumarins as monoamine oxidase inhibitors
INVENTOR(S): Frickel, Fritz Frieder; Kuekenhoehner, Thomas; Rendenbach, Beatrice; Weifenbach, Harald; Teschendorf, Hans Juergen
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3834860	A1	19900419	DE 1988-3834860	19881013
CA 1330998	A1	19940726	CA 1989-614143	19890928
EP 363796	A1	19900418	EP 1989-118382	19891004
EP 363796	B1	19930811		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
AT 92924	E	19930815	AT 1989-118382	19891004
US 5073563	A	19911217	US 1989-417451	19891005
JP 02149579	A2	19900608	JP 1989-265343	19891013
JP 2875557	B2	19990331		
US 5227392	A	19930713	US 1992-888445	19920528

PRIORITY APPLN. INFO.: DE 1988-3834860 19881013
EP 1989-118382 19891004
US 1989-417451 19891005
US 1991-725690 19910703
OTHER SOURCE(S): MARPAT 113:152429
GI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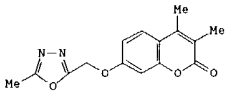
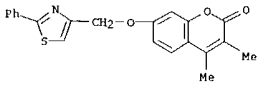

II

AB The title compds. [I; R1,R2 = H, alkyl, CF3, Ph, halo; R1R2 = alkylene; R3 = alkyl, halo; R4 = H, alkyl; R5 = (substituted) pyridyl, isoxazolyl, imidazolyl, benzimidazolyl, thiazolyl, oxadiazolyl, tetrahydrofuryl, benzodioxanyl, piperidinyl, triazolyl, etc.; n = 0-3], were prepared as monoamine oxidase inhibitors (no data). Thus, 7-hydroxy-3,4-dimethylcoumarin in DMF was added to NaH in DMF. After 45 min 2-chloromethyl-5-methyl-1,3,4-oxadiazole in DMF was added and the mixture was stirred overnight at room temperature to give 57% oxadiazolylmethoxycoumarin II.
IT **129563-26-4P**

L25 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as monoamine oxidase inhibitor)
RN 129563-26-4 CAPLUS
CN 2H-1-Benzopyran-2-one, 3,4-dimethyl-7-[(2-phenyl-4-thiazolyl)methoxy]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	287.22	451.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-36.04	-36.04

STN INTERNATIONAL LOGOFF AT 17:24:23 ON 23 JUN 2004